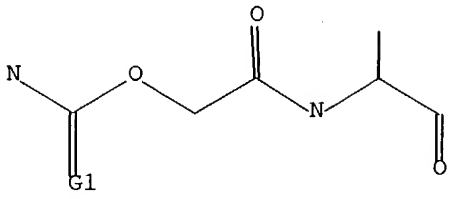
=> d l1; d his; log y
L1 HAS NO ANSWERS
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 19:10:52 ON 23 JUN 2004)

FILE 'REGISTRY' ENTERED AT 19:11:20 ON 23 JUN 2004
L1 STRUCTURE UPLOADED
L2 17 S L1
L3 336 S L1 FUL

FILE 'STNGUIDE' ENTERED AT 19:12:25 ON 23 JUN 2004

FILE 'CAPLUS' ENTERED AT 19:13:11 ON 23 JUN 2004
L4 47 S L3

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	224.43	380.54

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-32.57	-32.57

STN INTERNATIONAL LOGOFF AT 19:14:37 ON 23 JUN 2004

Mark notes

L4 ANSWER 1 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:855766 CAPLUS Full-text
DN 139:345913
TI Identification of tumor necrosis factor α (TNF-α) modulator compounds, and use for treatment of TNF-mediated diseases
IN Miller, Karen; Diu-Hercend, Anita; Hercend, Thierry; Lang, Paul; Weber, Peter; Golec, Julian; Mortimore, Michael
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

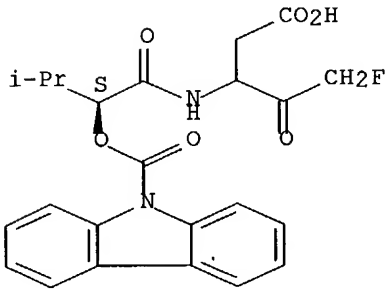
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003088917	A2	20031030	WO 2003-US12262	20030417
	WO 2003088917	A3	20040304		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2004048797	A1	20040311	US 2003-419327	20030417
PRAI	US 2002-374434P	P	20020419		

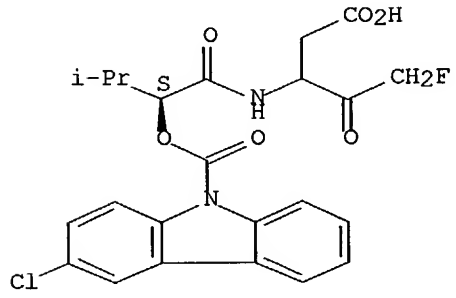
AB The invention discloses methods for identifying compds. useful for regulating TNF-α levels and/or activity. The invention also discloses methods for decreasing TNF-α levels and/or activity. Compds. and compns. of the invention are useful for treating TNF-mediated diseases. The invention further discloses kits comprising the compds. and compns. herein and a tool for measuring TNF-α activity and/or levels. Preparation of selected compds., e.g. [3S/R,(2S)]-5-fluoro-4-oxo-3-[(1-(phenothiazine-10-carbonyl)piperidine-2-carbonyl)amino]pentanoic acid, is described.
IT **363154-80-7 363154-82-9 363154-84-1**
363154-88-5 363154-90-9 363154-92-1
363154-94-3 363154-96-5 363154-98-7
363155-00-4 363155-02-6 363155-04-8
363155-06-0 363155-10-6 363155-12-8
363155-14-0 363155-16-2 363155-18-4
363155-20-8 363155-22-0 363155-26-4
363155-30-0 363155-32-2 363155-34-4
363155-36-6 363155-38-8 582317-60-0
582317-61-1 582317-62-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(TNF-α modulator compound identification methods, and use for treatment of TNF-mediated diseases)
RN 363154-80-7 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



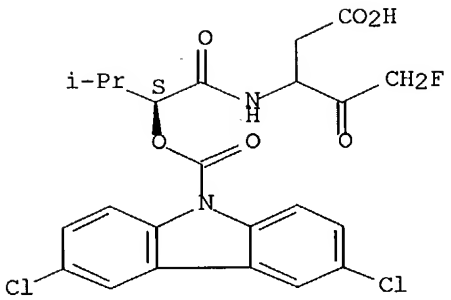
RN 363154-82-9 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 3-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-
fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



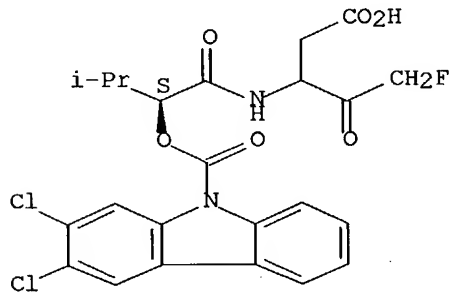
RN 363154-84-1 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 3,6-dichloro-, (1S)-1-[[[1-(carboxymethyl)-
3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



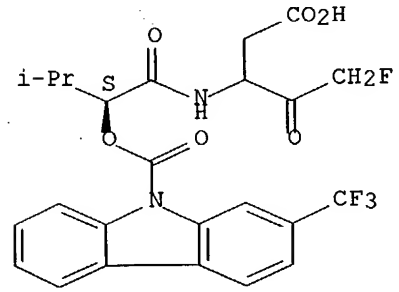
RN 363154-88-5 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2,3-dichloro-, (1S)-1-[[[1-(carboxymethyl)-
3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



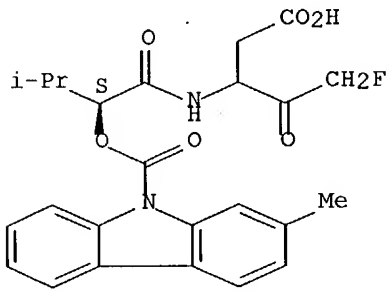
RN 363154-90-9 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-(trifluoromethyl)-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



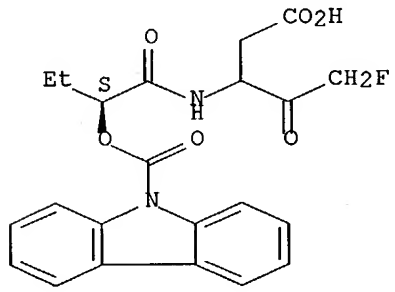
RN 363154-92-1 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-methyl-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



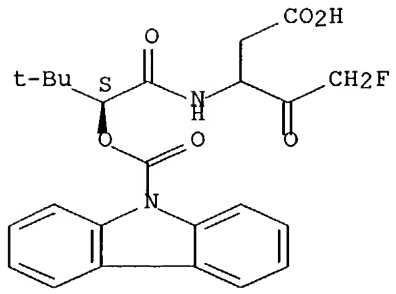
RN 363154-94-3 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



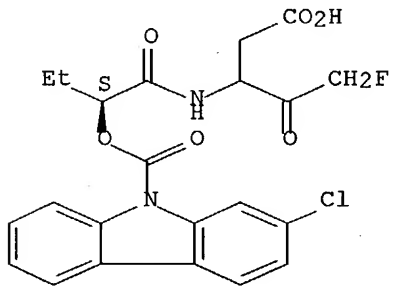
RN 363154-96-5 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



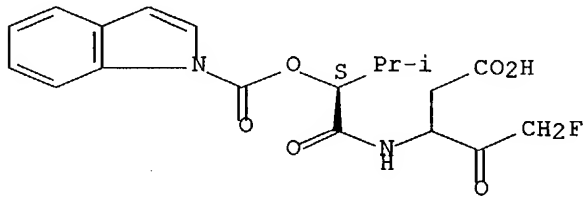
RN 363154-98-7 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-
fluoro-2-oxopropyl]amino]carbonyl]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



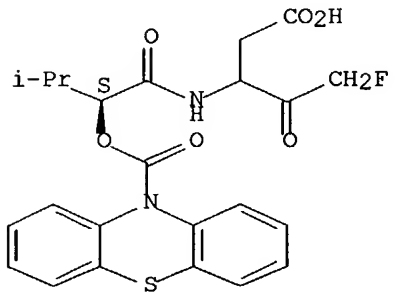
RN 363155-00-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-
oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



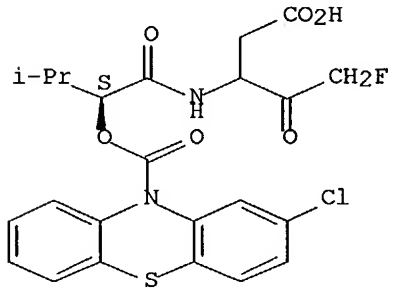
RN 363155-02-6 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-
fluoro-
2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



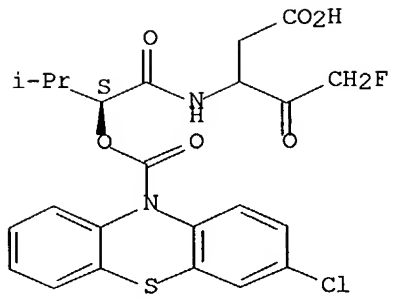
RN 363155-04-8 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 2-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



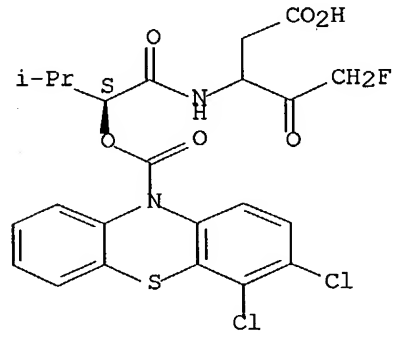
RN 363155-06-0 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 3-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



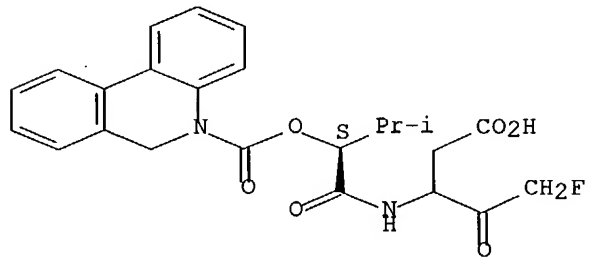
RN 363155-10-6 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 3,4-dichloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



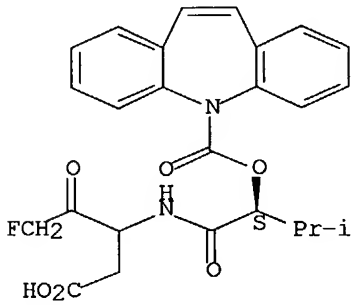
RN 363155-12-8 CAPLUS
CN 5(6H)-Phenanthridinecarboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



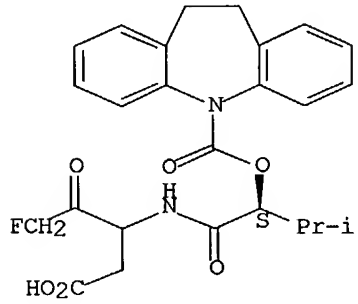
RN 363155-14-0 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



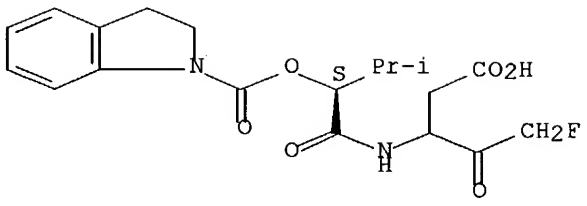
RN 363155-16-2 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, 10,11-dihydro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



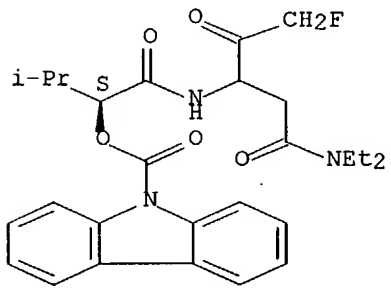
RN 363155-18-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



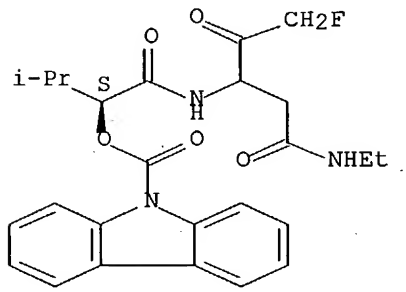
RN 363155-20-8 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-(diethylamino)-2-oxoethyl]-
3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



RN 363155-22-0 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-(ethylamino)-2-oxoethyl]-3-
fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

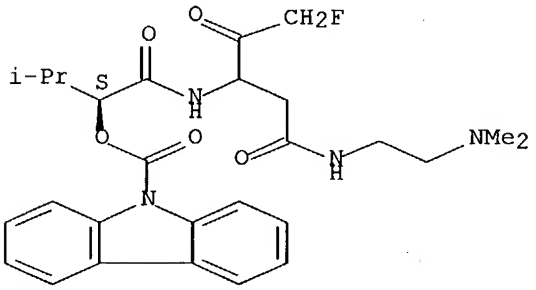
Absolute stereochemistry.



RN 363155-26-4 CAPLUS

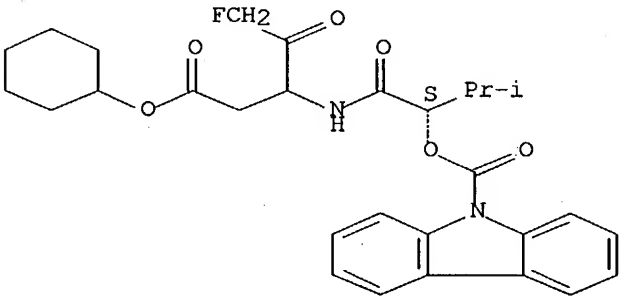
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-[[2-(dimethylamino)ethyl]amino]-2-oxoethyl]-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



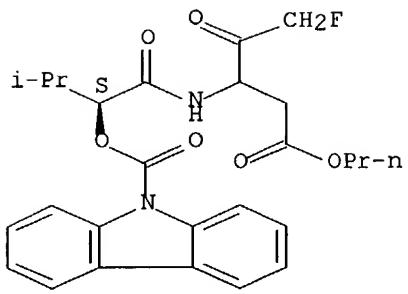
RN 363155-30-0 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-(cyclohexyloxy)-2-oxoethyl]-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



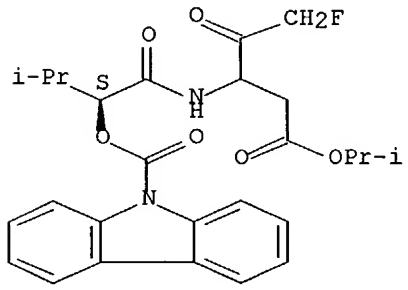
RN 363155-32-2 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-2-oxo-1-(2-oxo-2-propoxyethyl)propyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



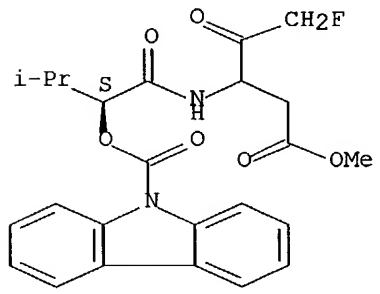
RN 363155-34-4 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-1-[2-(1-methylethoxy)-2-oxoethyl]-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 363155-36-6 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

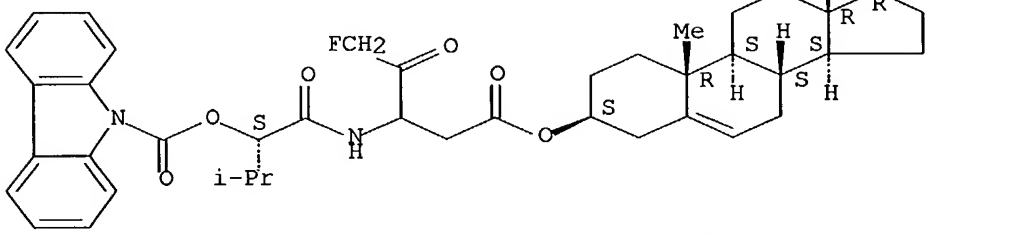


RN 363155-38-8 CAPLUS

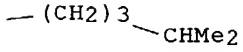
CN Cholest-5-en-3-ol (3β)-, 3-[[(2S)-2-[(9H-carbazol-9-ylcarbonyl)oxy]-3-methyl-1-oxobutyl]amino]-5-fluoro-4-oxopentanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

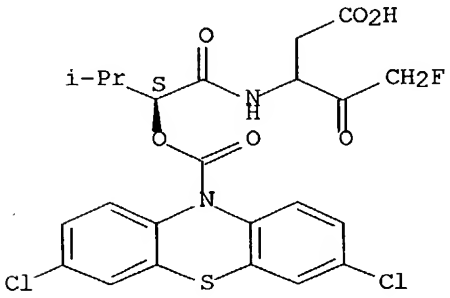


PAGE 1-B



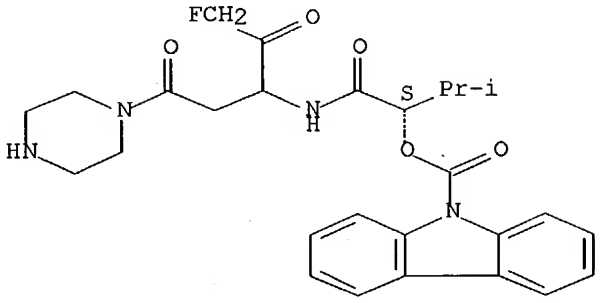
RN 582317-60-0 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 3,7-dichloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



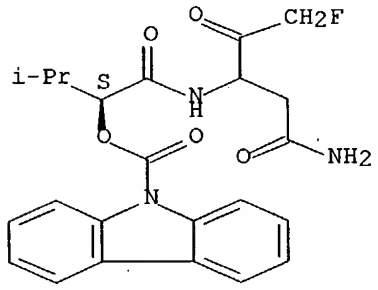
RN 582317-61-1 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-2-oxo-1-[2-oxo-2-(1-piperazinyl)ethyl]propyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 582317-62-2 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(2-amino-2-oxoethyl)-3-fluoro-
2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:656594 CAPLUS Full-text
DN 139:191460
TI Phospholipids as caspase inhibitor prodrugs
IN Mortimore, Michael; Golec, Julian M. C.
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003068242	A1	20030821	WO 2003-US4457	20030211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004019017	A1	20040129	US 2003-366192	20030211
PRAI US 2002-355889P	P	20020211		

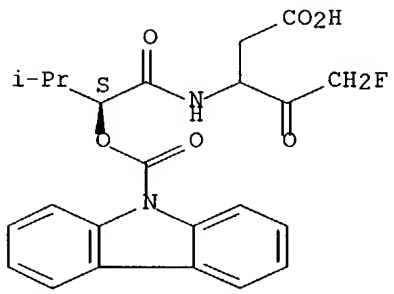
OS MARPAT 139:191460

AB The invention relates to compds. which are prodrugs of caspase inhibitors and pharmaceutically acceptable salts thereof. The invention further relates to the release of caspase inhibitors from these compds. through selective bond cleavage. The invention further relates to pharmaceutical compns. comprising these compds., which are particularly well-suited for treatment of caspase-mediated diseases, including inflammatory and degenerative diseases. The invention further relates to methods for preparing compds. of this invention.

IT **363154-80-7**
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use);
BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(phospholipids as caspase inhibitor prodrugs)

RN 363154-80-7 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

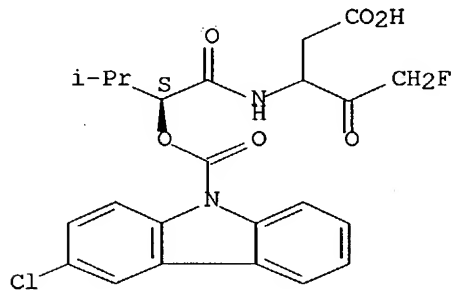
Absolute stereochemistry.



IT **363154-82-9 363154-84-1 363154-88-5**
363154-90-9 363154-92-1 363154-94-3
363154-96-5 363154-98-7 363155-00-4
363155-02-6 363155-04-8 363155-06-0
363155-10-6 363155-12-8 363155-14-0
363155-16-2 363155-18-4 363155-20-8
363155-22-0 363155-26-4 363155-30-0
363155-32-2 363155-34-4 363155-36-6
363155-38-8 582317-60-0 582317-61-1
582317-62-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phospholipids as caspase inhibitor prodrugs)

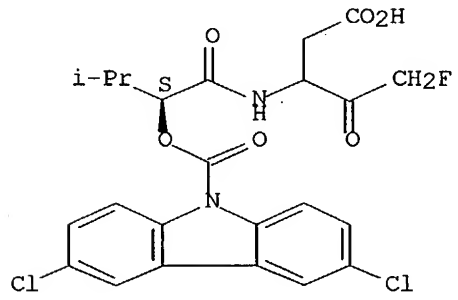
RN 363154-82-9 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 3-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



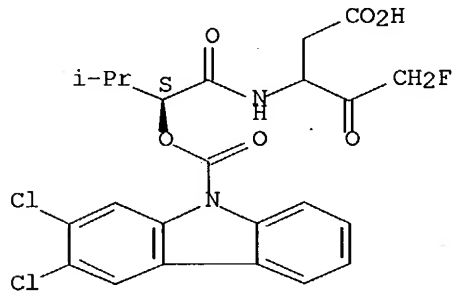
RN 363154-84-1 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 3,6-dichloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



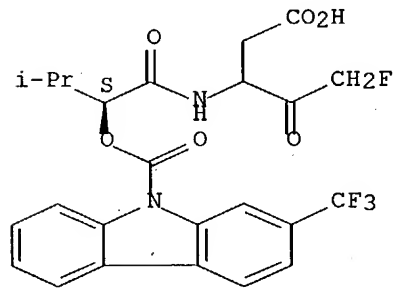
RN 363154-88-5 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2,3-dichloro-, (1S)-1-[[[1-(carboxymethyl)-
3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



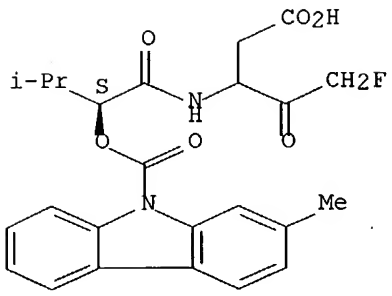
RN 363154-90-9 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-(trifluoromethyl)-, (1S)-1-[[[1-
(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



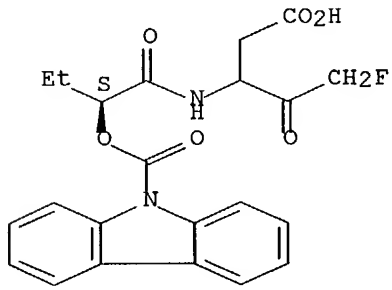
RN 363154-92-1 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-methyl-, (1S)-1-[[[1-(carboxymethyl)-3-
fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



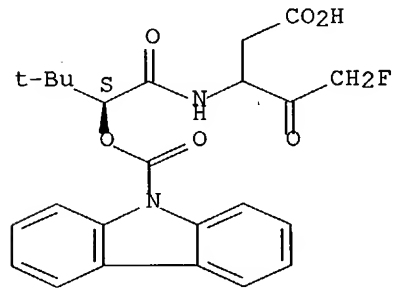
RN 363154-94-3 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 363154-96-5 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)

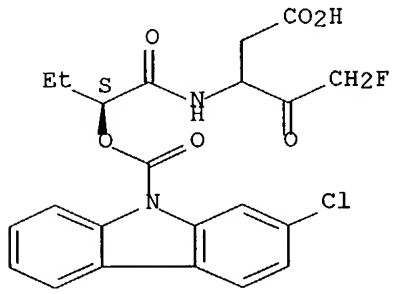
Absolute stereochemistry.



RN 363154-98-7 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-

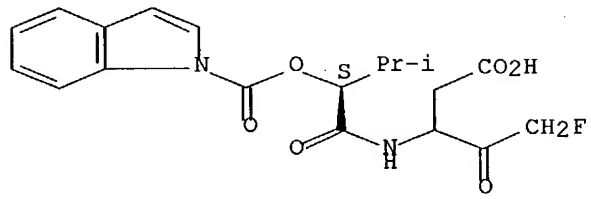
fluoro-2-oxopropyl]amino]carbonyl]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



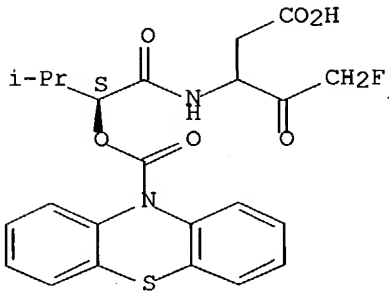
RN 363155-00-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



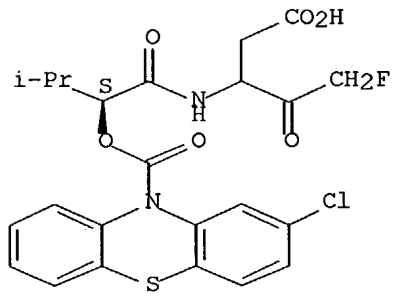
RN 363155-02-6 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-
2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



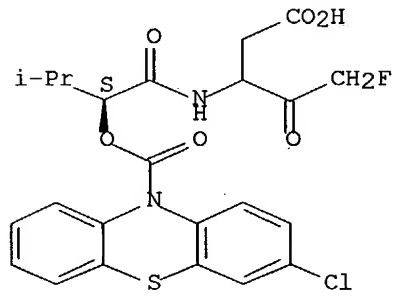
RN 363155-04-8 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 2-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



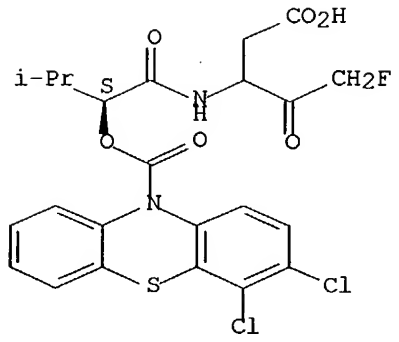
RN 363155-06-0 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 3-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



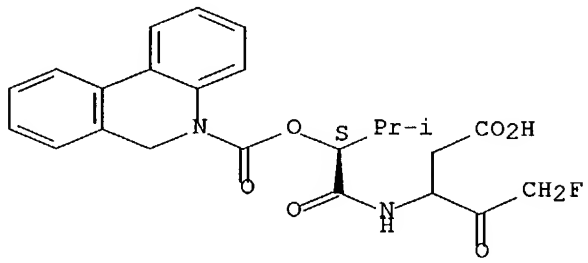
RN 363155-10-6 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 3,4-dichloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



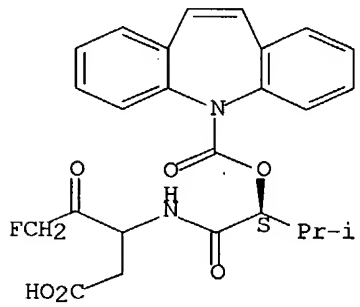
RN 363155-12-8 CAPLUS
CN 5(6H)-Phenanthridinecarboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-
2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



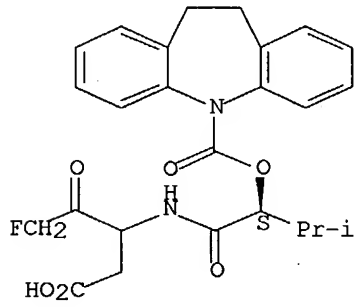
RN 363155-14-0 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



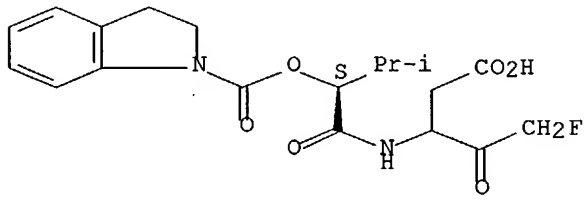
RN 363155-16-2 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, 10,11-dihydro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



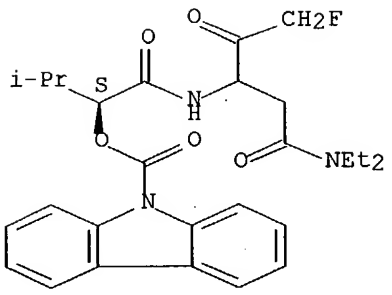
RN 363155-18-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



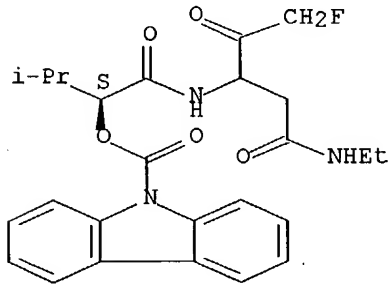
RN 363155-20-8 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-(diethylamino)-2-oxoethyl]-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



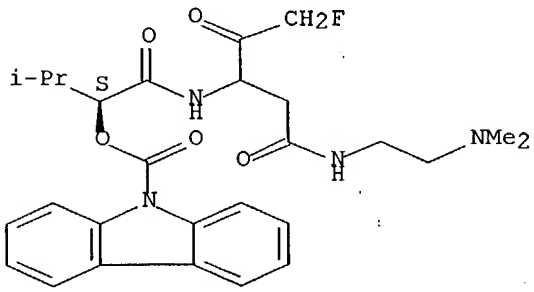
RN 363155-22-0 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-(ethylamino)-2-oxoethyl]-3-
fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 363155-26-4 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-[[2-(dimethylamino)ethyl]amino]-2-oxoethyl]-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

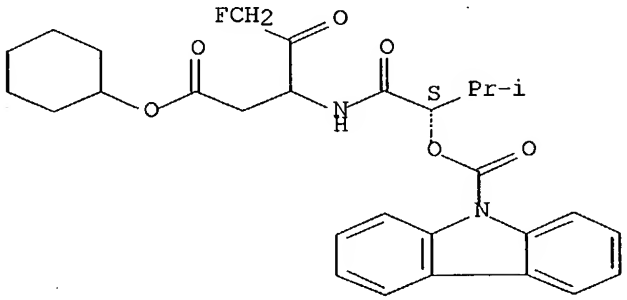
Absolute stereochemistry.



RN 363155-30-0 CAPLUS

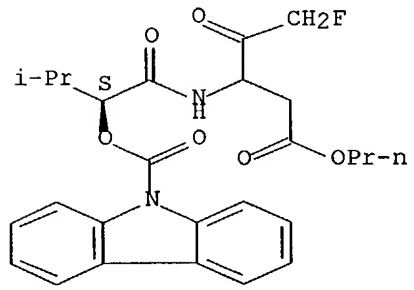
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-(cyclohexyloxy)-2-oxoethyl]-
3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



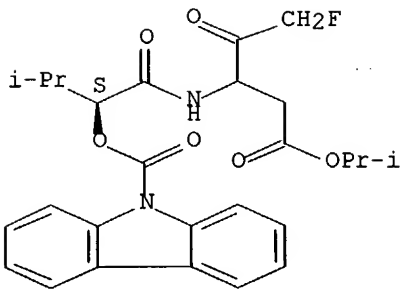
RN 363155-32-2 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-2-oxo-1-(2-oxo-2-propoxyethyl)propyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



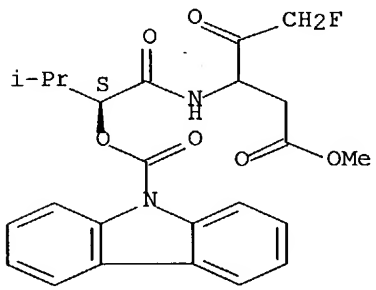
RN 363155-34-4 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-1-[2-(1-methylethoxy)-2-
oxoethyl]-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



RN 363155-36-6 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

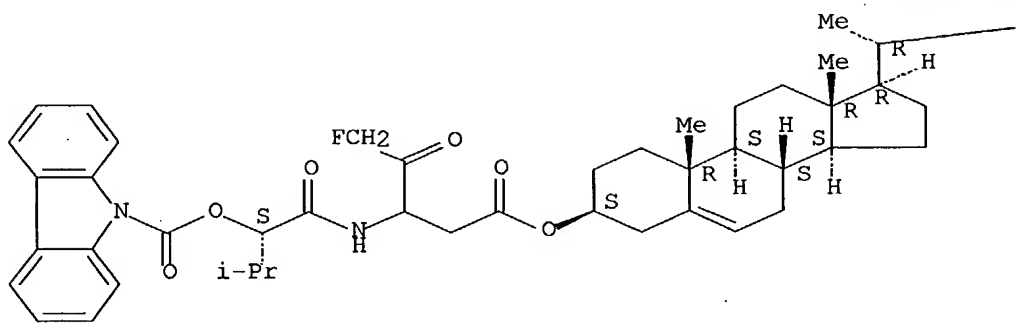
Absolute stereochemistry.



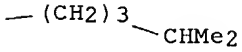
RN 363155-38-8 CAPLUS
CN Cholest-5-en-3-ol (3β)-, 3-[[(2S)-2-[(9H-carbazol-9-ylcarbonyl)oxy]-3-methyl-1-oxobutyl]amino]-5-fluoro-4-oxopentanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

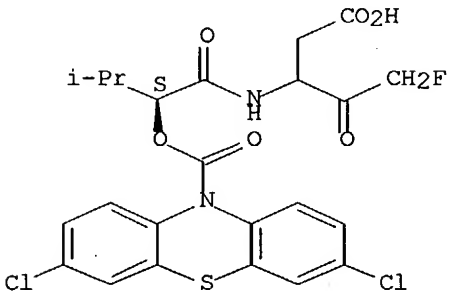


PAGE 1-B



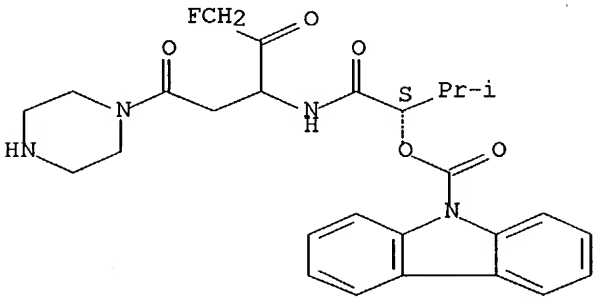
RN 582317-60-0 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 3,7-dichloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



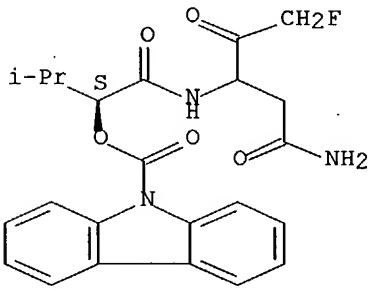
RN 582317-61-1 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-2-oxo-1-[2-oxo-2-(1-piperazinyl)ethyl]propyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 582317-62-2 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(2-amino-2-oxoethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

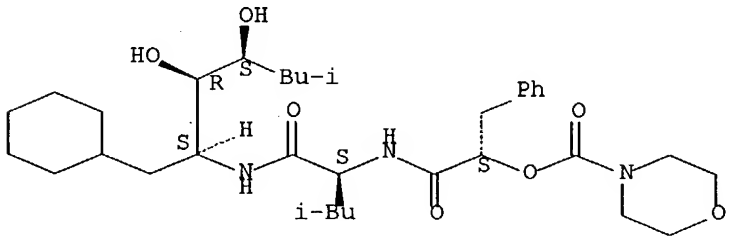
L4 ANSWER 3 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:417609 CAPLUS Full-text
DN 139:958
TI Aminodiols useful in the treatment of Alzheimer's disease and similar diseases
IN Schostarez, Heinrich J.; Hanson, Gunnar J.
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn
SO PCT Int. Appl., 535 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003043618	A2	20030530	WO 2002-US37180	20021119

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

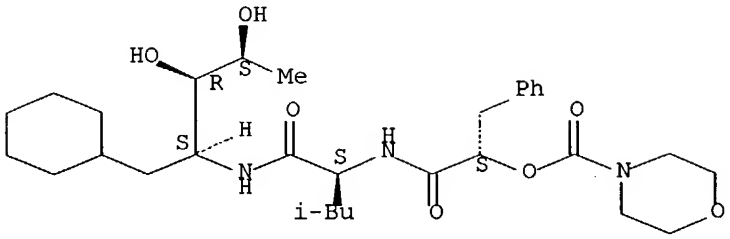
PRAI US 2001-332863P P 20011119
OS MARPAT 139:958
AB The invention discloses aminodiol compds. which modulate the activity of β-amyloid-converting enzyme for the treatment of Alzheimer's disease and similar diseases.
IT **120729-15-9 122994-22-3 122994-23-4 122994-25-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aminodiols for treatment of Alzheimer's disease)
RN 120729-15-9 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-[[[(1S,2R,3S)-1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



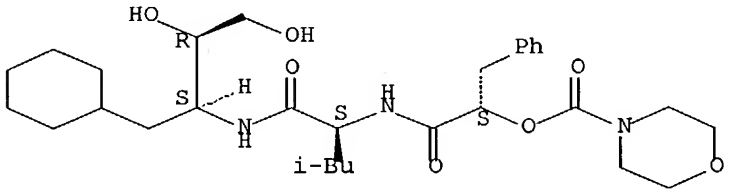
RN 122994-22-3 CAPLUS
CN L-Arabinitol, 1-cyclohexyl-1,2,5-trideoxy-2-[[(2S)-4-methyl-2-[[(2S)-2-[(4-morpholinylcarbonyl)oxy]-1-oxo-3-phenylpropyl]amino]-1-oxopentyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



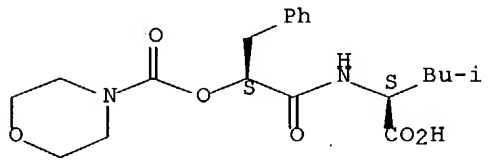
RN 122994-23-4 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-[[[(1S,2R)-1-(cyclohexylmethyl)-2,3-dihydroxypropyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 122994-25-6 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-carboxy-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964345 CAPLUS Full-text
DN 138:24952
TI Preparation of novel amino nitriles useful as reversible inhibitors of cysteine proteases
IN Hickey, Eugene R.; Bekkali, Younes; Patel, Usha R.; Spero, Denice M.; Thomson, David S.; Young, Erick R. R.
PA Boehringer Ingelheim Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 223 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100849	A2	20021219	WO 2002-US17590	20020605
	WO 2002100849	A3	20031016		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2003119827	A1	20030626	US 2002-163015	20020604
	EP 1399431	A2	20040324	EP 2002-741825	20020605

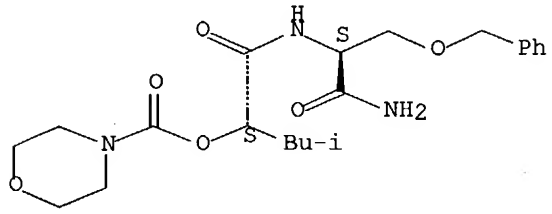
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRAI	US 2001-296863P	P	20010608
	WO 2002-US17590	W	20020605

OS MARPAT 138:24952
AB Novel nitrile compds. YCO2CR2R3C(:X)NR6CR4R5CN [Y = R1, R1O, R1S, R12N, R13C, where R1 = H, (un)substituted (cyclo)alkyl, aryl, benzyl, tetrahydronaphthyl, indenyl, indanyl, alkylsulfonylalkyl, cycloalkylsulfonylalkyl, arylsulfonylalkyl, heterocyclyl, or heteroaryl; R2-R5 = H, (un)substituted (cyclo)alkyl, aryl, etc. or CR2R3 and CR4R5 may form rings; R6 = H, OH, or (cyclo)alkyl; X = O or S (with provisos)] or their pharmaceutically-acceptable derivs. were prepared as reversible inhibitors of cysteine proteases such as cathepsin K, S, F, L and B for treating diseases and pathol. conditions exacerbated by these proteases such as osteoporosis, rheumatoid arthritis, multiple sclerosis, asthma and other autoimmune diseases, Alzheimer's disease, and atherosclerosis. Thus, morpholine-4-carboxylic acid 1-[[(benzyloxymethyl)cyanomethyl]carbam oyl]-3-methylbutyl ester was prepared from N-(tert-butoxycarbonyl)-O-benzyl- L-serine, 2-Hydroxyisocaproic acid, and 4-morpholinecarbonyl chloride.
IT **478279-48-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of novel amino nitriles as reversible inhibitors of cysteine proteases)
RN 478279-48-0 CAPLUS

CN 4-Morpholinecarboxylic acid, (1S)-1-[[[(1S)-2-amino-2-oxo-1-[(phenylmethoxy)methyl]ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

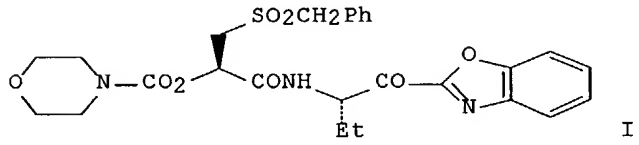
Absolute stereochemistry.



L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:946262 CAPLUS Full-text
DN 138:24946
TI Preparation of amide compounds and compositions as selective cathepsin S inhibitors
IN Graupe, Michael; Li, Jiayao; Link, John O.; Zipfel, Sheila; Timm, Andreas
P.; Aldous, David J.; Thurairatnam, Sukanthini
PA Axys Pharmaceuticals, Inc., USA; Aventis Pharmaceuticals Inc.
SO PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002098850	A2	20021212	WO 2002-US17411	20020603
	WO 2002098850	A3	20030424		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1397340	A2	20040317	EP 2002-734640	20020603
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2001-295301P	P	20010601		
	WO 2002-US17411	W	20020603		

OS MARPAT 138:24946
GI



I

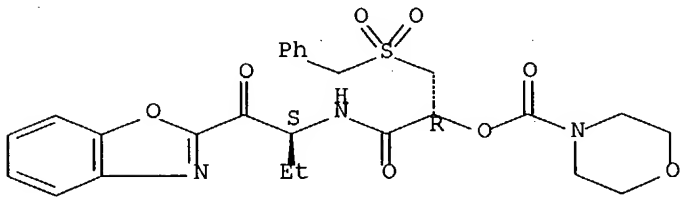
AB The invention relates to compds. R3C(X2)(X7)CO-X1 [X1 = NHC(R1)(R2)X3 or NHX4; X2 = H, F, OH, OR4, NHR15, or NR17R18; X7 = H or X2 = X7 = F; R3 = alkyl or CR62X6; X3 = cyano, CR7R8R16, CR6(OR6)2, CH2COR16, CH:CHSO2R5, COCF2CONR52, COCONR5R6, COCO2R5, COCH2OR5, COCH2NR6SO2R5, or COCOR5; where R5 is H or (un)substituted alkyl; R6 is H, OH or NR5R6 is a ring; R7 is H, alkyl and R8 is OH or CR7R8 are oxo; R16 is H, X4, CF3, NR6OR6, etc.; X4 comprises a heteromono- or -bicyclic ring; R1 = H, alkyl; R2 = H, cyano; R2 = H, cyano, -X5-NR122, -X5-NR12COR12, etc., where X5 is a bond or alkylene and R12 is H, alkyl, or haloalkyl; or CR1R2 may form a

ring; R4 = alkylene-NR122, alkylene-NR12-COR12, etc.; X6 = -X5-NR122, -X5-NR12COR12, etc.; R15 = H, alkyl; R17, R18 = (un)substituted alkyl (with provisos)] and their pharmaceutically acceptable salts and N-oxides as selective cathepsin S inhibitors for use as therapeutic agents. Thus, ester I was prepared via amide coupling reaction and showed Ki .ltorsim. 0.01 μM for inhibition of cathepsin S.

IT **477938-51-5P 477938-54-8P 477938-55-9P**
477938-59-3P 477938-65-1P 477938-99-1P
477939-00-7P 477939-27-8P 477939-28-9P
477939-29-0P 477939-30-3P 477939-31-4P
477939-82-5P 477939-83-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide compds. and compns. as selective cathepsin S inhibitors)

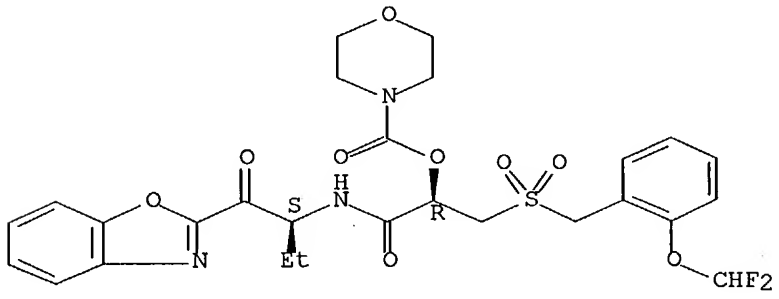
RN 477938-51-5 CAPLUS
CN 4-Morpholinecarboxylic acid, (1R)-2-[[(1S)-1-(2-benzoxazolylcarbonyl)propyl]amino]-2-oxo-1-[[(phenylmethyl)sulfonyl]methyl]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



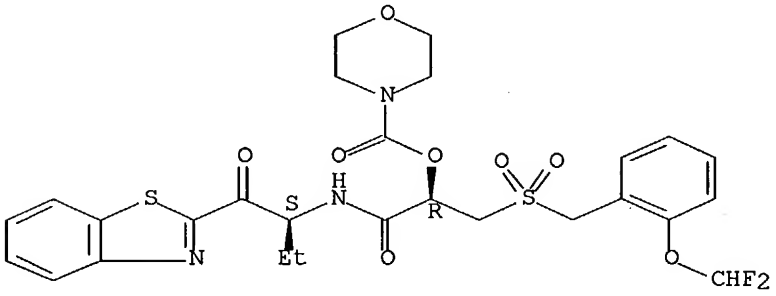
RN 477938-54-8 CAPLUS
CN 4-Morpholinecarboxylic acid, (1R)-2-[[(1S)-1-(2-benzoxazolylcarbonyl)propyl]amino]-1-[[[[2-(difluoromethoxy)phenyl]methyl]sulfonyl]methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



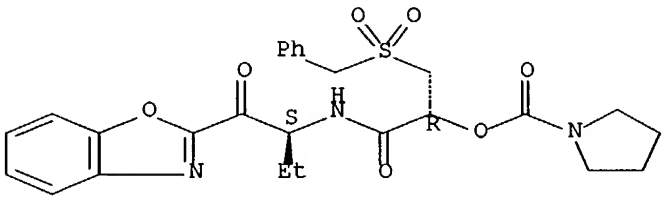
RN 477938-55-9 CAPLUS
CN 4-Morpholinecarboxylic acid, (1R)-2-[[(1S)-1-(2-benzothiazolylcarbonyl)propyl]amino]-1-[[[[2-(difluoromethoxy)phenyl]methyl]sulfonyl]methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



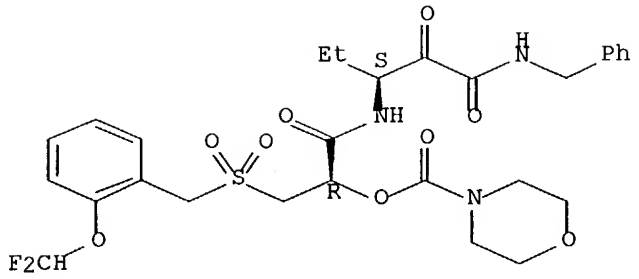
RN 477938-59-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, (1R)-2-[[(1S)-1-(2-benzoxazolylcarbonyl)propyl]amino]-2-oxo-1-[[(phenylmethyl)sulfonyl]methyl]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



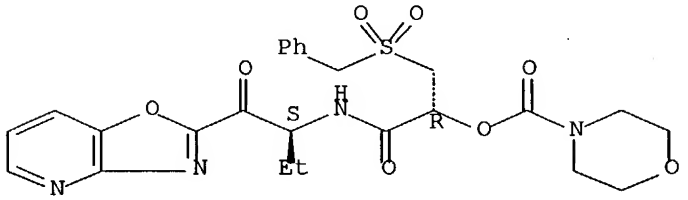
RN 477938-65-1 CAPLUS
CN 4-Morpholinecarboxylic acid, (1R)-1-[[[[2-(difluoromethoxy)phenyl]methyl]sulfonyl]methyl]-2-[[(1S)-1-ethyl-2,3-dioxo-3-[(phenylmethyl)amino]propyl]amino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



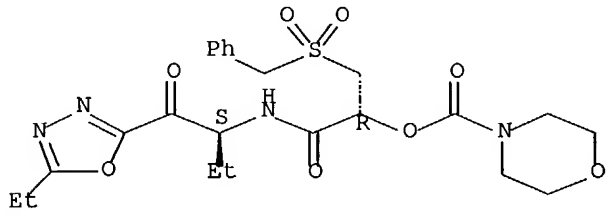
RN 477938-99-1 CAPLUS
CN 4-Morpholinecarboxylic acid, (1R)-2-[[(1S)-1-(oxazolo[4,5-b]pyridin-2-ylcarbonyl)propyl]amino]-2-oxo-1-[[(phenylmethyl)sulfonyl]methyl]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



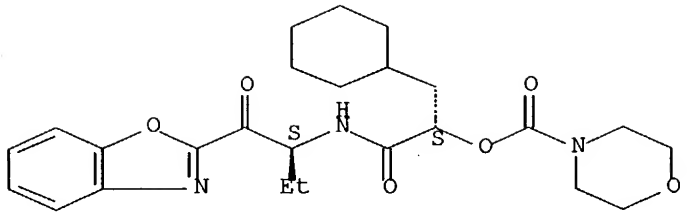
RN 477939-00-7 CAPLUS
CN 4-Morpholinecarboxylic acid, (1R)-2-[[(1S)-1-[(5-ethyl-1,3,4-oxadiazol-2-yl)carbonyl]propyl]amino]-2-oxo-1-[[(phenylmethyl)sulfonyl]methyl]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



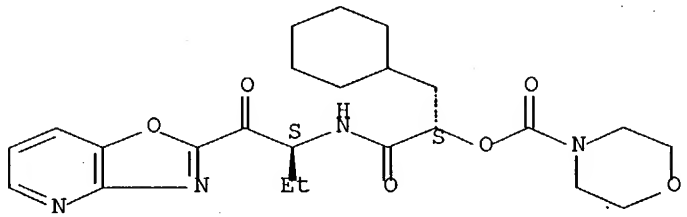
RN 477939-27-8 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-(2-benzoxazolylcarbonyl)propyl]amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



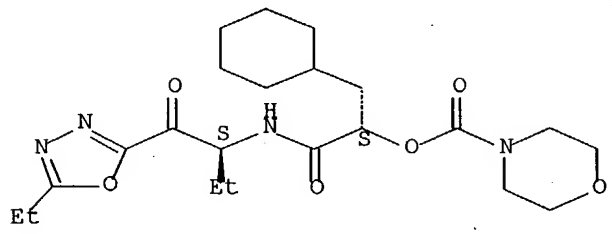
RN 477939-28-9 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-1-(cyclohexylmethyl)-2-[[(1S)-1-(oxazolo[4,5-b]pyridin-2-ylcarbonyl)propyl]amino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



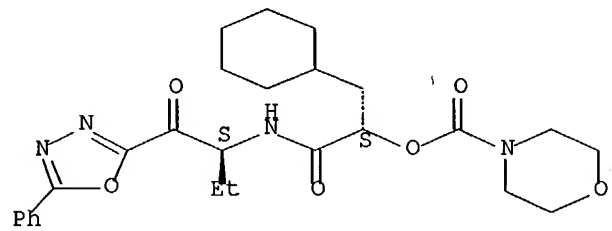
RN 477939-29-0 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-1-(cyclohexylmethyl)-2-[[(1S)-1-[(5-ethyl-1,3,4-oxadiazol-2-yl)carbonyl]propyl]amino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477939-30-3 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-1-(cyclohexylmethyl)-2-oxo-2-[[(1S)-1-[(5-phenyl-1,3,4-oxadiazol-2-yl)carbonyl]propyl]amino]ethyl ester (9CI) (CA INDEX NAME)

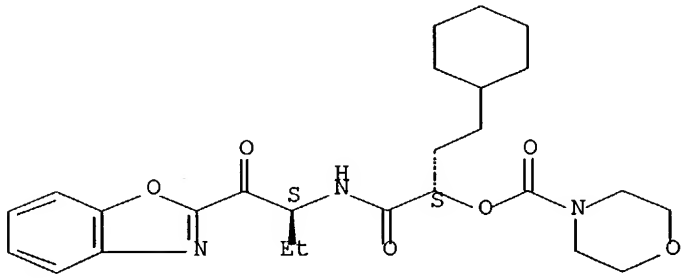
Absolute stereochemistry.



RN 477939-31-4 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-1-[[[(1S)-1-(2-

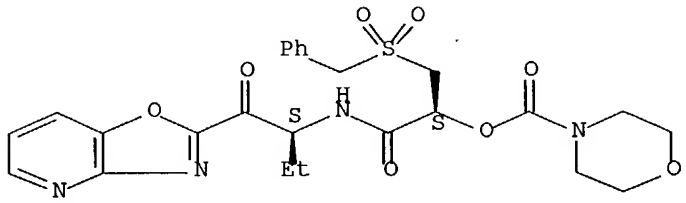
benzoxazolylcarbonyl)propyl]amino]carbonyl]-3-cyclohexylpropyl ester (9CI)(CA INDEX NAME)

Absolute stereochemistry.



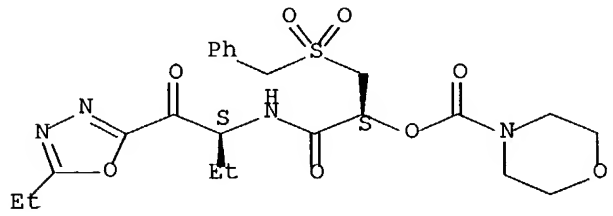
RN 477939-82-5 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-(oxazolo[4,5-b]pyridin-2-ylcarbonyl)propyl]amino]-2-oxo-1-[[(phenylmethyl)sulfonyl]methyl]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477939-83-6 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-[(5-ethyl-1,3,4-oxadiazol-2-yl)carbonyl]propyl]amino]-2-oxo-1-[(phenylmethyl)sulfonyl]methyl]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

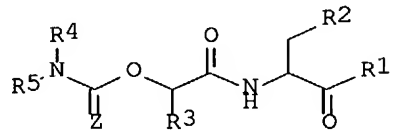


App 15

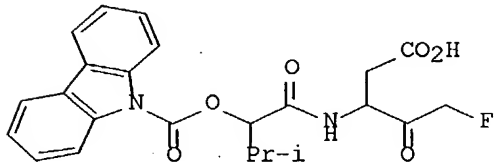
L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:730702 CAPLUS Full-text
DN 135:273216
TI Preparation of carbamate caspase inhibitors
IN Bebbington, David; Charrier, Jean-Damien; Kay, David; Knegtel, Ronald; Golec, Julian; Mortimore, Michael; Studley, John
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001072707	A2	20011004	WO 2001-US10182	20010329
	WO 2001072707	A3	20020523		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002028803	A1	20020307	US 2001-821161	20010329
	US 6689784	B2	20040210		
	EP 1268425	A2	20030102	EP 2001-922868	20010329
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001009588	A	20030204	BR 2001-9588	20010329
	JP 2003528855	T2	20030930	JP 2001-570620	20010329
	EE 200200550	A	20040216	EE 2002-550	20010329
	BG 107136	A	20030530	BG 2002-107136	20020923
	NO 2002004661	A	20021126	NO 2002-4661	20020927
	US 2004053920	A1	20040318	US 2003-645043	20030821
PRAI	US 2000-192826P	P	20000329		
	US 2001-821161	A3	20010329		
	WO 2001-US10182	W	20010329		

OS MARPAT 135:273216
GI



I



II

AB Carbamate derivs. I [Z is O, S; R1 is H, CHN2, R (R is C1-12 aliphatic, aryl, aralkyl, heterocyclyl, orheterocyclylalkyl), CH2OR, CH2SR, or CH2Y (Y is an electroneg. leaving group); R2 is CO2H, CH2CO2H or esters, amides or isosteres; R3 is a group capable of fitting into the S2 subsite of a caspase enzyme; R4R5N is a mono-, bi- or tricyclic heterocyclic ring system] were prepared as caspase inhibitors. The compds. are effective inhibitors of apoptosis and IL-1β secretion. Thus, compound II was prepared by amidation of (S)-3-methyl-2-(carbazole)carbamoyloxybutyric acid (preparation given) with 3-amino-5-fluoro-4-hydroxypentanoic acid tert-Bu ester, followed by oxidation of the hydroxy group using Dess-Martin periodinane and ester cleavage.

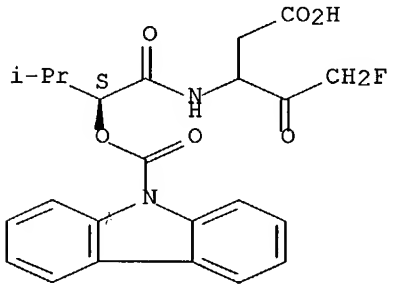
IT **363154-80-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT(Reactant or reagent); USES (Uses)
(preparation of carbamate caspase inhibitors)

RN 363154-80-7 CAPLUS

CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



514/441

514/411

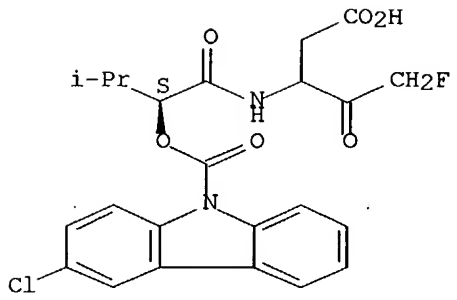
IT **363154-82-9P 363154-84-1P 363154-86-3P**
363154-88-5P 363154-90-9P 363154-92-1P
363154-94-3P 363154-96-5P 363154-98-7P
363155-00-4P 363155-02-6P 363155-04-8P
363155-06-0P 363155-08-2P 363155-10-6P
363155-12-8P 363155-14-0P 363155-16-2P
363155-18-4P 363155-20-8P 363155-22-0P
363155-24-2P 363155-26-4P 363155-30-0P
363155-32-2P 363155-34-4P 363155-36-6P
363155-38-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(preparation of carbamate caspase inhibitors)

RN 363154-82-9 CAPLUS

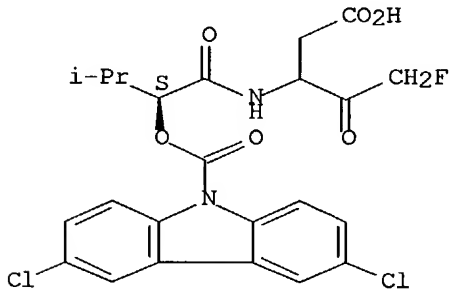
CN 9H-Carbazole-9-carboxylic acid, 3-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



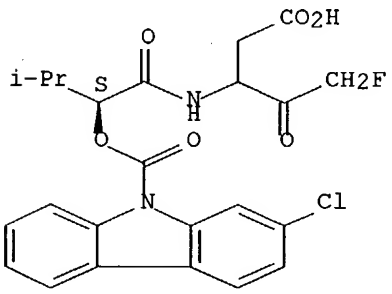
RN 363154-84-1 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 3,6-dichloro-, (1S)-1-[[[1-(carboxymethyl)-
3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



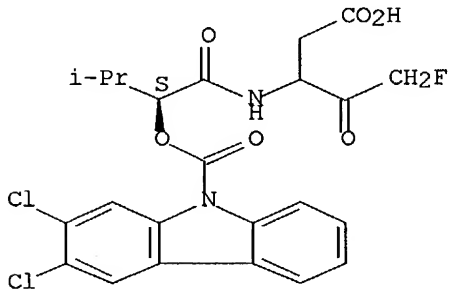
RN 363154-86-3 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-
fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



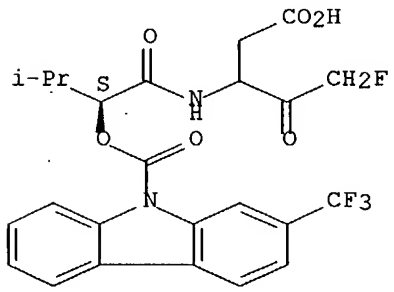
RN 363154-88-5 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2,3-dichloro-, (1S)-1-[[[1-(carboxymethyl)-
3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



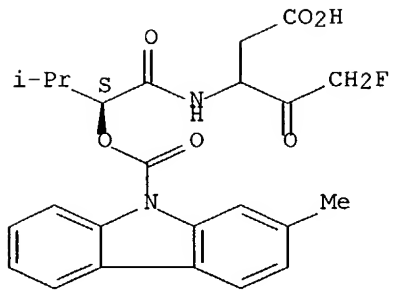
RN 363154-90-9 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-(trifluoromethyl)-, (1S)-1-[[[1-
(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



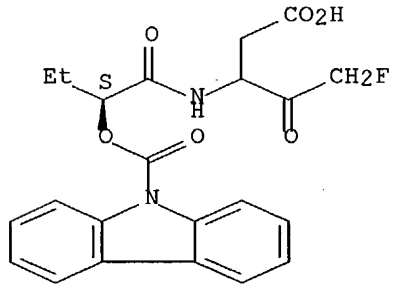
RN 363154-92-1 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-methyl-, (1S)-1-[[[1-(carboxymethyl)-3-
fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



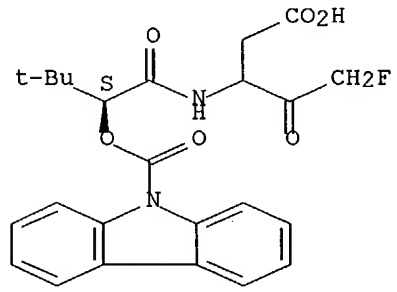
RN 363154-94-3 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 363154-96-5 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)

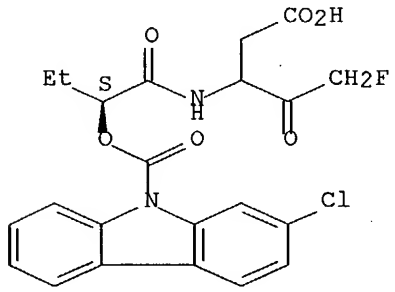
Absolute stereochemistry.



RN 363154-98-7 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-

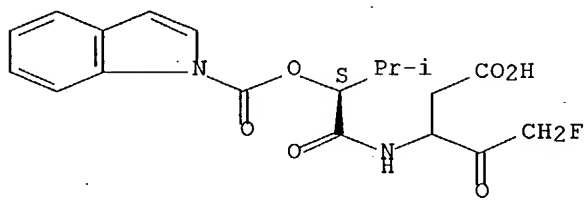
fluoro-2-oxopropyl]amino]carbonyl]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 363155-00-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

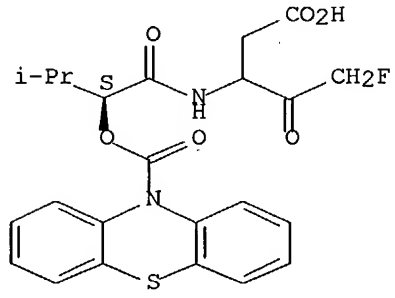
Absolute stereochemistry.



544/491
514/415

RN 363155-02-6 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

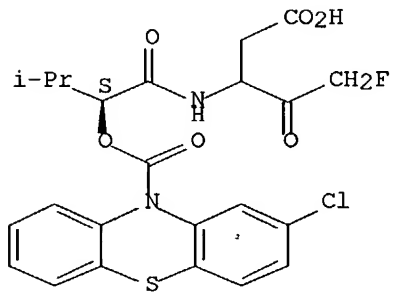
Absolute stereochemistry.



544/31

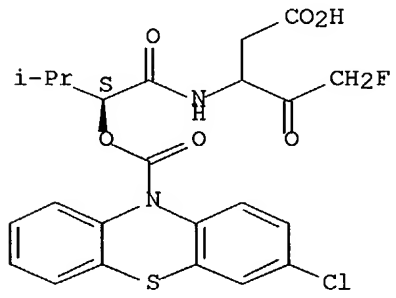
RN 363155-04-8 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 2-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



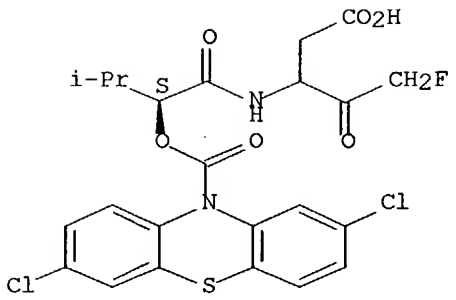
RN 363155-06-0 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 3-chloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



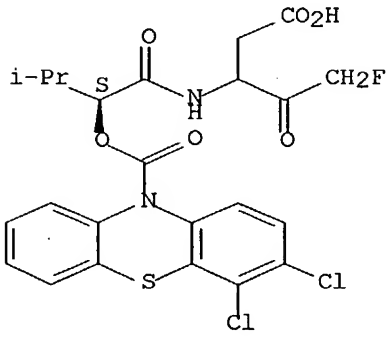
RN 363155-08-2 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 2,7-dichloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



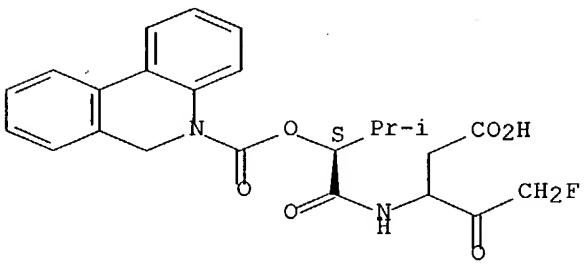
RN 363155-10-6 CAPLUS
CN 10H-Phenothiazine-10-carboxylic acid, 3,4-dichloro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 363155-12-8 CAPLUS
CN 5(6H)-Phenanthridinecarboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-
2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

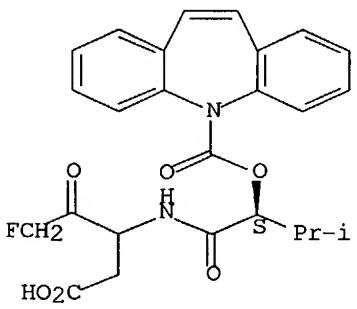
Absolute stereochemistry.



546/109

RN 363155-14-0 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

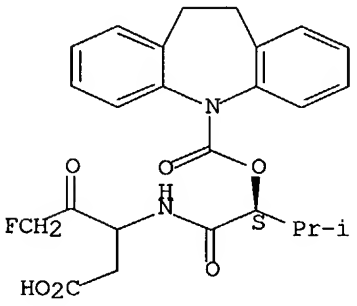
Absolute stereochemistry.



540/579
514/217

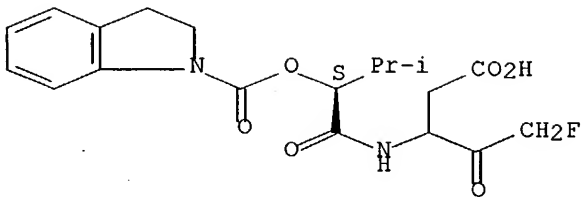
RN 363155-16-2 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, 10,11-dihydro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



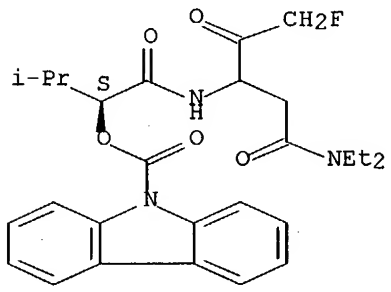
RN 363155-18-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



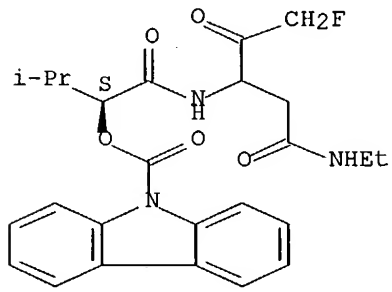
RN 363155-20-8 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-(diethylamino)-2-oxoethyl]-
3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



RN 363155-22-0 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-(ethylamino)-2-oxoethyl]-3-
fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX
NAME)

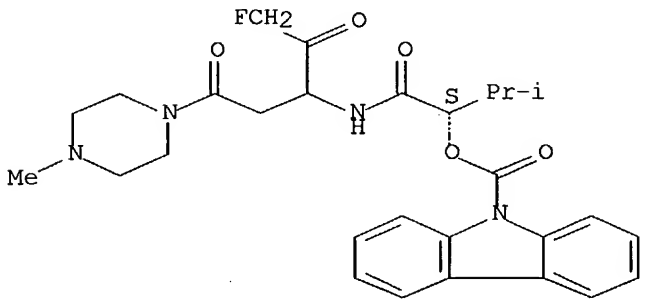
Absolute stereochemistry.



RN 363155-24-2 CAPLUS

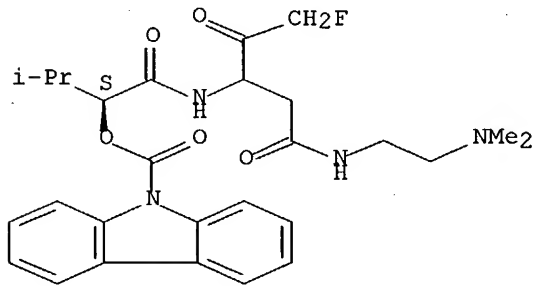
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-1-[2-(4-methyl-1-piperazinyl)-2-oxoethyl]-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



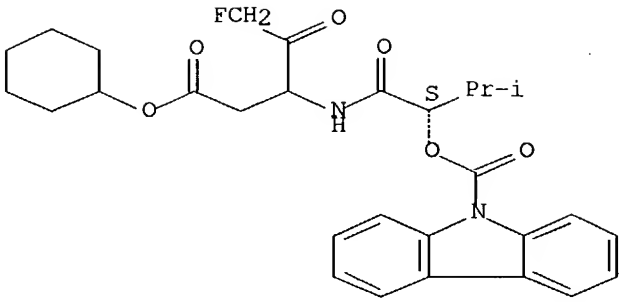
RN 363155-26-4 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-[[2-(dimethylamino)ethyl]amino]-2-oxoethyl]-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



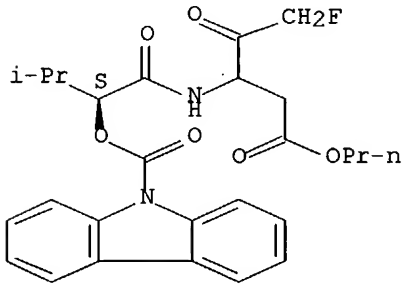
RN 363155-30-0 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-(cyclohexyloxy)-2-oxoethyl]-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



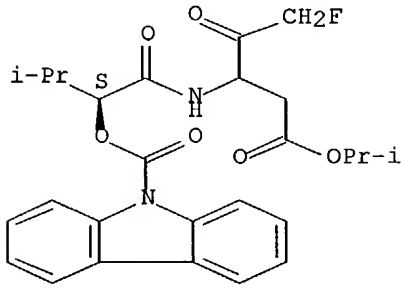
RN 363155-32-2 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-2-oxo-1-(2-oxo-2-propoxyethyl)propyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



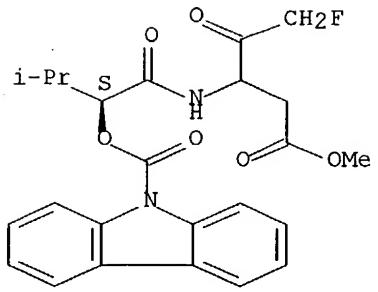
RN 363155-34-4 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-1-[2-(1-methylethoxy)-2-oxoethyl]-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 363155-36-6 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[3-fluoro-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

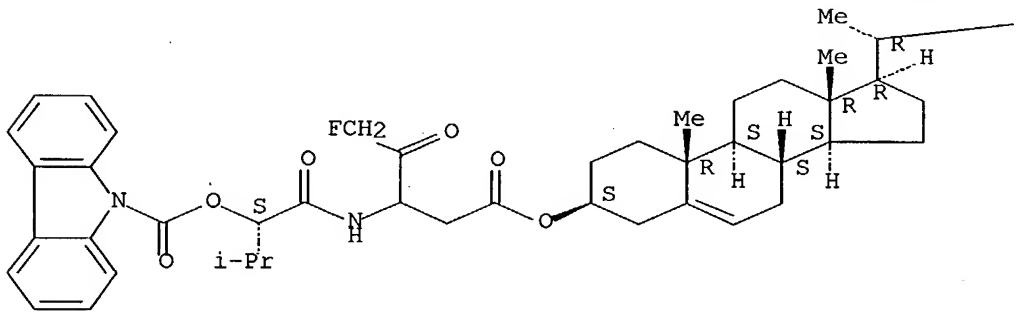


RN 363155-38-8 CAPLUS

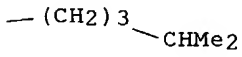
CN Cholest-5-en-3-ol (3β)-, 3-[[(2S)-2-[(9H-carbazol-9-ylcarbonyl)oxy]-3-methyl-1-oxobutyl]amino]-5-fluoro-4-oxopentanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



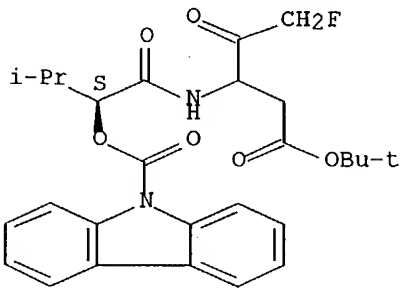
IT **363155-47-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(preparation of carbamate caspase inhibitors)

RN 363155-47-9 CAPLUS

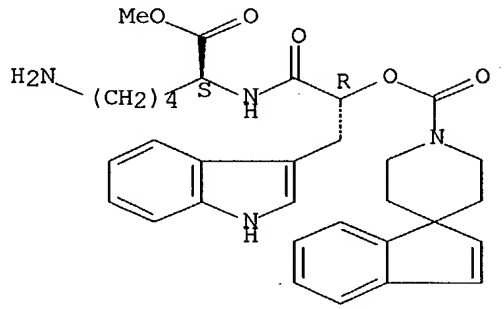
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-[2-(1,1-dimethylethoxy)-2-oxoethyl]-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI)(CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:170603 CAPLUS Full-text
DN 130:346860
TI Potent, orally bioavailable somatostatin agonists: good absorption achieved by urea backbone cyclization
AU Pasternak, Alexander; Pan, Yanping; Marino, Dominick; Sanderson, Philip E.; Mosley, Ralph; Rohrer, Susan P.; Birzin, Elizabeth T.; Huskey, Su-Er Wu; Jacks, Tom; Schleim, Klaus D.; Cheng, Kang; Schaeffer, James M.; Patchett, Arthur A.; Yang, Lihu
CS Department of Medicinal Chemistry, and Biochemistry & Physiology, Merck Research Laboratories, Rahway, NJ, 7065, USA
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(3), 491-496
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB Backbone cyclization of urea-based somatostatin agonists resulted in novel, orally bioavailable agonists. Binding assays confirmed that the resulting conformationally constrained cyclic ureas retained the potency of their acyclic counterparts. SAR studies subsequently led to highly potent analogs, selective for receptor subtype 2, and having good oral bioavailability.
IT **224961-44-8**
RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent)
(orally bioavailable somatostatin agonists)
RN 224961-44-8 CAPLUS
CN Spiro[1H-indene-1,4'-piperidine]-1'-carboxylic acid, (1R)-2-[[(1S)-5-amino-
1-(methoxycarbonyl)pentyl]amino]-1-(1H-indol-3-ylmethyl)-2-oxoethyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

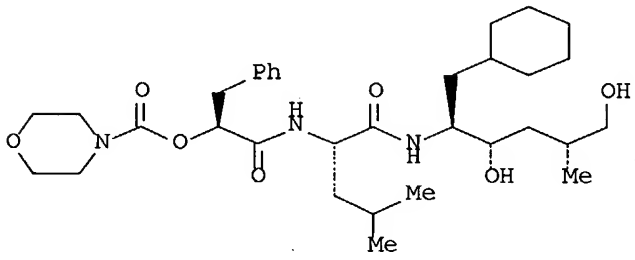


RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

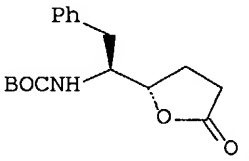
L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:978676 CAPLUS Full-text
DN 124:30427
TI Preparation of antimalarial aspartic protease inhibitors.
IN Russell, Mark A.; Mueller, Richard A.; Bryant, Martin L.; Hanson, Gunnar H.
PA G.D. Searle and Co., USA
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9519958	A1	19950727	WO 1995-US17	19950112
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2181551	AA	19950727	CA 1995-2181551	19950112
AU 9515968	A1	19950808	AU 1995-15968	19950112
EP 741696	A1	19961113	EP 1995-907965	19950112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1139427	A	19970101	CN 1995-191359	19950112
JP 09508365	T2	19970826	JP 1995-519566	19950112
PRAI US 1994-186379		19940125		
WO 1995-US17		19950112		

OS MARPAT 124:30427
GI



I



II

AB AR6NCHR1CHOP1CHR2CHR5CR3R4OP2 (P1, P2 = H, alkanoyl; P1P2 = CO, CR7R8; R7, R8 = H, alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R1-R4 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, alkenylalkyl, alkynylalkyl, aralkyl; R5 = Me, Et, Pr, Bu, Me2CHCH2, Me3C, aryl, cycloalkyl, aralkyl, etc.; R6 = H, alkyl; A = alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, aralkoxycarbonyl, R11R12NCHR10C:Y; Y = O, S; R10 = H, CH2SO2NH2, cyanoalkyl, aralkyl, heteroaryl, alkenyl, alkynyl, etc.; R11 = H, alkoxycarbonyl, aralkoxycarbonyl, alkanoyl, aroyl, heteroaralkoxycarbonyl, alkyl, aryl, hydroxyalkyl, etc.; R12 = H, alkyl,

aralkoxycarbonylalkyl, aminocarbonylalkyl, etc.), were prepared Thus, title compound (I), prepared by solution phase methods from lactone (II), at 10 μM gave 45% inhibition of Plasmodium falciparum HB3 late ring stage cultures.

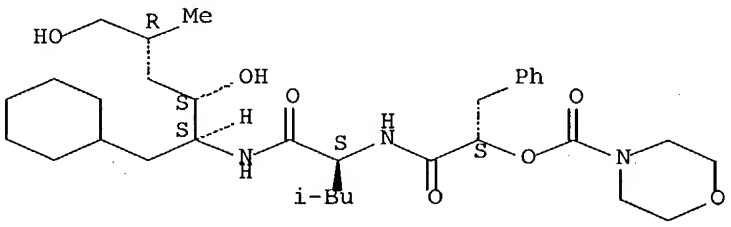
IT **171347-68-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antimalarial aspartic protease inhibitors)

RN 171347-68-5 CAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[1-[[[1-(cyclohexylmethyl)-2,5-dihydroxy-4-methylpentyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*,4S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



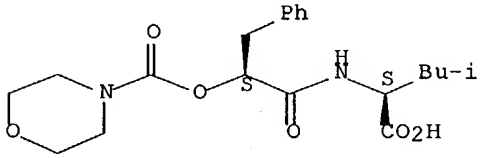
IT **122994-25-6**

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of antimalarial aspartic protease inhibitors)

RN 122994-25-6 CAPLUS

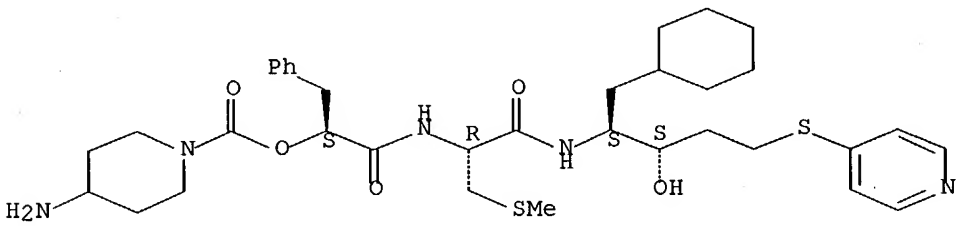
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-carboxy-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



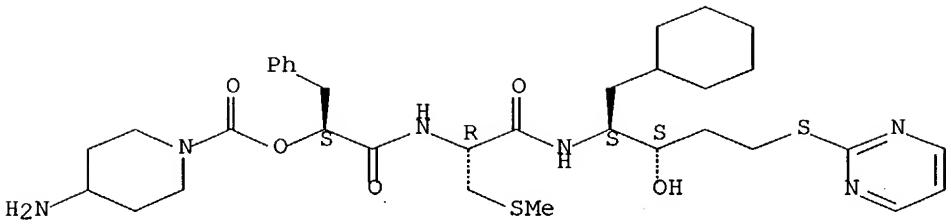
L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:974943 CAPLUS Full-text
DN 124:105468
TI Transport of peptidomimetic renin inhibitors across monolayers of a human intestinal cell line (Caco-2): evidence for self-enhancement of paracellular transport route
AU Walter, Elke; Kissel, Thomas; Raddatz, Peter
CS Coll. Pharmacy, Univ. Michigan, Ann Arbor, MI, 48109-1065, USA
SO Pharmaceutical Research (1995), 12(11), 1801-5
CODEN: PHREEB; ISSN: 0724-8741
PB Plenum
DT Journal
LA English
AB It appears that some of the peptidomimetic renin inhibitors facilitate their own penetration by enhancing the tight junction permeability through an as yet unknown mechanism. These peptides warrant further investigation, because they may provide the crucial information to guide their preferential transport via the paracellular pathway in vitro in monolayers of the intestinal cell line Caco-2 and may be in vivo in the intestinal epithelium.
IT **139470-23-8 139470-25-0 143122-51-4 143142-38-5 143169-37-3 172666-03-4 172666-04-5**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(self-enhancement of paracellular transport of peptidomimetic renin inhibitors across monolayers of human intestinal cell line Caco-2)
RN 139470-23-8 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-trideoxy-5-S-4-pyridinyl-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



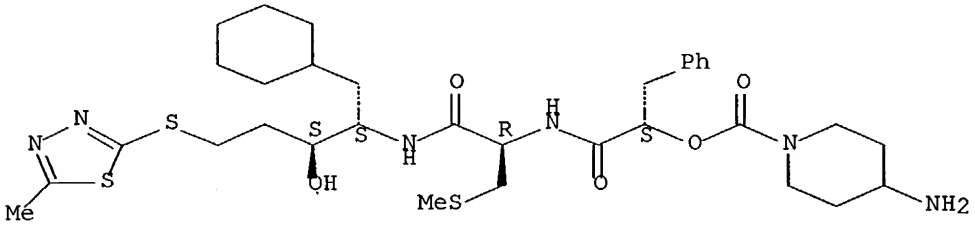
RN 139470-25-0 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-trideoxy-5-S-2-pyrimidinyl-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



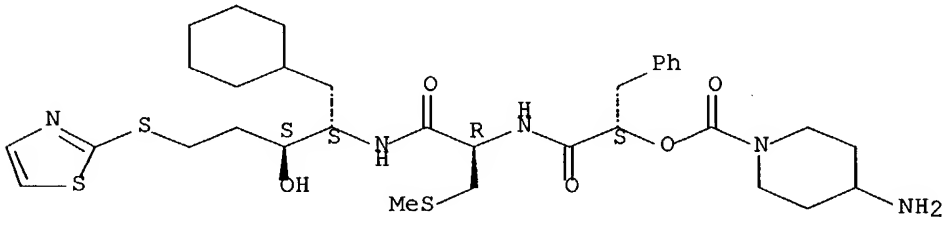
RN 143122-51-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-4-[(5-methyl-1,3,4-thiadiazol-2-yl)thio]butyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[R*[S*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



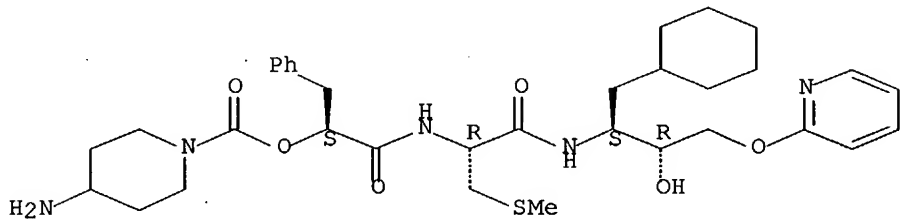
RN 143142-38-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-4-(2-thiazolylthio)butyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[R*[S*(R*)],2R*]]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



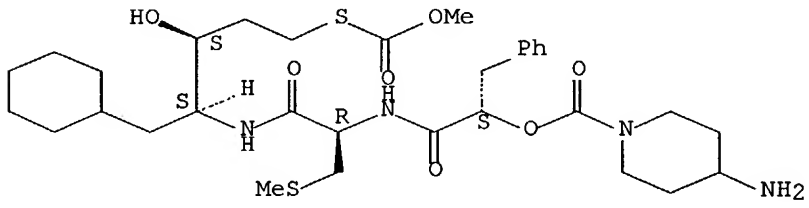
RN 143169-37-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(2-pyridinyloxy)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[R*[S*(R*)],2S*]]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



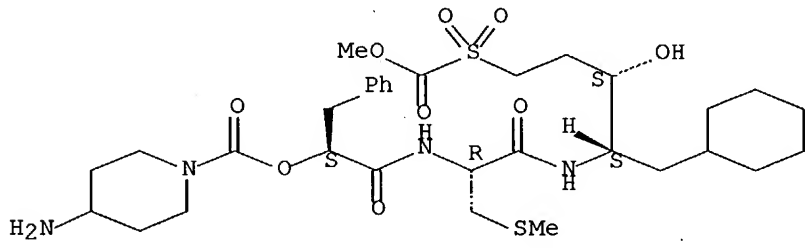
RN 172666-03-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 7-(cyclohexylmethyl)-8-hydroxy-4-[(methylthio)methyl]-2,5,12-trioxo-1-(phenylmethyl)-13-oxa-11-thia-3,6-diazatetradec-1-yl ester, [1S-(1R*,4S*,7R*,8R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 172666-04-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 7-(cyclohexylmethyl)-8-hydroxy-4-[(methylthio)methyl]-11,11-dioxido-2,5,12-trioxo-1-(phenylmethyl)-13-oxa-11-thia-3,6-diazatetradec-1-yl ester, [1S-(1R*,4S*,7R*,8R*)]- (9CI) (CA INDEX NAME)

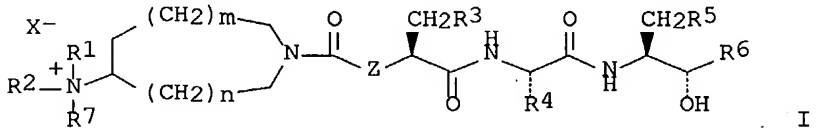
Absolute stereochemistry.



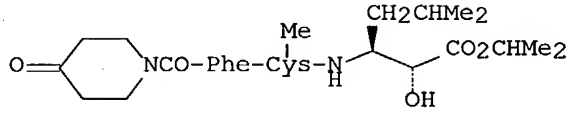
L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:833312 CAPLUS Full-text
DN 124:87802
TI Preparation of norstatine peptide analogs as orally active renin inhibitors
IN Hoover, Dennis J.; Lefker, Bruce A.; Rosati, Robert L.
PA Pfizer Inc., USA
SO U.S., 62 pp. Cont. of U.S. Ser. No. 638, 238, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5442044	A	19950815	US 1993-28038	19930308
PRAI US 1991-638238		19910104		

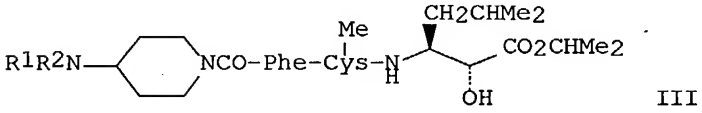
OS MARPAT 124:87802
GI



I



II



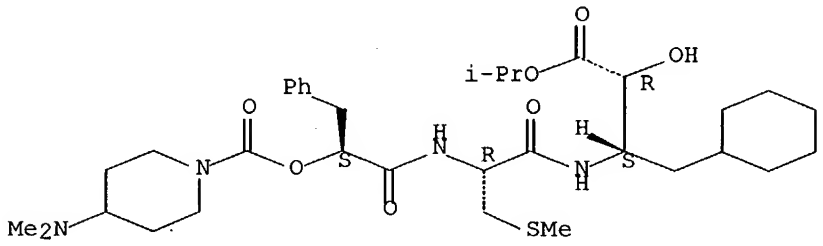
III

AB Peptide analogs I [m, n = independently 0, 1; R1, R2 = independently H, C1-8 alkyl, C1-6 alkoxy-C2-8 alkyl, C1-6 alkylamino-C2-8 alkyl, di(C1-8 alkyl)amino-C28 alkyl; NR1R2 = 4-8 membered ring with 0-2 O or N atoms, optionally containing 1-2 OH or C1-6 alkyl groups attached to ring C or N atoms; R7 = C1-7 alkyl or absent, with the proviso that when R7 is absent, the N does not carry a pos. charge and X- is absent; X- = pharmaceutically acceptable anion or shared anion; Z = CH2, O, NH; R3 = Ph, C5-7 cycloalkyl, 1-naphthyl, 2-naphthyl, CH2Ph, 2-thenyl, 3-thienyl, where the Ph is optionally substituted with 1-2 C1-5 alkoxy groups and/or 1-2 halogen atoms; R4 = C1-8 alkyl, C1-8 alkyl substituted with hydroxy, C1-8 alkylthio, C1-8 alkoxy, 4-imidazolylmethyl, thienylmethyl, or C2-8 alkenylmethyl groups; R5 = C5-C7 cycloalkyl, Ph; R6 = CO2C1-8 alkyl, CONHR8, CONR11R12; R8 = C1-8 alkyl substituted with 1-3 halogen atoms; R11, R12 = independently H, C1-8 alkyl] and the pharmaceutically acceptable salts thereof are claimed as orally active renin inhibitors and are useful as antihypertensive agents. Thus, reductive amination of norstatine peptide II with amines R1R2NH gave amino derivs. III, which had IC50 less than 50 nanomolar against human plasma renin at pH 7.4.

IT **137962-60-8P 138125-47-0P 172281-65-1P**
172340-84-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of norstatine peptide analogs as orally active renin inhibitors)

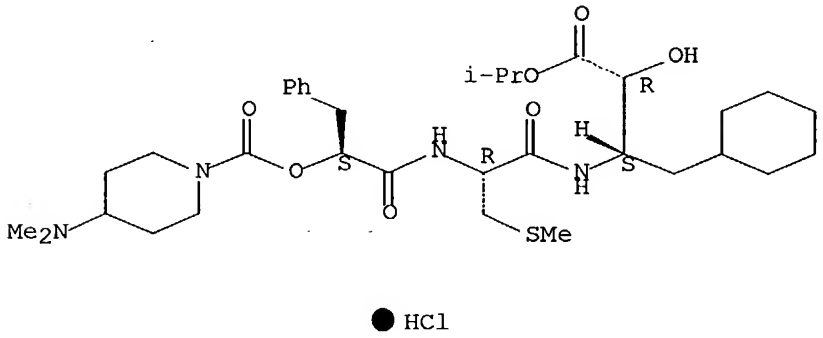
RN 137962-60-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(dimethylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 138125-47-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(dimethylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
monohydrochloride, [1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

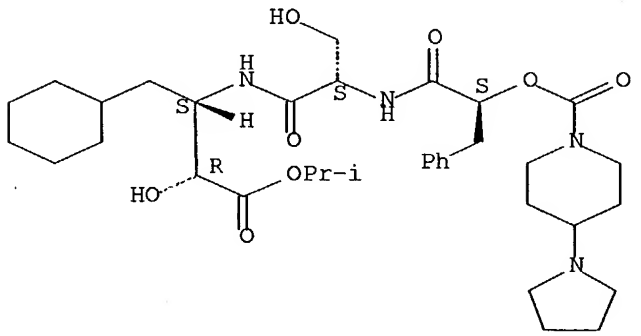
Absolute stereochemistry.



RN 172281-65-1 CAPLUS

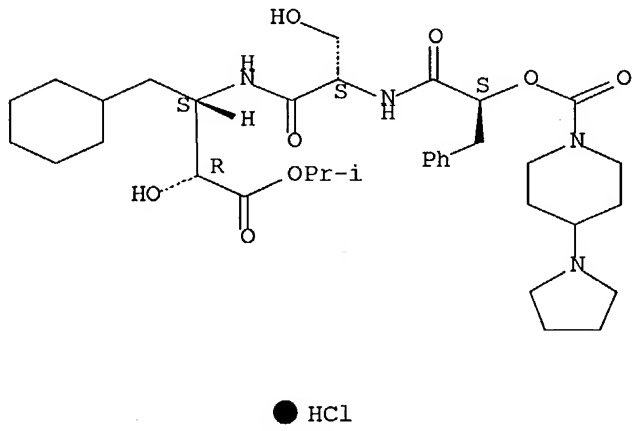
CN 1-Piperidinecarboxylic acid, 4-(1-pyrrolidinyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-(hydroxymethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 172340-84-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1-pyrrolidinyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-(hydroxymethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, monohydrochloride, [1S-[1R*[R*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

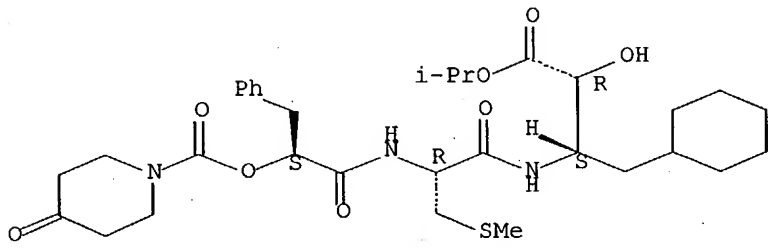


● HCl

IT **138021-77-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of norstatine peptide analogs as orally active renin inhibitors)
RN 138021-77-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-
(9CI) (CA INDEX NAME)

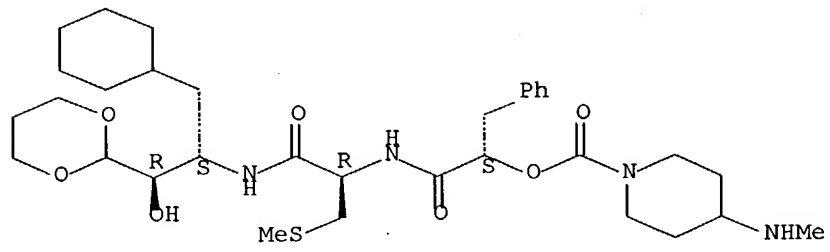
Absolute stereochemistry.



IT **137991-70-9P 137991-71-0P 137991-72-1P**
137991-73-2P 137991-74-3P 137991-75-4P
137991-76-5P 137991-77-6P 137991-79-8P
137991-96-9P 137991-99-2P 137992-00-8P
137992-01-9P 137992-04-2P 138021-54-2P
138021-56-4P 138021-57-5P 138126-36-0P
172340-92-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of norstatine peptide analogs as orally active renin inhibitors)

RN 137991-70-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dioxan-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



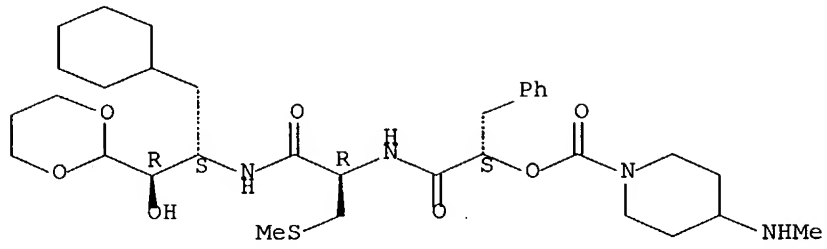
RN 137991-71-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dioxan-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,

[1S-[1R*[S*(R*)],2S*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

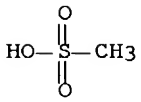
CRN 137991-70-9
CMF C33 H52 N4 O7 S

Absolute stereochemistry.



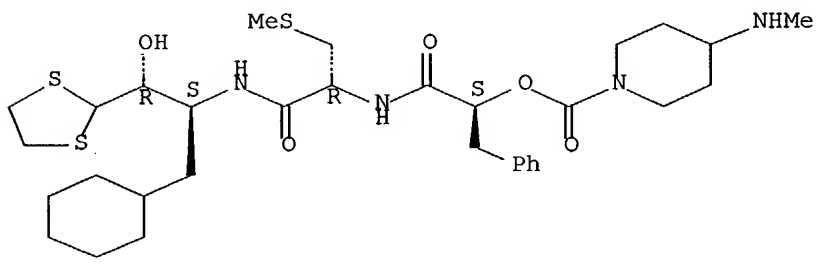
CM 2

CRN 75-75-2
CMF C H4 O3 S



RN 137991-72-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dithiolan-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

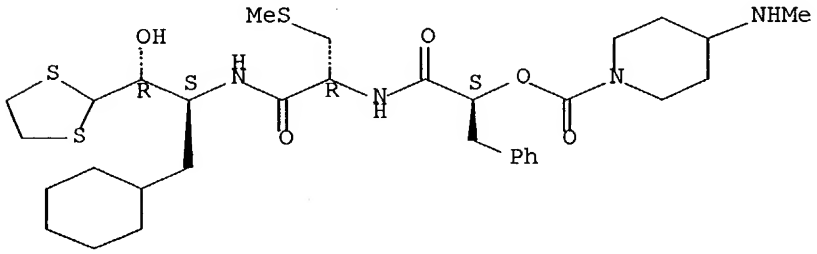


RN 137991-73-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dithiolan-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

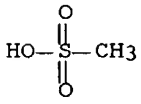
CRN 137991-72-1
CMF C32 H50 N4 O5 S3

Absolute stereochemistry.



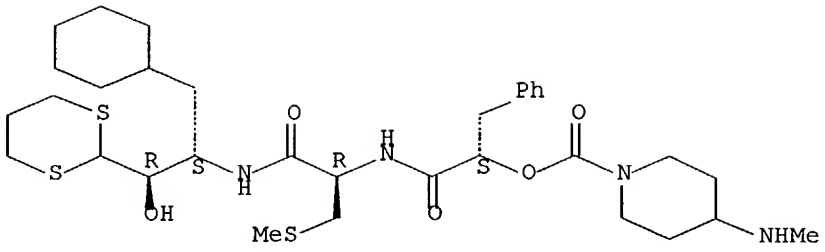
CM 2

CRN 75-75-2
CMF C H4 O3 S



RN 137991-74-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dithian-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

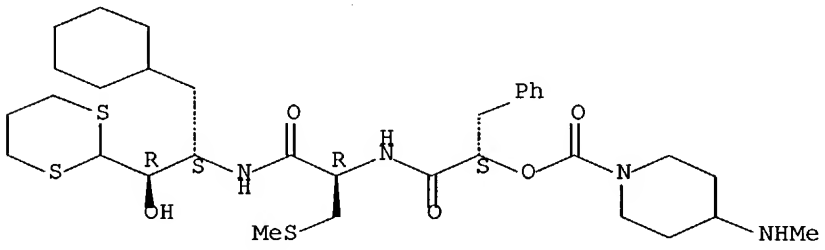


RN 137991-75-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dithian-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

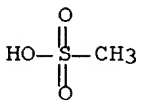
CRN 137991-74-3
CMF C33 H52 N4 O5 S3

Absolute stereochemistry.



CM 2

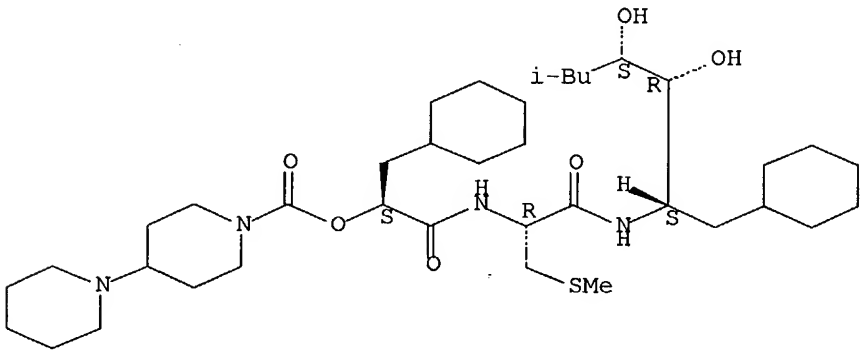
CRN 75-75-2
CMF C H4 O3 S



RN 137991-76-5 CAPLUS

CN [1,4'-Bipiperidine]-1'-carboxylic acid, 1-(cyclohexylmethyl)-2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxoethyl ester, [1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

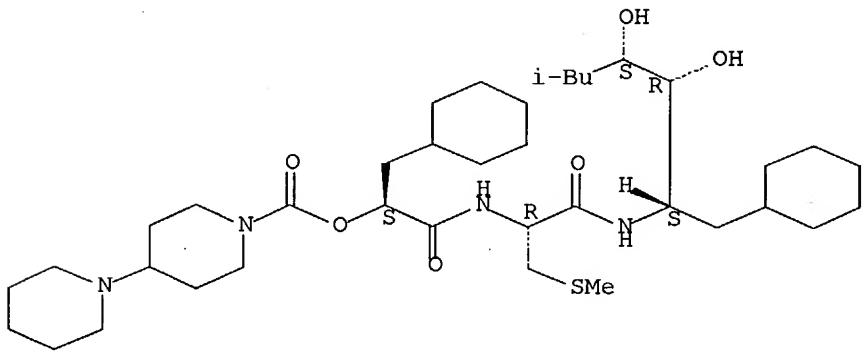


RN 137991-77-6 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 1-(cyclohexylmethyl)-2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxoethyl ester, [1S-[1R*[S*(R*)],2S*,3R*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

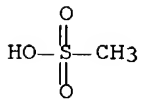
CRN 137991-76-5
CMF C38 H68 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

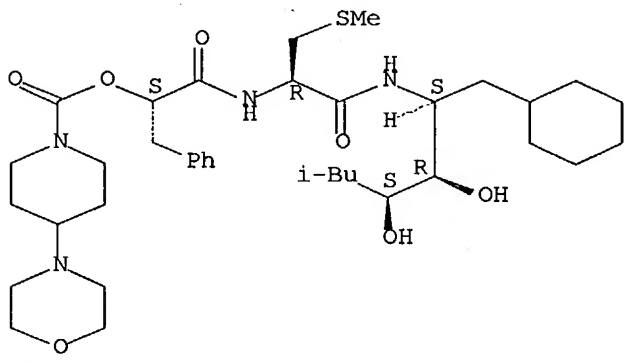


RN 137991-79-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-morpholinyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*,3R*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

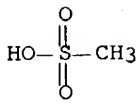
CRN 137991-78-7
CMF C37 H60 N4 O7 S

Absolute stereochemistry.



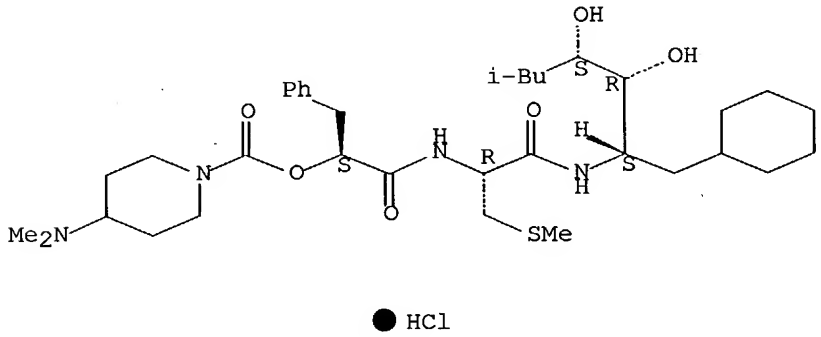
CM 2

CRN 75-75-2
CMF C H4 O3 S



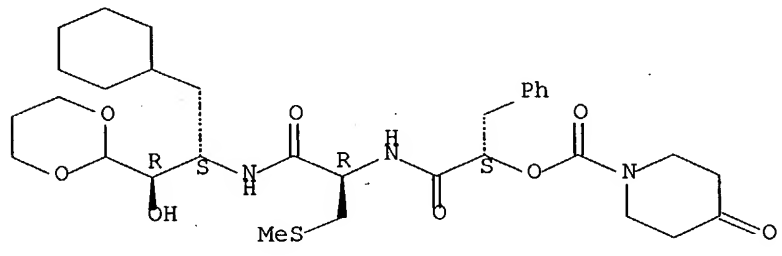
RN 137991-96-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(dimethylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, monohydrochloride, [1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 137991-99-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dioxan-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

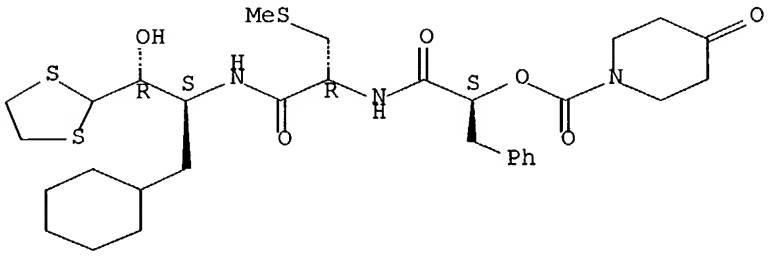
Absolute stereochemistry.



RN 137992-00-8 CAPLUS

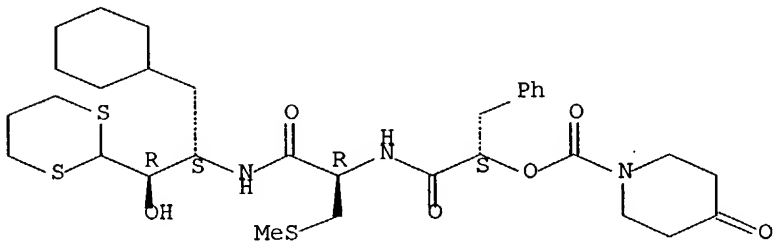
CN 1-Piperidinecarboxylic acid, 4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-
dithiolan-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-
oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



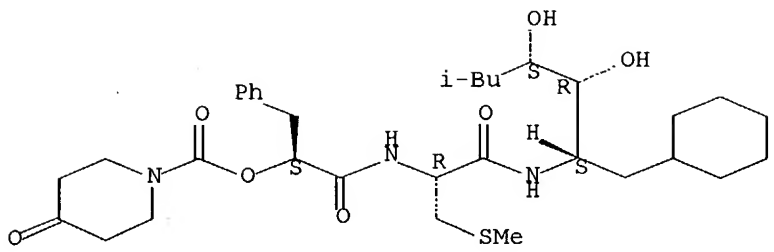
RN 137992-01-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-
dithian-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-
oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



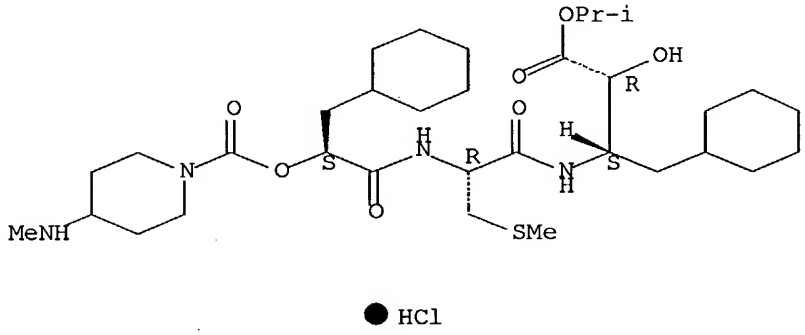
RN 137992-04-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-
dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-
oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



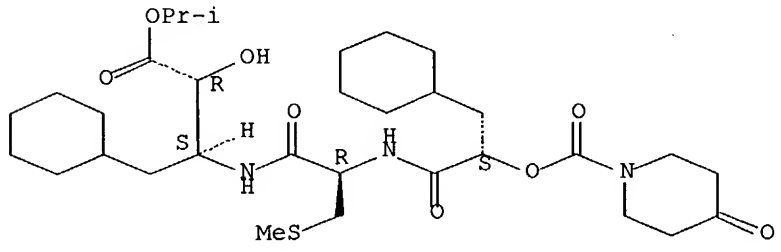
RN 138021-54-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 1-(cyclohexylmethyl)-2-[[2-
[[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-
[(methylthio)methyl]-2-oxoethyl]amino]-2-oxoethyl ester,
monohydrochloride, [1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



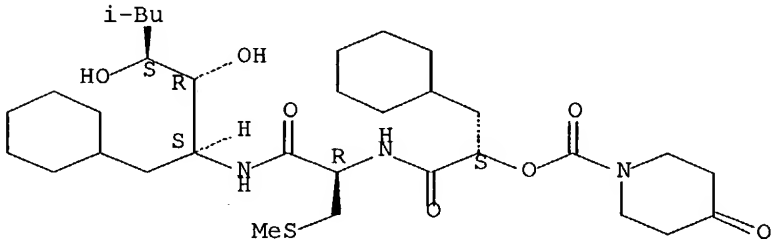
RN 138021-56-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 1-(cyclohexylmethyl)-2-[[2-[[1-
(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-
[(methylthio)methyl]-2-oxoethyl]amino]-2-oxoethyl ester,
[1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



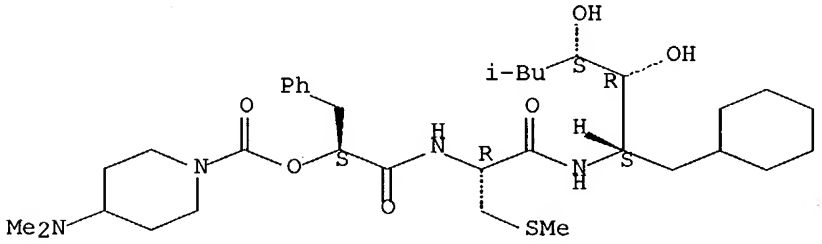
RN 138021-57-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 1-(cyclohexylmethyl)-2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxoethyl ester, [1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



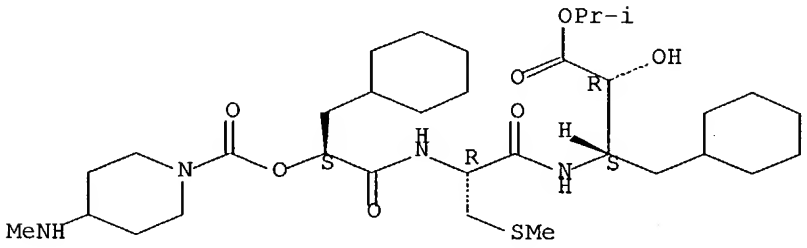
RN 138126-36-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(dimethylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 172340-92-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 1-(cyclohexylmethyl)-2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxoethyl ester, [1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:315543 CAPLUS Full-text
DN 122:106533
TI Preparation of cyclohexyl(phenylalanyl)(histidinyl)aminoheptanols as renin
inhibitors
IN Shibata, Saizo; Yamada, Yasuki; Ando, Koji; Fukui, Kiyoshi
PA Japan Tobacco Inc., Japan
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

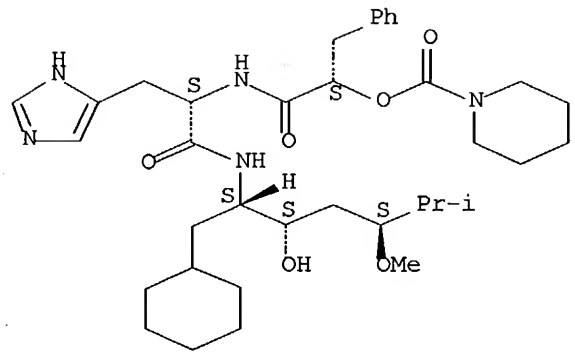
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9406755	A1	19940331	WO 1993-JP1330	19930916
W: CA, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 06199891	A2	19940719	JP 1993-230365	19930916
PRAI JP 1992-273430		19920918		

OS MARPAT 122:106533
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

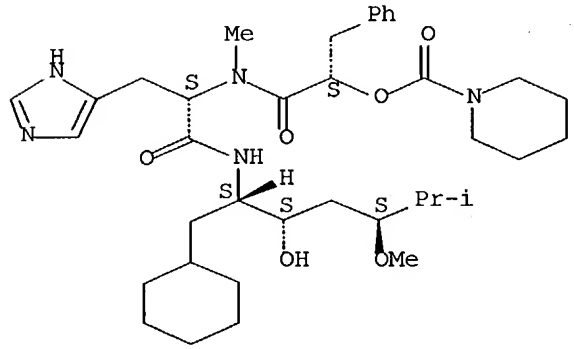
AB The title compds. I [A represents WXYCHR1CO, etc; W represents Q1, etc.; X represents CO or SO2; Y represents CH2, O, etc.; R1 represents aralkyl which may be substituted by lower alkoxy; R2 represents hydrogen or lower alkyl; R3 represents Q2, etc.; R4 represents lower alkyl, lower-alkoxy-substituted lower alkyl, optionally lower-alkoxy-substituted benzyl, etc.; R5 represents lower alkyl; T = H, lower alkyl, etc.] are prepared In an in vitro renin inhibiting test using plasma, title compound II (preparation given) showed IC50 of 3.7 x 10-9 M.
IT **160504-44-9P 160504-46-1P 160504-48-3P**
160504-55-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)
RN 160504-44-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-4-methoxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-
2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*,4R*]]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



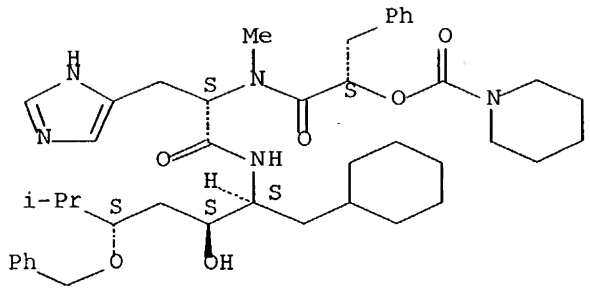
RN 160504-46-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-4-methoxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*,4R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



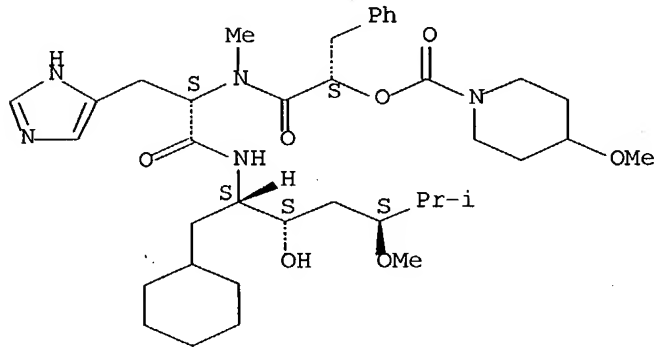
RN 160504-48-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methyl-4-(phenylmethoxy)hexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*,4R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160504-55-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-methoxy-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-4-methoxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*,4R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:246513 CAPLUS Full-text
DN 122:31505
TI preparation of dioxacycloalkane compounds having renin-inhibitory activity
IN Shibata, Saizo; Yamada, Yasuki; Ando, Koji; Fukui, Kiyoshi
PA Japan Tobacco, Inc., Japan; Yoshitomi Pharmaceutical Industries, Ltd.
SO PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9404523	A1	19940303	WO 1993-JP1156	19930818
	W: CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 656356	A1	19950607	EP 1993-919555	19930818
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 2630506	B2	19970716	JP 1993-506109	19930818
	US 5750696	A	19980512	US 1995-387808	19950221
PRAI	JP 1992-244037		19920821		
	WO 1993-JP1156		19930818		

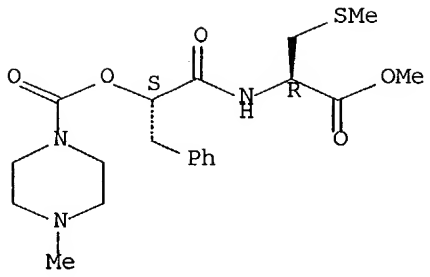
OS MARPAT 122:31505
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = heterocyclylacyl, etc.; R2 = H, alkyl; R3 = alkylthioalkyl, imidazolylmethyl; R4, R5 = H, alkyl; E = (un)substituted CH2, CH2CH2], useful as antihypertensives and in treating cardiac insufficiency, are prepared A solution of 4N HCl-dioxane and isopentyl nitrite were added to a solution of II (preparation given) in DMF with stirring at -30°, the mixture was cooled to -70°, neutralized with Et3N and treated with III (preparation given), and the mixture was stirred at -4° to give IV, which showed IC50 of 9x10-9 M against renin activity in human blood plasma and lowered the blood pressure by 10-12% at 10 mg/kg p.o. in marmoset.

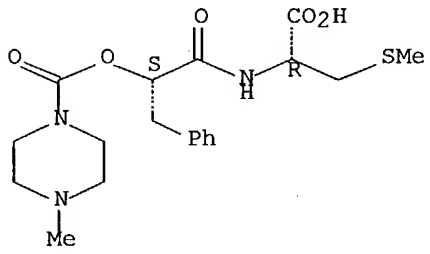
IT **159631-70-6P 159631-71-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction of, in preparation of renin inhibitors)
RN 159631-70-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, 2-[[2-methoxy-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
[S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



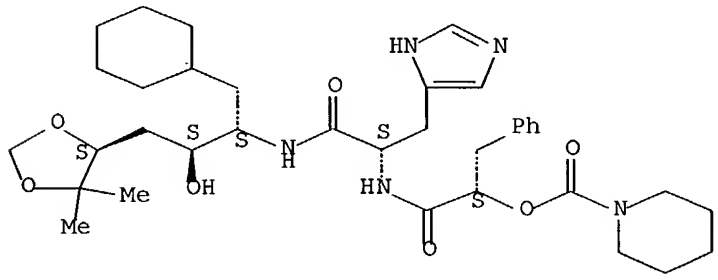
RN 159631-71-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, 2-[[1-carboxy-2-(methylthio)ethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



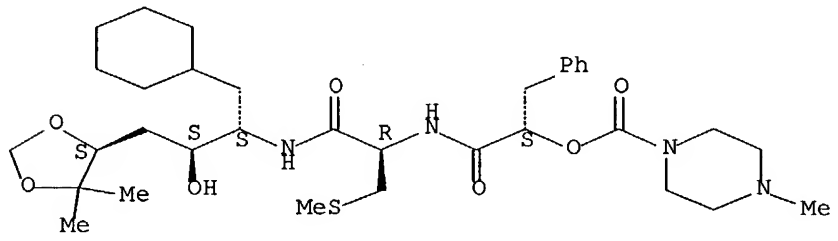
IT **159631-73-9P 159631-75-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as renin inhibitor)
RN 159631-73-9 CAPLUS
CN D-xylo-Heptitol, 1-cyclohexyl-1,2,4,7-tetradeoxy-2-[[3-(1H-imidazol-4-yl)-
1-oxo-2-[[1-oxo-3-phenyl-2-[(1-piperidinylcarbonyl)oxy]propyl]amino]propyl
]amino]-6-C-methyl-5,6-O-methylene-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



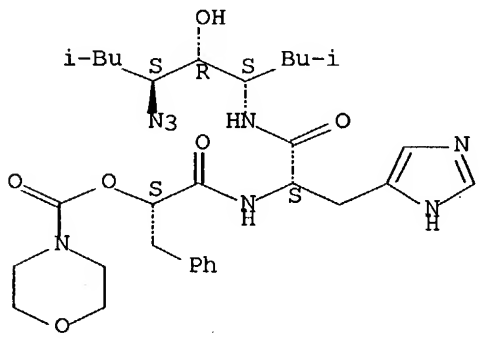
RN 159631-75-1 CAPLUS
CN D-xylo-Heptitol, 1-cyclohexyl-1,2,4,7-tetradeoxy-6-C-methyl-5,6-O-methylene-2-[[2-[[2-[[(4-methyl-1-piperazinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-, [S-(R*,S*)]-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:67122 CAPLUS Full-text
DN 122:230104
TI Potent renin inhibition activity of tetrapeptide mimetics with a 1,2-hydroxyazidoethylene group connecting the P1 and P1' residues
AU Almquist, R. G.; Nakazato, A.; Kameo, K.; Fukushima, H.; Chao, W.-R.
CS Biogen Inc., Cambridge, MA, 02142, USA
SO Pept.: Chem., Struct. Biol., Proc. Am. Pept. Symp., 13th (1994), Meeting
Date 1993, 281-3. Editor(s): Hodges, Robert S.; Smith, John A. Publisher:
ESCOM, Leiden, Neth.
CODEN: 60LXAW
DT Conference
LA English
AB When tested with human renin, tetrapeptide mimetics with a 1,2-hydroxyazidoethylene group were more potent than compds. with a hydroxyamino group. Also the stereochem. for the most active isomer in the hydroazido series was the same as that reported earlier for the most active isomer in the dihydroxy series.
IT **148945-39-5 162128-97-4 162128-98-5**
162128-99-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(potent human renin inhibition activity of tetrapeptide mimetics with a 1,2-hydroxyazidoethylene group connecting the P1 and P1' residues)
RN 148945-39-5 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[3-azido-2-hydroxy-5-methyl-1-(2-methylpropyl)hexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

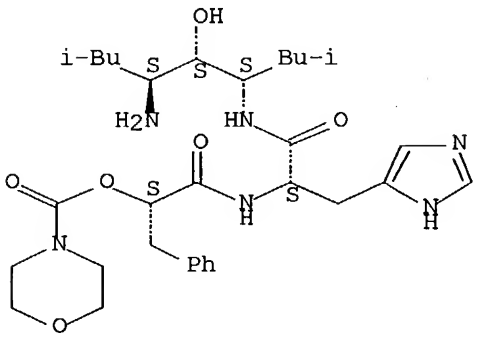
Absolute stereochemistry.



RN 162128-97-4 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[3-amino-2-hydroxy-5-methyl-1-(2-methylpropyl)hexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-

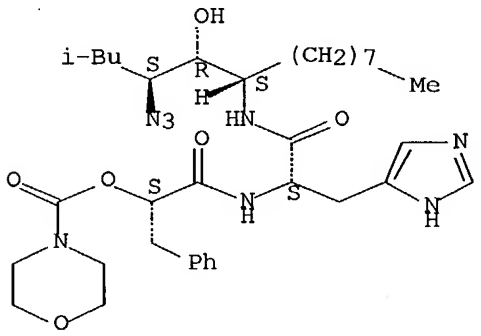
oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



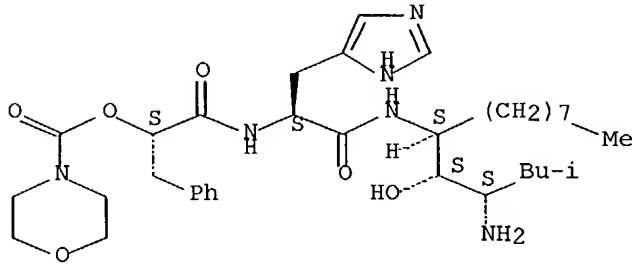
RN 162128-98-5 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(2-azido-1-hydroxy-4-methylpentyl)nonyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1R-[1R*[S*[S*(S*)]],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 162128-99-6 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(2-amino-1-hydroxy-4-methylpentyl)nonyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)

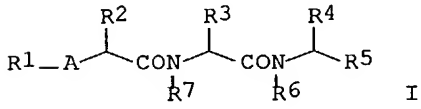
Absolute stereochemistry.



L4 ANSWER 14 OF 47 CAPLUS . COPYRIGHT 2004 ACS on STN
AN 1994:410003 CAPLUS Full-text
DN 121:10003
TI Preparation of peptides by reaction of olefinic alcohol and enol ether for treatment of tachypnea and myocardial reperfusion injury.
IN Itsumi, Keiji; Kei, Seihaku; Fukami, Jikiki; Hashihon, Sanashi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 131 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 05208914	A2	19930820	JP 1992-233604	19920901
	US 5430022	A	19950704	US 1993-86094	19930706
	US 5656604	A	19970812	US 1995-422944	19950417
PRAI	US 1991-753997		19910903		
	GB 1990-10740		19900514		
	GB 1990-26254		19901203		
	GB 1991-4064		19910227		
	US 1991-696701		19910507		
	US 1992-845056		19920303		
	US 1993-86094		19930706		

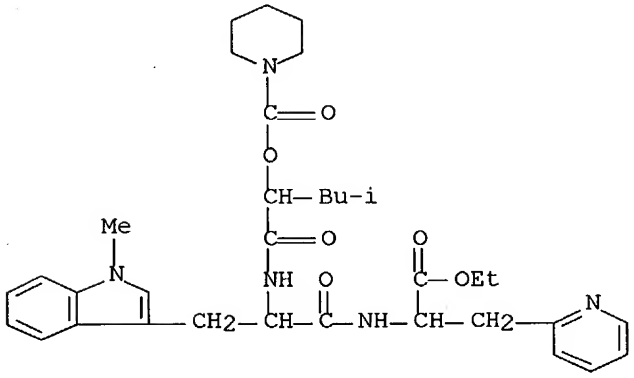
OS MARPAT 121:10003
GI



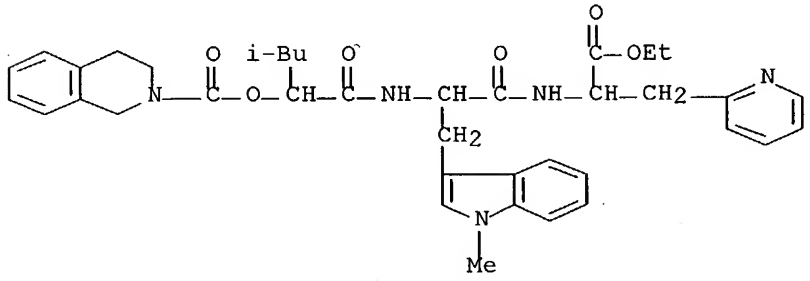
I

AB Title compds. I [R1 = H, acyl; R2 = alkyl, (un)substituted aralkyl, cycloalkylalkyl, (un)substituted heterocyclylalkyl; R3 = (un)substituted heterocyclylalkyl, (un)substituted aralkyl; R4 = H, (un)substituted alkyl; R5 = carboxy, (un)protected carboxy, (un)protected carboxyalkyl; R6 = H, (un)substituted alkyl; R7 = H, alkyl; A = O, NH, alkylimino, alkylene; with provisos], useful for the treatment of many cardiovascular injury, e.g., hypertension, are prepared Thus, a mixture of N-phenylacetyl-Leu-OH and H-D-Trp(Me)-D-Phe-OMe.HCl in DMF was stirred with ice cooling for 4.5 h to give PhCH2CO-Leu-D-Trp(Me)-D-Phe-OMe. In an in vitro study, Q-Leu-D-Trp(Me)-D-Pya-OH.HCl [Q = cyclohexylcarbamoyl, Pya = 2-pyridylalanine] (also prepared) had an IC50 of 2.3+10-9 M against the binding of 125-I-endothelin-1 with pig aorta receptors.
IT **142376-05-4P 142376-07-6P 142376-75-8P**
142376-77-0P 142376-78-1P 142379-23-5P
142379-25-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, for treatment of tachypnea and myocardial reperfusion injury)
RN 142376-05-4 CAPLUS

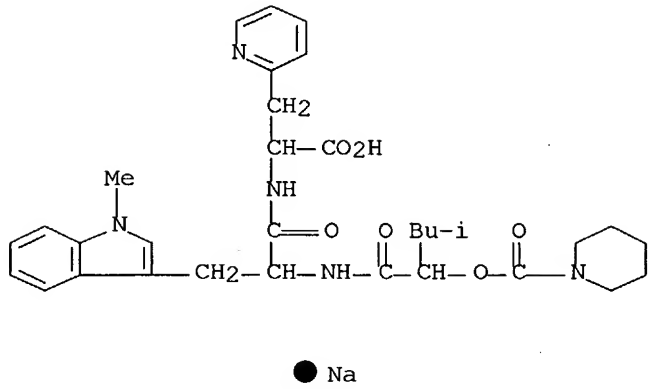
CN D-Alanine, N-[1-methyl-N-[4-methyl-1-oxo-2-[(1-piperidinylcarbonyl)oxy]pentyl]-D-tryptophyl]-3-(2-pyridinyl)-, ethyl ester, (S)- (9CI) (CA INDEX NAME)



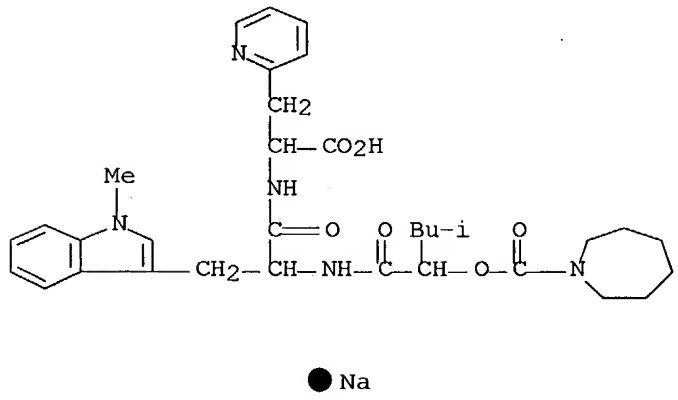
RN 142376-07-6 CAPLUS
CN D-Alanine, N-[N-[2-[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]oxy]-4-methyl-1-oxopentyl]-1-methyl-D-tryptophyl]-3-(2-pyridinyl)-, ethyl ester,
(S)- (9CI) (CA INDEX NAME)



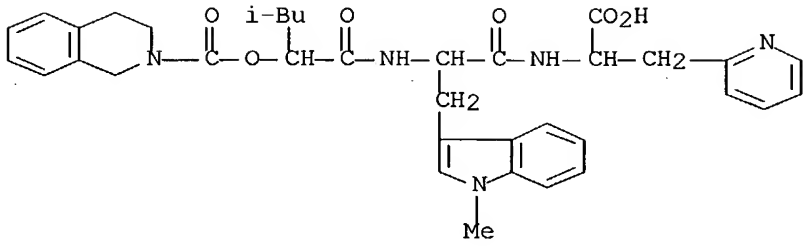
RN 142376-75-8 CAPLUS
CN D-Alanine, N-[1-methyl-N-[4-methyl-1-oxo-2-[(1-piperidinylcarbonyl)oxy]pentyl]-D-tryptophyl]-3-(2-pyridinyl)-, monosodium
salt, (S)- (9CI) (CA INDEX NAME)



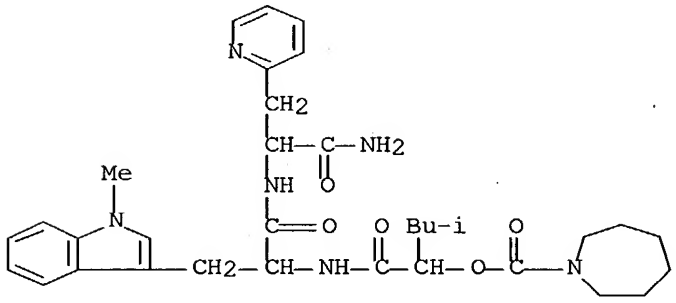
RN 142376-77-0 CAPLUS
CN D-Alanine, N-[N-[2-[[(hexahydro-1H-azepin-1-yl)carbonyl]oxy]-4-methyl-1-oxopentyl]-1-methyl-D-tryptophyl]-3-(2-pyridinyl)-, monosodium salt, (S)-
(9CI) (CA INDEX NAME)



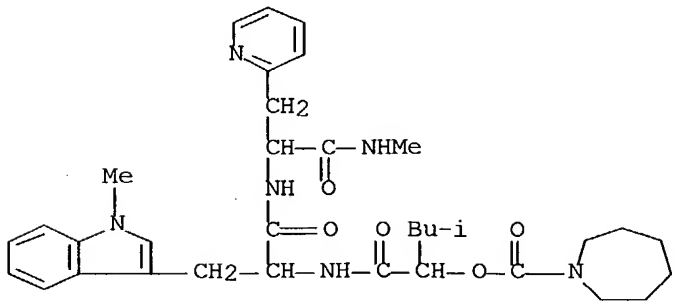
RN 142376-78-1 CAPLUS
CN D-Alanine, N-[N-[2-[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]oxy]-4-methyl-1-oxopentyl]-1-methyl-D-tryptophyl]-3-(2-pyridinyl)-, monosodium salt, (S)- (9CI) (CA INDEX NAME)



RN 142379-23-5 CAPLUS
CN D-Alaninamide, N-[2-[[(hexahydro-1H-azepin-1-yl)carbonyl]oxy]-4-methyl-1-
oxopentyl]-1-methyl-D-tryptophyl-3-(2-pyridinyl)-, (S)- (9CI) (CA INDEX NAME)

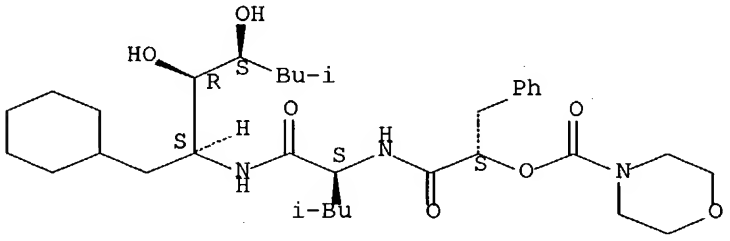


RN 142379-25-7 CAPLUS
CN D-Alaninamide, N-[2-[[(hexahydro-1H-azepin-1-yl)carbonyl]oxy]-4-methyl-1-
oxopentyl]-1-methyl-D-tryptophyl-N-methyl-3-(2-pyridinyl)-, (S)- (9CI) (CA INDEX NAME)

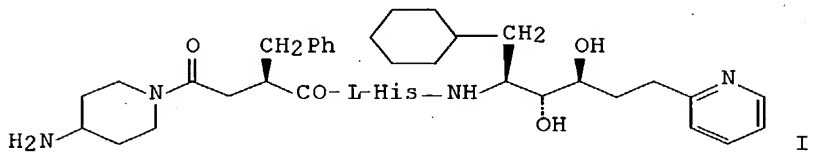


L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:207844 CAPLUS Full-text
DN 120:207844
TI Role of intestinal transport and first pass liver extraction on oral delivery of renin inhibitor compounds
AU Kararli, Tugrul T.; Farhadieh, Bahram; Bittner, Steve; Babler, Maribeth; Yang, Po Chang; Walsh, Gerald M.
CS G.D. Searle and Co., Skokie, IL, 60077, USA
SO International Journal of Pharmaceutics (1994), 102(1-3), 177-84
CODEN: IJPHDE; ISSN: 0378-5173
DT Journal
LA English
AB The absolute bioavailabilities of three renin inhibitor compds., one uncharged (compound I) and two pos. charged (compds. II and III), were found to be comparable (1-3%). To determine the role of intestinal transport and first pass liver extraction (FPLE) in the oral delivery of these compds. i.v., intraportal, intraduodenal and i.p. studies were performed in the rat. In the intraduodenal studies, drug solns. were injected into the duodenum of anesthetized rats and portal and systemic blood was collected. In the intraportal studies, the drug solns. were injected into the portal vein and systemic blood was collected. From the ratio of the area under the drug concentration-time curves (tAUC) for the oral and intraportal studies, the extent of intestinal transport of compds. I-III was estimated as 9.7, 2.2 and 2.2%, resp. In the intraduodenal studies the maximum portal plasma concns. of compds. I-III were 2.8, 0.5 and 0.2 μg/mL, resp. The tAUC of compound I in portal plasma was 8-26-times higher than those for compds. II and III. From comparison of the intraportal and i.v. tAUC values, the FPLE of compds. I-III was estimated as 76 ± 4, 61 ± 3 and 8 ± 23% (mean ± SE), resp. Overall, the results indicated that the intestinal transport and FPLE of compound I was the highest among the three analogs. Compound II showed low intestinal transport and high FPLE and compound III showed low intestinal transport and low but variable FPLE.
IT **120729-15-9**
RL: BIOL (Biological study)
(intestinal transport and liver extraction of, oral bioavailability in relation to)
RN 120729-15-9 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-[[[(1S,2R,3S)-1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



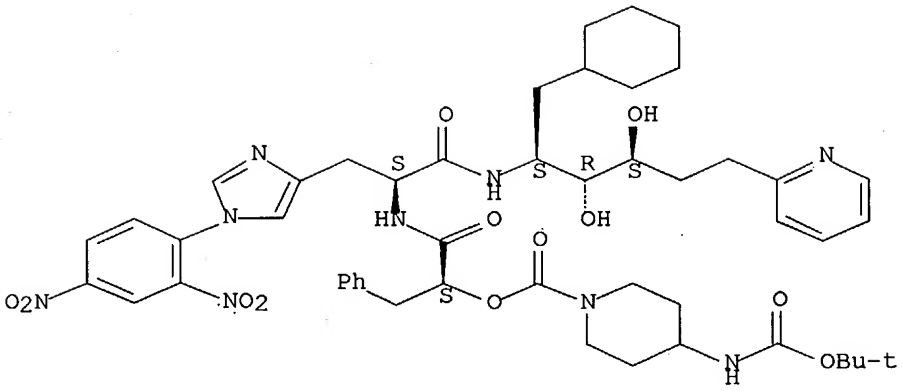
L4 ANSWER 16 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:626398 CAPLUS Full-text
DN 119:226398
TI Renin inhibitors containing a pyridyl amino diol derived C-terminus
AU Heitsch, Holger; Henning, Rainer; Kleemann, Heinz Werner; Linz, Wolfgang; Nickel, Wolf Ulrich; Ruppert, Dieter; Urbach, Hansjoerg; Wagner, Adalbert
CS Hoechst AG, Frankfurt/Main, 6230/80, Germany
SO Journal of Medicinal Chemistry (1993), 36(19), 2788-800
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I

AB Based on the concept of transition-state analogs, a series of nonpeptide renin inhibitors with the new (2S,3R,4S)-2-amino-1-cyclohexyl-3,4-dihydroxy-6-(2-pyridyl)hexane moiety at the C-terminal functionality were synthesized and evaluated for inhibition of renin both in vitro and in vivo. All compds. exhibited potencies in the nanomolar or even subnanomolar range when tested vs. human renin in vitro. Selected inhibitors were evaluated in anesthetized, sodium-depleted rhesus monkeys and produced a marked reduction in mean arterial blood pressure (MAP) upon intraduodenal administration of a dose of 2 mg/kg. I.AcOH (S 2864), containing an amino piperidinylsuccinic acid-derived N-terminal, is the most promising member in this series. I.AcOH inhibited human renin with IC50 = 0.38 nM, did not affect other human aspartic proteinases, and decreased mean arterial blood pressure significantly by 27% with a duration of action of 90 min after administration of 2 mg/kg id in anesthetized, sodium-depleted rhesus monkeys.
IT **135632-32-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and deblocking of, in preparation of renin inhibitor)
RN 135632-32-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]amino]-1-
[[1-(2,4-dinitrophenyl)-1H-imidazol-4-yl]methyl]-2-oxoethyl]amino]-2-oxo-1-
(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

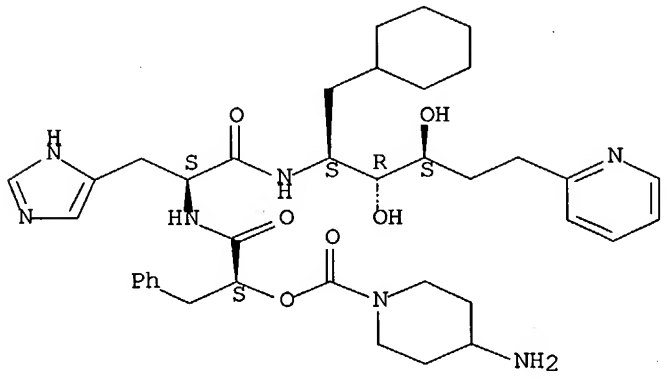


IT **150823-61-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)(preparation and renin inhibitory activity of)
RN 150823-61-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

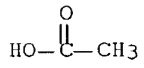
CRN 135631-97-9
CMF C38 H53 N7 O6

Absolute stereochemistry.



CM 2

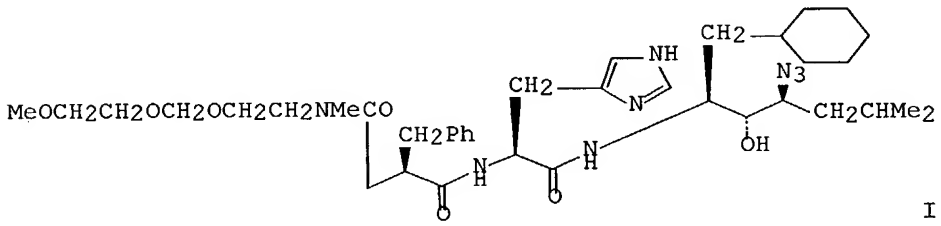
CRN 64-19-7
CMF C2 H4 O2



L4 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:496179 CAPLUS Full-text
DN 119:96179
TI Hydroxy azido derivatives and related compounds as renin inhibitors
IN Almquist, Ronald G.; Nakazato, Atsuro
PA SRI International, USA
SO PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9221696	A1	19921210	WO 1992-US3893	19920506
	W: CA, JP, KR				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	US 5268361	A	19931207	US 1991-712311	19910607
	CA 2110381	AA	19921210	CA 1992-2110381	19920506
	EP 587767	A1	19940323	EP 1992-913519	19920506
	R: DE, FR, GB, IT, NL				
	JP 06508137	T2	19940914	JP 1992-500421	19920506
PRAI	US 1991-712311		19910607		
	WO 1992-US3893		19920506		

OS MARPAT 119:96179
GI



I

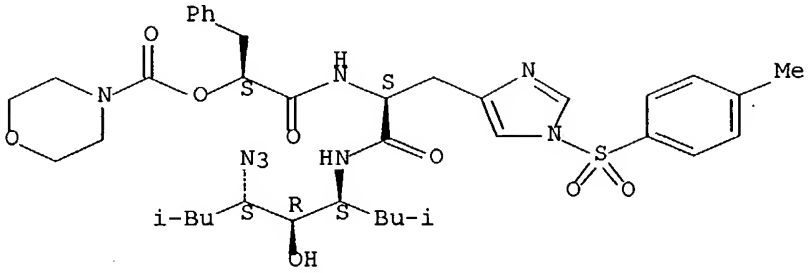
AB RCONR1CHR2CONHCHR3CH(OH)CR4R5N3 [R = CHR6CH2R7, CR6:CHR7; R1 = H, alkyl; R2 = alkyl, alkenyl, alkoxyalkyl, alkoxy, CH2Ph, heterocyclylmethyl; R3 = alkyl, cycloalkylmethyl, CH2Ph; R4 = H, alkyl, vinyl, aralkyl; R5 = H, alkyl; R6 = H, (un)substituted alkyl; R7 = alkyl, cycloalkyl, (un)substituted aryl] were prepared Thus, the histidine derivative I was obtained from Me3CO2C-Ph-OMe, protected histidine, and (R)-MeOCH2CH2OCH2OCH2CH2NMeCOCH2CH(CH2Ph)CO2H in 7 steps. I had a renin-inhibiting ED50 of 0.008 nM.

IT **148945-38-4P 148975-73-9P 148975-79-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT
(Reactant or reagent)
(preparation and detosylation of)

RN 148945-38-4 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[3-azido-2-hydroxy-5-methyl-1-(2-methylpropyl)hexyl]amino]-1-[[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,

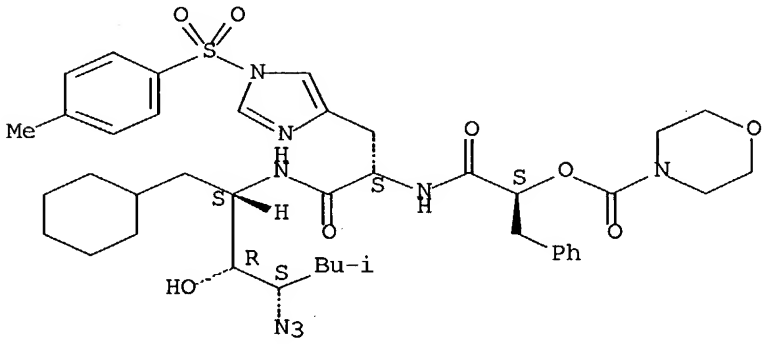
[1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



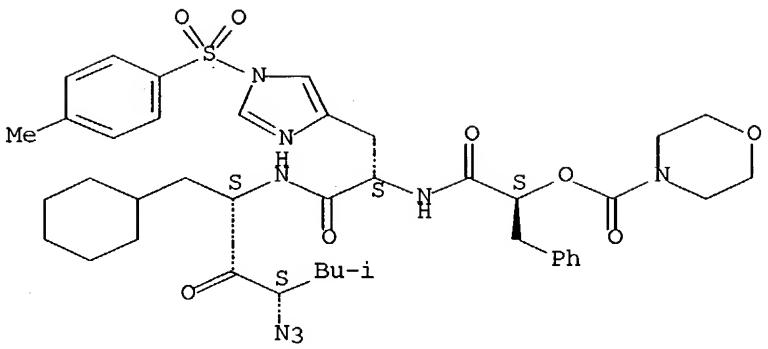
RN 148975-73-9 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[3-azido-1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-[[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



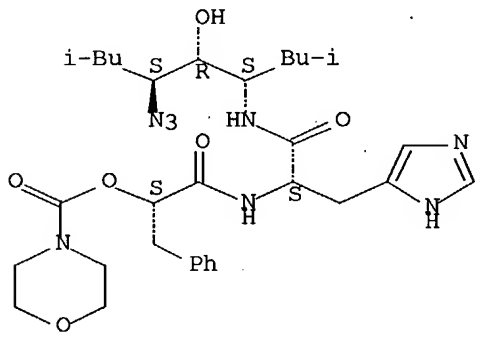
RN 148975-79-5 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[3-azido-1-(cyclohexylmethyl)-5-methyl-2-oxohexyl]amino]-1-[[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



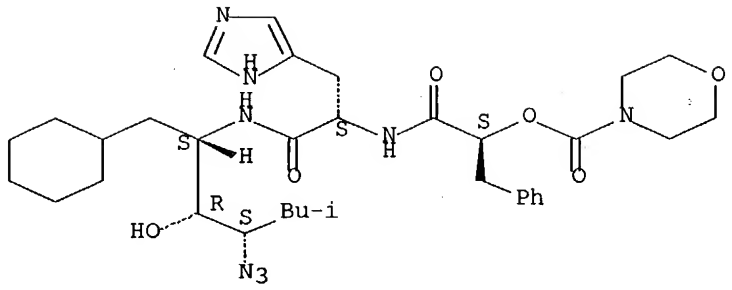
IT **148945-39-5P 148975-74-0P 148975-80-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and renin-inhibiting activity of)
RN 148945-39-5 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[3-azido-2-hydroxy-5-methyl-1-(2-methylpropyl)hexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

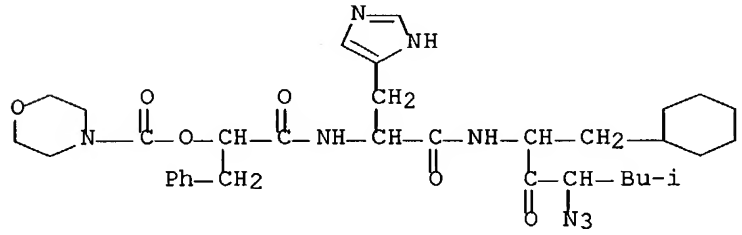


RN 148975-74-0 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[3-azido-1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



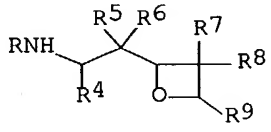
RN 148975-80-8 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[3-azido-1-(cyclohexylmethyl)-5-methyl-
2-oxohexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-
(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)



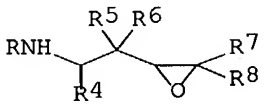
L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:409168 CAPLUS Full-text
DN 119:9168
TI Preparation of oxiranyl and oxetanyl renin inhibiting compounds
IN Rosenberg, Saul H.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9222313	A1	19921223	WO 1992-US4423	19920526
	W: AU, CA, JP, KR				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	US 5258362	A	19931102	US 1992-880250	19920513
	AU 9221593	A1	19930112	AU 1992-21593	19920526
PRAI	US 1991-713475		19910611		
	US 1992-880250		19920513		
	WO 1992-US4423		19920526		

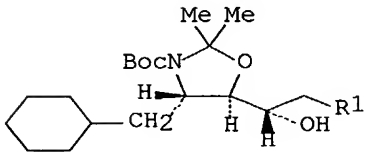
OS MARPAT 119:9168
GI



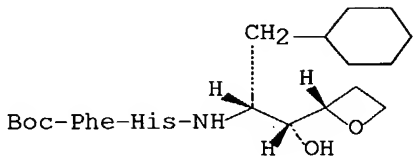
I



II



III



V

AB The title compds. I and II [R = mimic of Phe-His dipeptide; R4 = lower alkyl, cycloalkyl, arylalkyl; R5 = H, lower alkyl, hydroxyalkyl, lower alkenyl, CHO; R6 = OH, NH2; R7 = H, lower alkyl; R8 = H, lower alkyl, hydroxyalkyl, alkoxyalkyl, alkoxyalkyl, thioalkoxyalkyl, haloalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, cycloalkyl, cycloalkylalkyl, lower alkenyl, alkynyl, aryl, arylalkyl, heterocyclic, heterocycloalkyl; R7R8 = (CH2)n, n = 3-6; R9 = lower alkyl] or a pharmaceutically acceptable salt, ester, or prodrug of, were prepared as renin inhibitors. Thus, Reformatskii reaction of (4S,5R)-3-tert-butoxycarbonyl-4-cyclohexylmethyl-2,2-dimethyloxazolidine-5-carboxaldehyde with benzyl bromoacetate gave hydroxy ester III (Boc = Me3CO2C; R1 = CO2CH2Ph), which was reduced with NaBH4-CaCl2 to diol III (R1 = CH2OH) and selectively tosylated to tosylate III (R1 = CH2O3SC6H4Me-4) (IV). Cyclization of tosylate IV to the corresponding oxetane, followed by acidic deprotection, coupling with Boc-Phe-His(Boc)-OH, and selective deblocking gave oxetanyl peptide V. Compds.

I and II are useful in treating hypertension, congestive heart failure, glaucoma, and inhibiting HIV-1 and HIV-2 proteases.

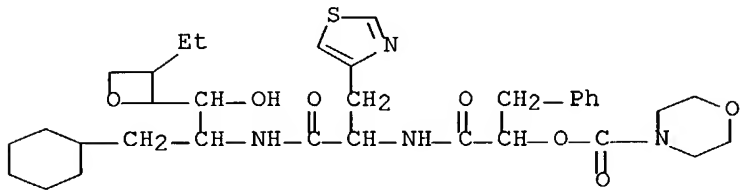
IT **147895-99-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as renin inhibitor)

RN 147895-99-6 CAPLUS

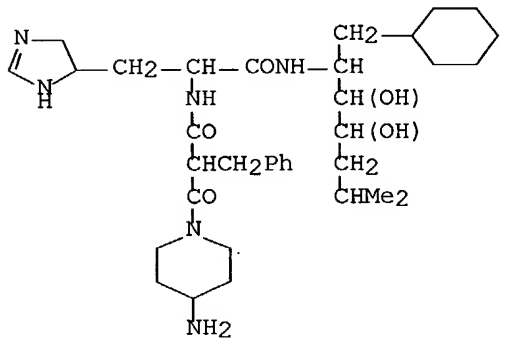
CN L-Altritol, 4,6-anhydro-1-cyclohexyl-1,2,5-trideoxy-5-ethyl-2-[[2-[[2-[(4-morpholinylcarbonyl)oxy]-1-oxo-3-phenylpropyl]amino]-1-oxo-3-(4-thiazolyl)propyl]amino]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:634551 CAPLUS Full-text
DN 117:234551
TI histidine derivatives as inhibitors of renin, methods for their preparation, pharmaceuticals containing them and their use for the treatment of cardiac insufficiency (congestive cardiac insufficiency) and
for the prophylaxis of HIV infections (HIV protease inhibitors)
IN Henning, Rainer; Urbach, Hansjoerg; Ruppert, Dieter; Linz, Wolfgang
PA Hoechst A.-G., Germany
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9207845	A1	19920514	WO 1991-EP2011	19911023
	W: AU, CA, FI, HU, JP, KR, NO, PL, SU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	AU 9187309	A1	19920526	AU 1991-87309	19911023
PRAI	DE 1990-9012088		19901031		
	EP 1990-120882		19901031		
	WO 1991-EP2011		19911023		

OS CASREACT 117:234551; MARPAT 117:234551
GI



I

AB Certain azacyclic acyl(aminoacyl)-substituted amino acid derivs. are claimed; the compds. contain structural residues that mimic the Leu-Val cleavage site of angiotensin. Said compds. are active as renin (angiotensin) inhibitors (no data). Said compds. are useful as antihypertensives and for the treatment of cardiac insufficiency (congestive cardiac insufficiency) and for the prophylaxis of HIV infections (HIV protease inhibitors) (no data). Treatment of H-His-(2S,3R,4S)-1-cyclohexyl-3,4-dihydroxy-6-methyl-2-heptylamide with 3-[[(4-BOCamino)-1-piperidinyl]carbonyl]-2(R)-benzylpropionic acid gave the histidine derivative I. The renin-inhibiting activity of I was not tested.

IT **143117-34-4P 143117-36-6P 143117-38-8P**

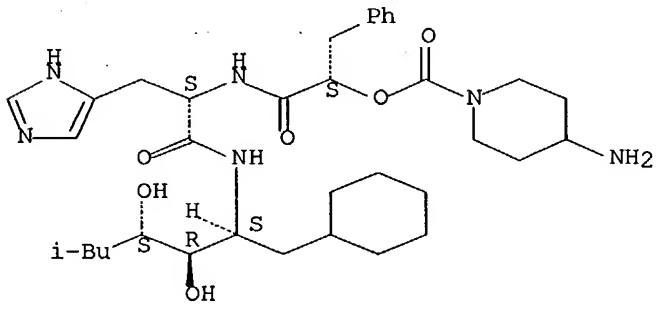
143117-40-2P 143117-42-4P 143117-44-6P
143117-46-8P 143117-48-0P 143117-50-4P
143169-13-5P 143169-17-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 143117-34-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1R-[1R*[R*(R*)],2S*,3R*]]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

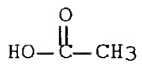
CRN 143117-33-3
CMF C35 H54 N6 O6

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

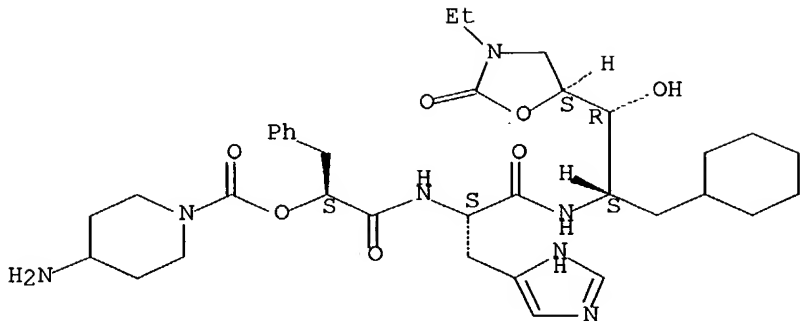


RN 143117-36-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-(3-ethyl-2-oxo-5-oxazolidinyl)-2-hydroxyethyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [5S-[5R*[1R*[R*(R*)],2S*]]]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

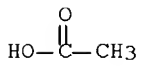
CRN 143117-35-5
CMF C35 H51 N7 O7

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

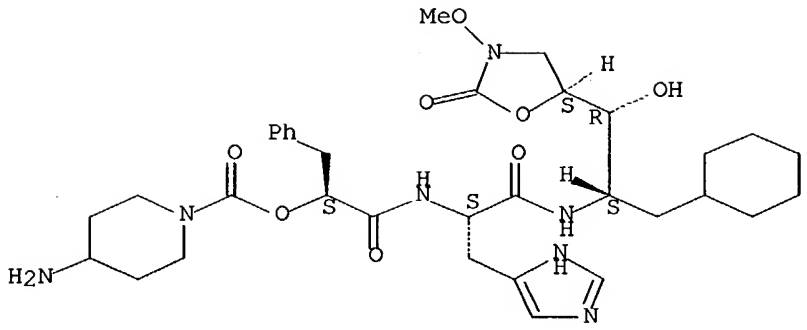


RN 143117-38-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-2-(3-methoxy-2-oxo-5-oxazolidinyl)ethyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [5S-[5R*[1R*[R*(R*)],2S*]]]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

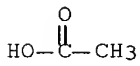
CRN 143117-37-7
CMF C34 H49 N7 O8

Absolute stereochemistry.



CM 2

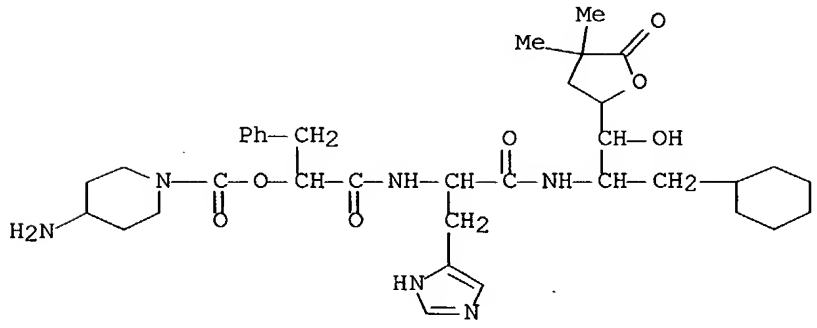
CRN 64-19-7
CMF C2 H4 O2



RN 143117-40-2 CAPLUS
CN L-lyxo-Heptonic acid, 6-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-
oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-7-cyclohexyl-2,3,6,7-tetradeoxy-2,2-dimethyl-, γ-lactone, [S-(R*,R*)]-, monoacetate (salt) (9CI) (CA INDEX NAME)

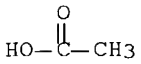
CM 1

CRN 143117-39-9
CMF C36 H52 N6 O7



CM 2

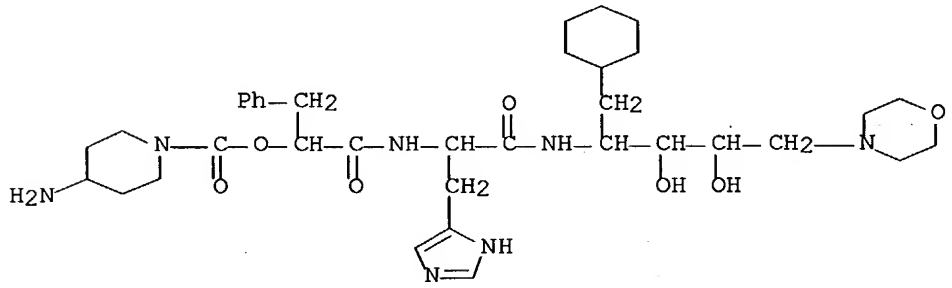
CRN 64-19-7
CMF C2 H4 O2



RN 143117-42-4 CAPLUS
CN L-Arabinitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-cyclohexyl-1,2,5-trideoxy-5-(4-morpholinyl)-, [S-(R*,R*)]-, diacetate (salt) (9CI) (CA INDEX NAME)

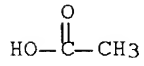
CM 1

CRN 143117-41-3
CMF C36 H55 N7 O7



CM 2

CRN 64-19-7
CMF C2 H4 O2

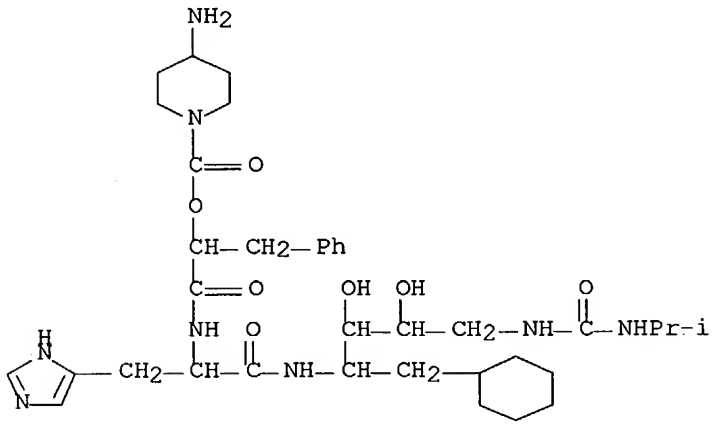


RN 143117-44-6 CAPLUS
CN L-Arabinitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-

phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-
cyclohexyl-
1,2,5-trideoxy-5-[[[(1-methylethyl)amino]carbonyl]amino]-, [S-(R*,R*)]-,
monoacetate (salt) (9CI) (CA INDEX NAME)

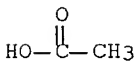
CM 1

CRN 143117-43-5
CMF C36 H56 N8 O7



CM 2

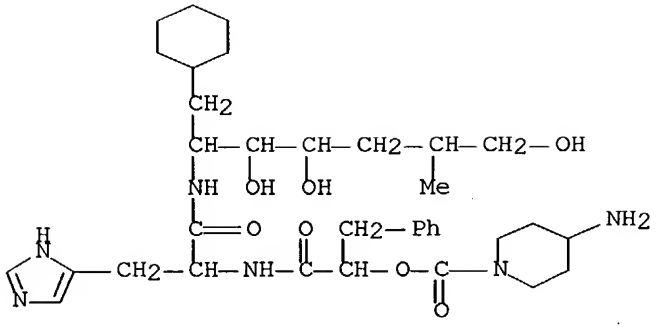
CRN 64-19-7
CMF C2 H4 O2



RN 143117-46-8 CAPLUS
CN L-altro-Heptitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-
oxo-3-
phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-
cyclohexyl-
1,2,5,6-tetradeoxy-6-methyl-, [S-(R*,R*)]-, monoacetate (salt) (9CI)
(CA
INDEX NAME)

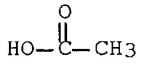
CM 1

CRN 143117-45-7
CMF C35 H54 N6 O7



CM 2

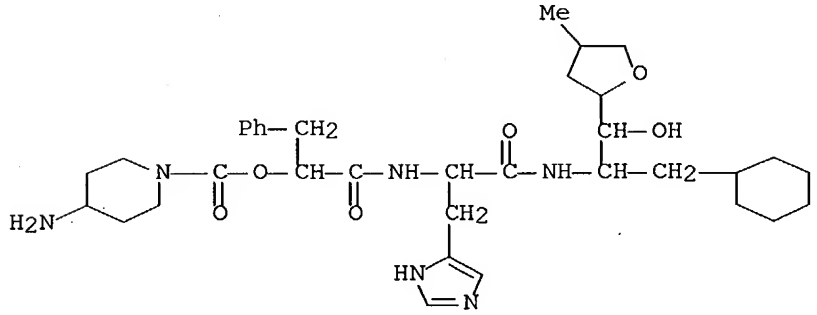
CRN 64-19-7
CMF C2 H4 O2



RN 143117-48-0 CAPLUS
CN D-galacto-Heptitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-4,7-anhydro-1-cyclohexyl-1,2,5,6-tetradeoxy-6-methyl-, [S-(R*,R*)]-, monoacetate (salt) (9CI) (CA INDEX NAME)

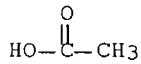
CM 1

CRN 143117-47-9
CMF C35 H52 N6 O6



CM 2

CRN 64-19-7
CMF C2 H4 O2



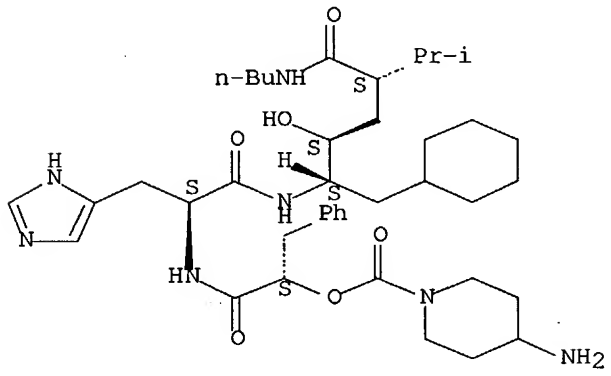
RN 143117-50-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[4-[(butylamino)carbonyl]-1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*,4R*]]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

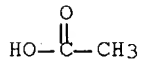
CRN 143117-49-1
CMF C40 H63 N7 O6

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

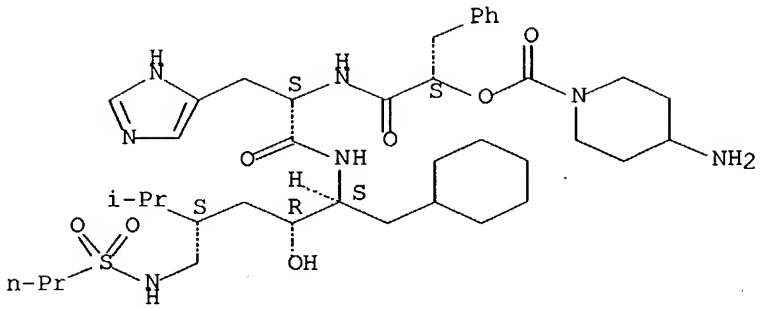


RN 143169-13-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 7-(cyclohexylmethyl)-8-hydroxy-4-(1H-imidazol-4-ylmethyl)-10-(1-methylethyl)-13,13-dioxido-2,5-dioxo-1-(phenylmethyl)-13-thia-3,6,12-triazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8S*,10R*)]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

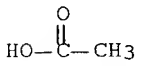
CRN 143169-12-4
CMF C39 H63 N7 O7 S

Absolute stereochemistry.



CM 2

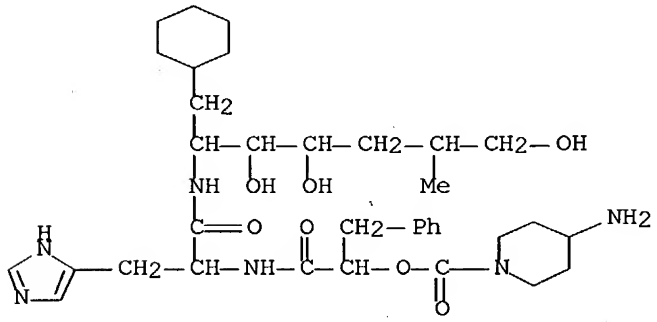
CRN 64-19-7
CMF C2 H4 O2



RN 143169-17-9 CAPLUS
CN D-galacto-Heptitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-cyclohexyl-1,2,5,6-tetradeoxy-6-methyl-, [S-(R*,R*)]-, monoacetate (salt) (9CI) (CA INDEX NAME)

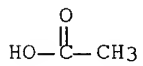
CM 1

CRN 143169-16-8
CMF C35 H54 N6 O7

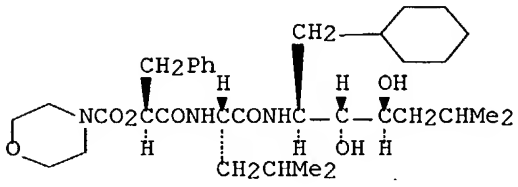


CM 2

CRN 64-19-7
CMF C2 H4 O2



L4 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:619884 CAPLUS Full-text
DN 117:219884
TI Enhancement of nasal delivery of a renin inhibitor in the rat using emulsion formulations
AU Kararli, Tugrul T.; Needham, Thomas E.; Schoenhard, Grant; Baron, David A.; Schmidt, R. Eric; Katz, Barbara; Belonio, Bayani
CS G. D. Searle and Co., Skokie, IL, 60077, USA
SO Pharmaceutical Research (1992), 9(8), 1024-8
CODEN: PHREEB; ISSN: 0724-8741
DT Journal
LA English
GI

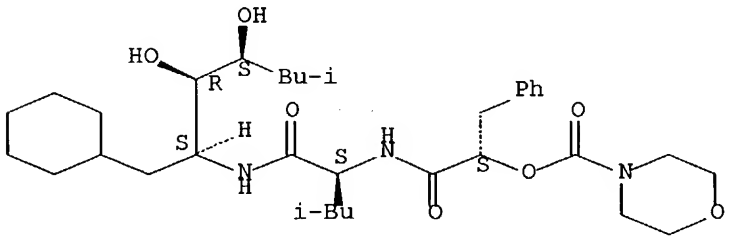


I

AB Nasal absorption of a renin inhibitor (I) was evaluated in two rat nasal models, one involving surgery and the other requiring no surgical intervention. Oleic acid/monoolein emulsion formulations were tested along with a control PEG 400 solution The percent absolute bioavailability of the compound was enhanced from 3-6% (PEG 400 solution) to 15-27% when the emulsion formulations were used. The different nasal model techniques (with and without surgery) did not produce any statistical difference in the absolute bioavailability values for I. Emulsion formulations did not produce appreciable damage as assessed morphol. It is suggested the emulsion formulations containing membrane adjuvants such as oleic acid and monoolein can be used to enhance the nasal delivery of low-bioavailable, lipid-soluble drugs.

IT **120729-15-9**
RL: BIOL (Biological study)
(nasal bioavailability of, from emulsion, membrane adjuvants enhancement of)
RN 120729-15-9 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-[[[(1S,2R,3S)-1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:612970 CAPLUS Full-text
DN 117:212970
TI Derivatives of amino acids as inhibitors of renin, methods for their preparation, medicaments containing them and their use
IN Henning, Rainer; Urbach, Hansjoerg; Ruppert, Dieter; Linz, Wolfgang
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 61 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 483403	A1	19920506	EP 1990-120882	19901031
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AU 9187309	A1	19920526	AU 1991-87309	19911023
PRAI	EP 1990-120882		19901031		
	WO 1991-EP2011		19911023		

OS MARPAT 117:212970
GI

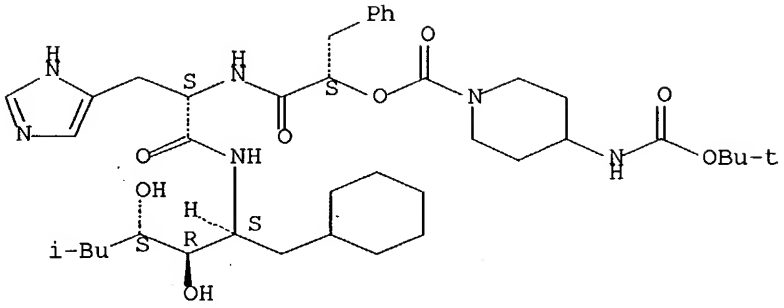
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Acyl amino acid amides R1XYCHR2CONR4CHR3COT [R1 = nitrogen-containing ring radicals I and II [RA and RB = H, C1-C21-alkyl, (un)substituted C3-20-cycloalkyl, (un)substituted C4-20-cycloalkylalkyl, (un)substituted C6-12-aryl, (un)substituted C6-12-aryl-C1-20-alkyl, etc.; RARBN = 4-8-membered heterocyclic ring; RA may be defined as above and RB = C1-4-alkylamino, di(C1-4-alkyl)amino, C1-4-alkoxy, etc.; RF has same meaning as RA and RB; RG = H, C1-8-alkyl, C3-8-cycloalkyl, (un)substituted C6-12-aryl, etc.; RC, RD, and RE = H, C1-6-alkyl; RDRE = C1-4-alkylene; h and i = 0, 1, 2, 3; k and l = 1, 2, 3, 4; Z = C1-6-alkylene]; R2 = H, C1-10-alkyl, C6-12-aryl, C6-12-aryl-C1-4-alkyl, hetaryl, etc.; R3 = amino acid side chain; R4 = H, C1-6-alkyl; X = CO, CS, SO2, SO; Y = O, S, (CH2)q(CRHRL)r (q = 0. 1, 2, 3; r = 0, 1, 2; RH and RL = H, C1-6-alkyl); T = mimic of Leu-Val cleavage site of angiotensinogen] were prepared as renin inhibitors. Thus, propionic acid derivative III (Boc = Me3CO2C) was coupled with histidine amide IV by DCC/1-hydroxybenzotriazole in the presence of N-ethylmorpholine in DMF to give the corresponding Nα-acyl derivative, which was Boc-deblocked by CF3CO2H in CH2Cl2 to give histidine amide V.

IT **144168-09-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and deblocking of)

RN 144168-09-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

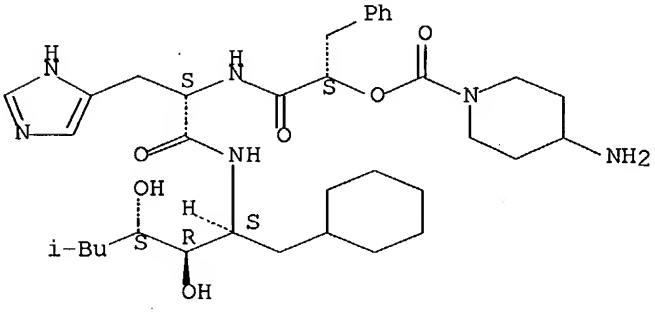


IT **143117-34-4P 143117-36-6P 143117-38-8P**
143117-40-2P 143117-42-4P 143117-44-6P
143117-46-8P 143117-48-0P 143117-50-4P
143169-13-5P 143169-17-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation of, as renin inhibitor)
RN 143117-34-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1R-[1R*[R*(R*)],2S*,3R*]]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

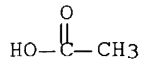
CRN 143117-33-3
CMF C35 H54 N6 O6

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

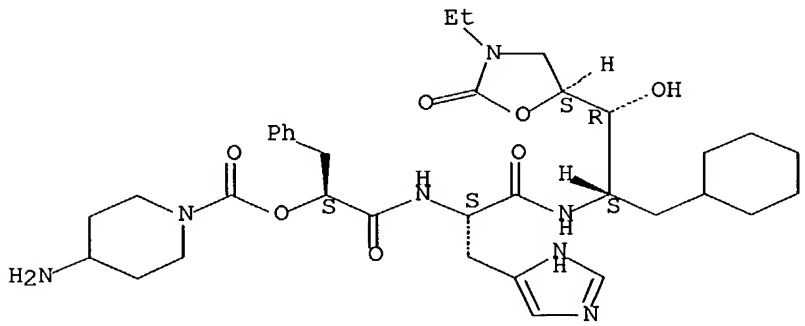


RN 143117-36-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-(3-ethyl-2-oxo-5-oxazolidinyl)-2-hydroxyethyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [5S-[5R*[1R*[R*(R*)],2S*]]]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

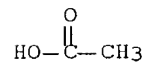
CRN 143117-35-5
CMF C35 H51 N7 O7

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



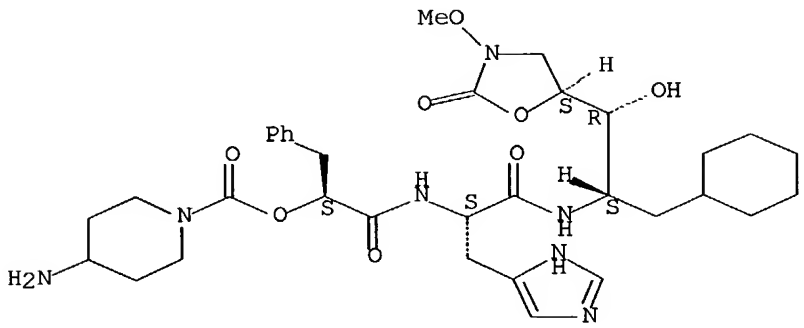
RN 143117-38-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-2-(3-methoxy-2-oxo-5-oxazolidinyl)ethyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,

[5S-[5R*[1R*[R*(R*)],2S*]]]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

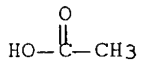
CRN 143117-37-7
CMF C34 H49 N7 O8

Absolute stereochemistry.



CM 2

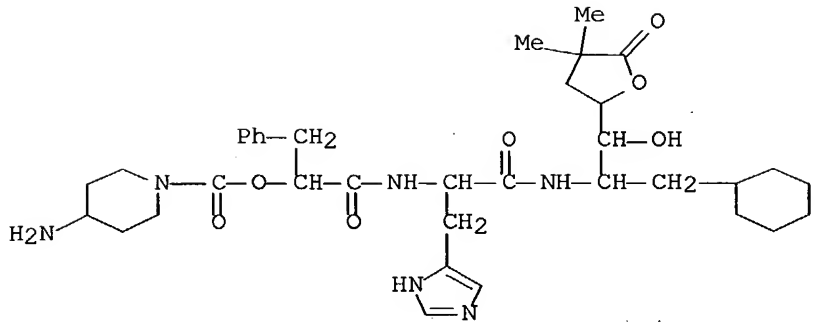
CRN 64-19-7
CMF C2 H4 O2



RN 143117-40-2 CAPLUS
CN L-lyxo-Heptonic acid, 6-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-7-cyclohexyl-2,3,6,7-tetradeoxy-2,2-dimethyl-, γ-lactone, [S-(R*,R*)]-, monoacetate (salt) (9CI) (CA INDEX NAME)

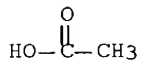
CM 1

CRN 143117-39-9
CMF C36 H52 N6 O7



CM 2

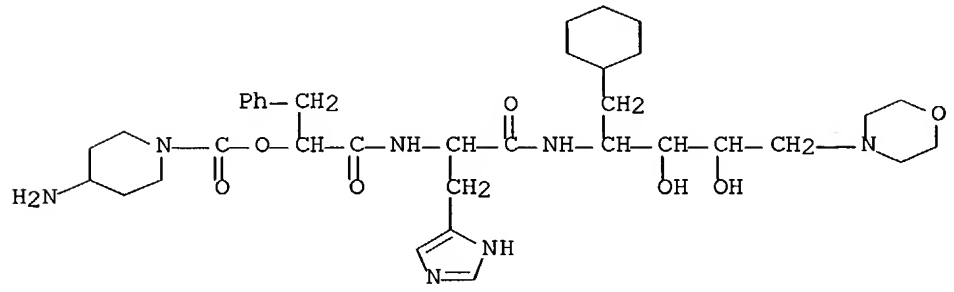
CRN 64-19-7
CMF C2 H4 O2



RN 143117-42-4 CAPLUS
CN L-Arabinitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-cyclohexyl-1,2,5-trideoxy-5-(4-morpholinyl)-, [S-(R*,R*)]-, diacetate (salt) (9CI) (CA INDEX NAME)

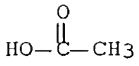
CM 1

CRN 143117-41-3
CMF C36 H55 N7 O7



CM 2

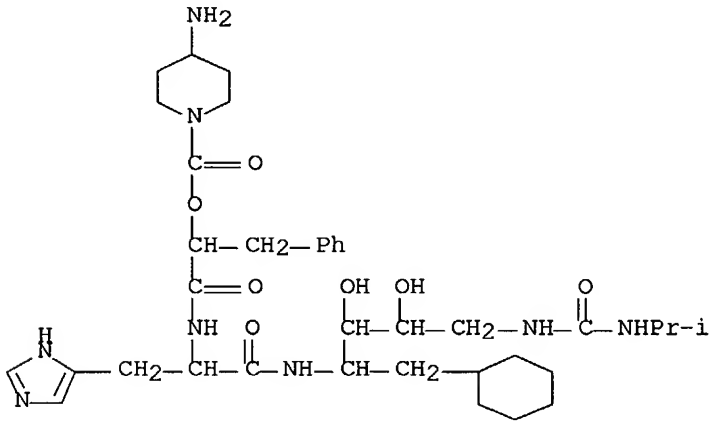
CRN 64-19-7
CMF C2 H4 O2



RN 143117-44-6 CAPLUS
CN L-Arabinitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-cyclohexyl-1,2,5-trideoxy-5-[[[(1-methylethyl)amino]carbonyl]amino]-, [S-(R*,R*)]-, monoacetate (salt) (9CI) (CA INDEX NAME)

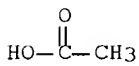
CM 1

CRN 143117-43-5
CMF C36 H56 N8 O7



CM 2

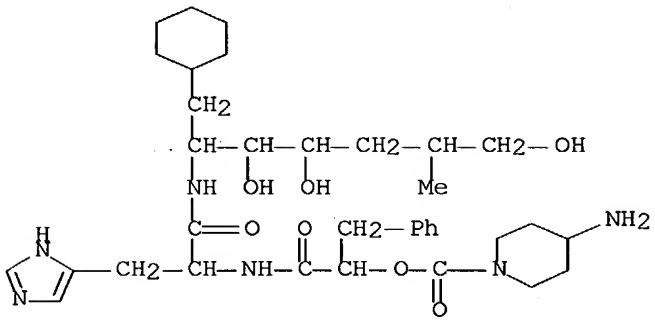
CRN 64-19-7
CMF C2 H4 O2



RN 143117-46-8 CAPLUS
CN L-altro-Heptitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-cyclohexyl-
1,2,5,6-tetradeoxy-6-methyl-, [S-(R*,R*)]-, monoacetate (salt) (9CI) (CA
INDEX NAME)

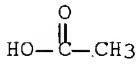
CM 1

CRN 143117-45-7
CMF C35 H54 N6 O7



CM 2

CRN 64-19-7
CMF C2 H4 O2

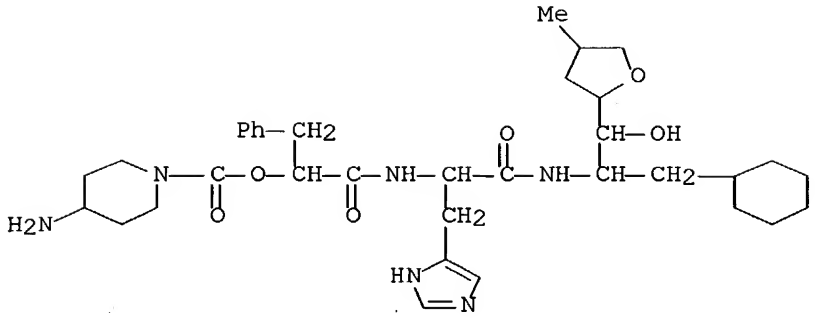


RN 143117-48-0 CAPLUS
CN D-galacto-Heptitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-
3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-4,7-anhydro-
1-cyclohexyl-1,2,5,6-tetradeoxy-6-methyl-, [S-(R*,R*)]-, monoacetate
(salt) (9CI) (CA INDEX NAME)

CM 1

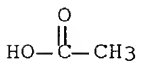
CRN 143117-47-9

CMF C35 H52 N6 O6



CM 2

CRN 64-19-7
CMF C2 H4 O2

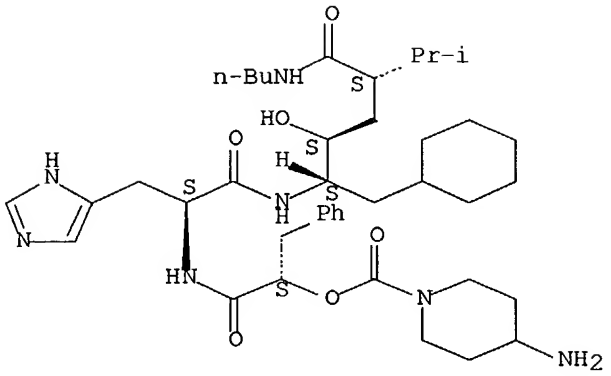


RN 143117-50-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[4-[(butylamino)carbonyl]-1-
(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*,4R*]]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

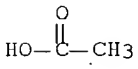
CRN 143117-49-1
CMF C40 H63 N7 O6

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

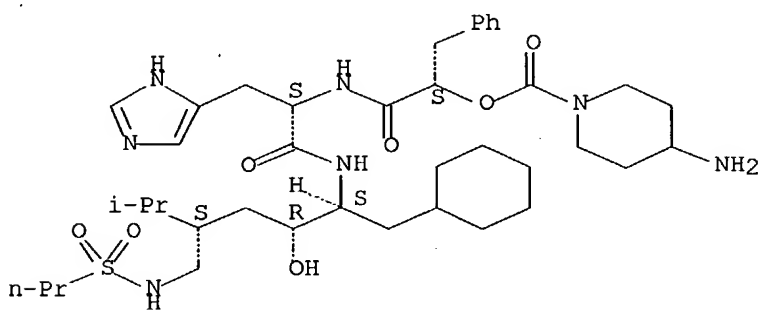


RN 143169-13-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 7-(cyclohexylmethyl)-8-hydroxy-4-(1H-imidazol-4-ylmethyl)-10-(1-methylethyl)-13,13-dioxido-2,5-dioxo-1-(phenylmethyl)-13-thia-3,6,12-triazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8S*,10R*)]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

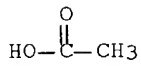
CRN 143169-12-4
CMF C39 H63 N7 O7 S

Absolute stereochemistry.



CM 2

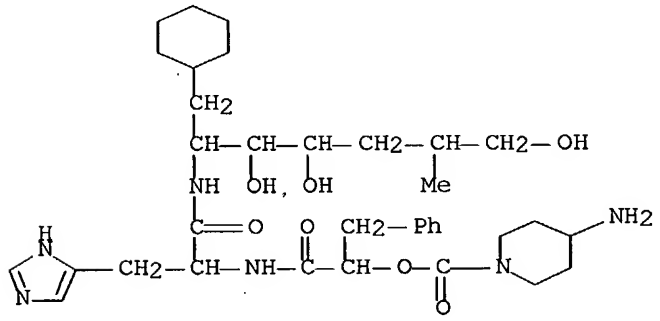
CRN 64-19-7
CMF C2 H4 O2



RN 143169-17-9 CAPLUS
CN D-galacto-Heptitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-
3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-cyclohexyl-
1,2,5,6-tetradeoxy-6-methyl-, [S-(R*,R*)]-, monoacetate (salt) (9CI) (CA
INDEX NAME)

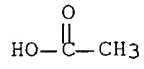
CM 1

CRN 143169-16-8
CMF C35 H54 N6 O7

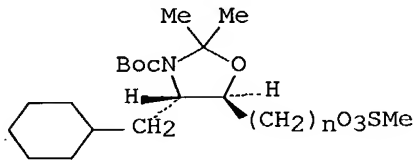


CM 2

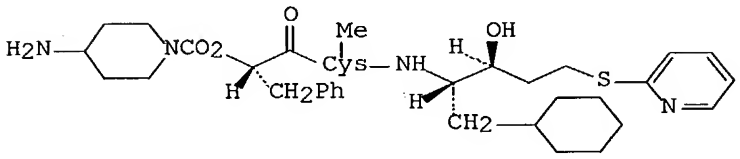
CRN 64-19-7
CMF C2 H4 O2



L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:572067 CAPLUS Full-text
DN 117:172067
TI Renin inhibitors containing new P1-P1' dipeptide mimetics with heterocycles in P1'
AU Raddatz, Peter; Jonczyk, Alfred; Minck, Klaus Otto; Rippmann, Friedrich; Schittenhelm, Christine; Schmitges, Claus Jochen
CS Preclin. Pharm. Res., E. Merck Darmstadt, Darmstadt, D-6100, Germany
SO Journal of Medicinal Chemistry (1992), 35(19), 3525-36
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I



II

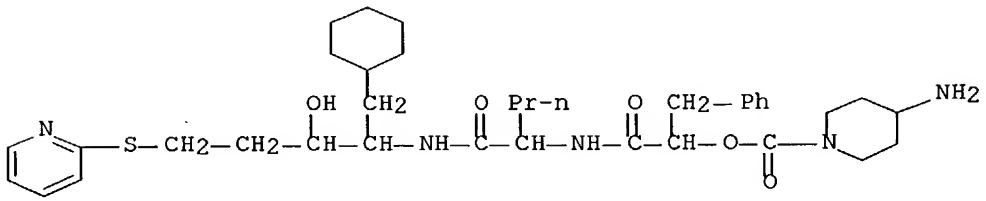
AB A series of renin inhibitors containing new P1-P1' dipeptide mimetics are presented. The P1-P1' mimetics were obtained from 4-(cyclohexylmethyl)-5- (mesyloxyalkyl)-2,2-dimethyloxazolidines I (Boc = Me3CO2C; n = 1-3) by nucleophilic substitution of the mesylate groups with sodium salts of mercapto- and hydroxyheterocycles. Removal of the protecting groups and stepwise acylations with amino acid derivs. provided renin inhibitors with a length of a tripeptide. Replacement of P2 His by other amino acids maintained or enhanced renin inhibitory potency. By alteration of P3 Phe, compds. with IC50 values in the nanomolar range and stability against chymotrypsin were obtained. Finally, the effect of the C-terminal heterocycle on the renin inhibition was studied. Compound II was examined in vivo for its hypotensive effects. In salt-depleted cynomolgus monkeys, II inhibited plasma renin activity and lowered blood pressure after oral administration of a dose of 10 mg/kg.

IT **139470-20-5P 139470-22-7P 139470-24-9P**
139470-26-1P 139470-30-7P 143122-44-5P
143122-46-7P 143122-48-9P 143122-50-3P
143122-52-5P 143122-54-7P 143122-56-9P
143122-58-1P 143122-60-5P 143122-62-7P
143142-39-6P 143142-41-0P 143169-38-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and renin, cathepsin D, and pepsin inhibitory activities

of)
RN 139470-20-5 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-1-oxopentyl]amino]-1-cyclohexyl-1,2,4-trideoxy-5-S-2-
pyridinyl-5-thio-, [S-(R*,R*)]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

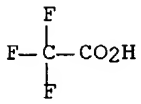
CM 1

CRN 139470-19-2
CMF C36 H53 N5 O5 S



CM 2

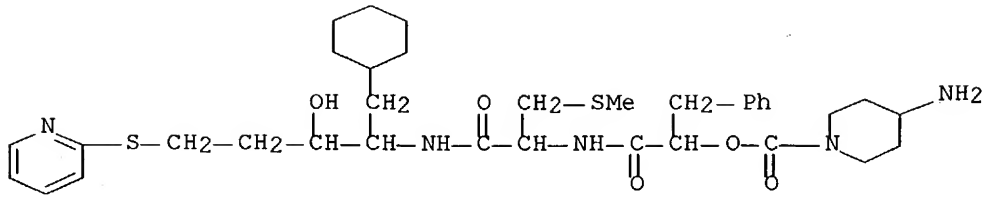
CRN 76-05-1
CMF C2 H F3 O2



RN 139470-22-7 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-
trideoxy-5-S-2-pyridinyl-5-thio-, [S-(R*,S*)]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

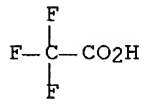
CM 1

CRN 139470-21-6
CMF C35 H51 N5 O5 S2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

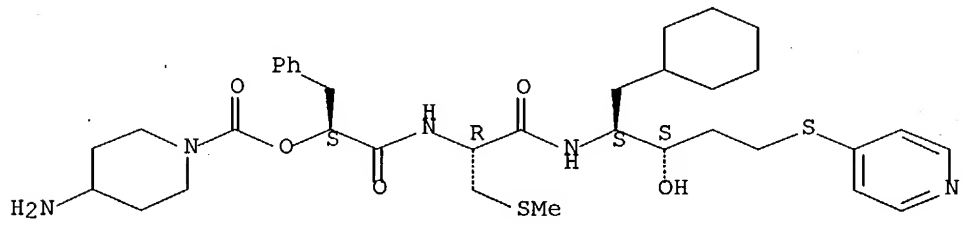


RN 139470-24-9 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-
trideoxy-5-S-4-pyridinyl-5-thio-, [S-(R*,S*)]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

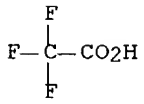
CRN 139470-23-8
CMF C35 H51 N5 O5 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

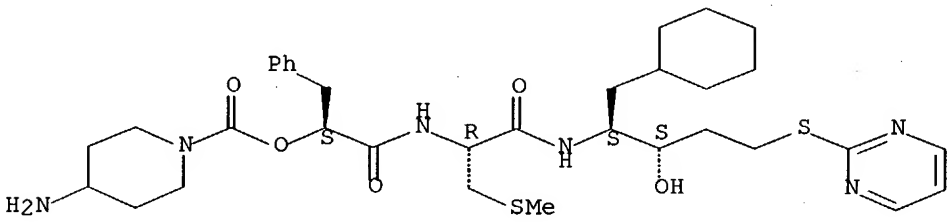


RN 139470-26-1 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-
trideoxy-5-S-2-pyrimidinyl-5-thio-, [S-(R*,S*)]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

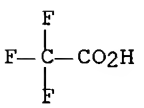
CRN 139470-25-0
CMF C34 H50 N6 O5 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

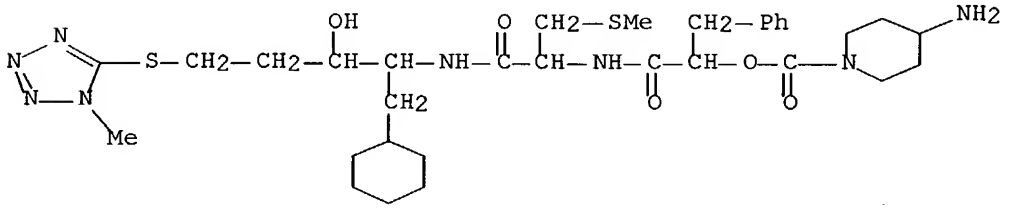


RN 139470-30-7 CAPLUS

CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-
trideoxy-5-S-(1-methyl-1H-tetrazol-5-yl)-5-thio-, [S-(R*,S*)]-,
bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

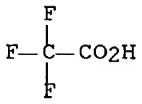
CM 1

CRN 139470-29-4
CMF C32 H50 N8 O5 S2



CM 2

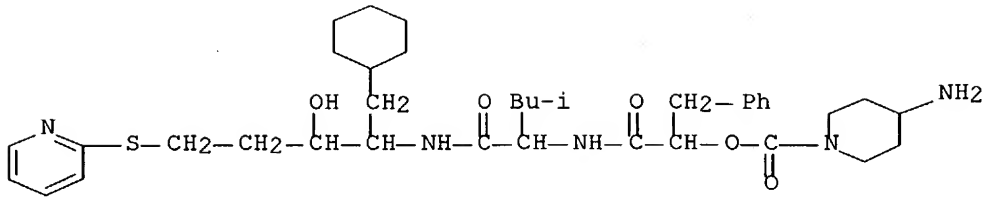
CRN 76-05-1
CMF C2 H F3 O2



RN 143122-44-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[1-[[[1-(cyclohexylmethyl)-2-hydroxy-4-(2-pyridinylthio)butyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]-,
bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

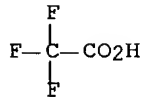
CM 1

CRN 143122-43-4
CMF C37 H55 N5 O5 S



CM 2

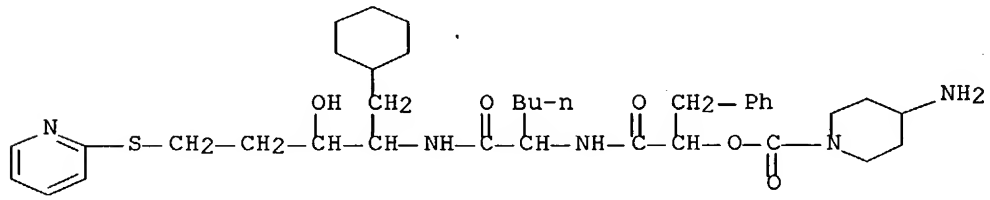
CRN 76-05-1
CMF C2 H F3 O2



RN 143122-46-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[1-[[[1-(cyclohexylmethyl)-2-hydroxy-4-(2-pyridinylthio)butyl]amino]carbonyl]pentyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

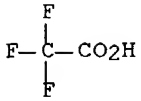
CM 1

CRN 143122-45-6
CMF C37 H55 N5 O5 S



CM 2

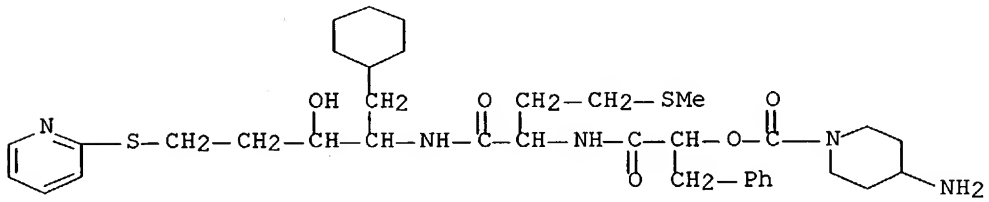
CRN 76-05-1
CMF C2 H F3 O2



RN 143122-48-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[1-[[[1-(cyclohexylmethyl)-2-hydroxy-4-(2-pyridinylthio)butyl]amino]carbonyl]-3-(methylthio)propyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

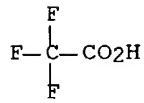
CM 1

CRN 143122-47-8
CMF C36 H53 N5 O5 S2



CM 2

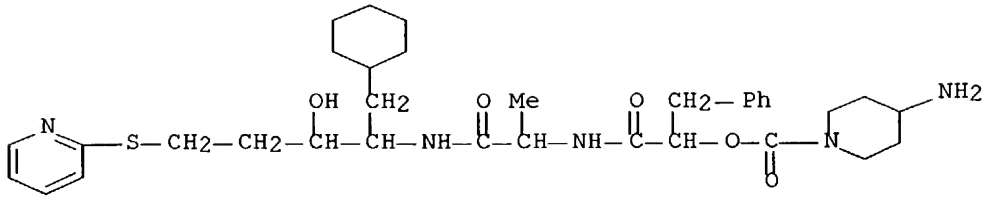
CRN 76-05-1
CMF C2 H F3 O2



RN 143122-50-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-4-(2-pyridinylthio)butyl]amino]-1-methyl-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

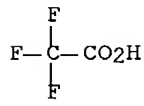
CM 1

CRN 143122-49-0
CMF C34 H49 N5 O5 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

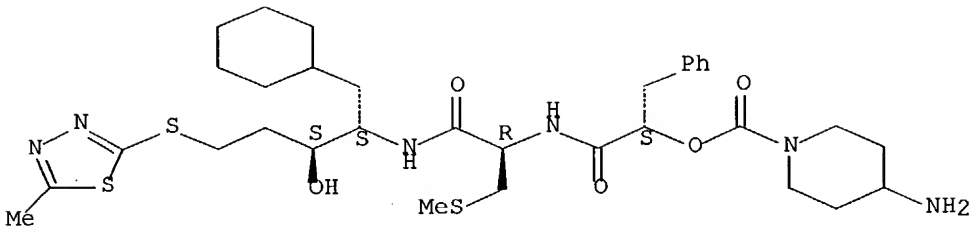


RN 143122-52-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-4-[(5-methyl-1,3,4-thiadiazol-2-yl)thio]butyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[S*(R*)],2R*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

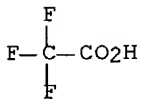
CRN 143122-51-4
CMF C33 H50 N6 O5 S3

Absolute stereochemistry.



CM 2

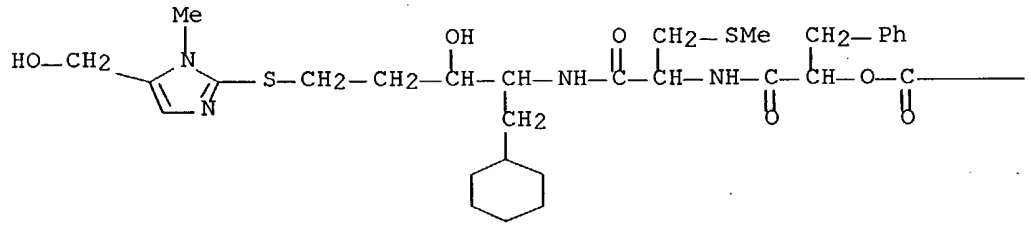
CRN 76-05-1
CMF C2 H F3 O2

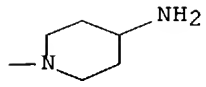


RN 143122-54-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-4-[[5-(hydroxymethyl)-1-methyl-1H-imidazol-2-yl]thio]butyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2R*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

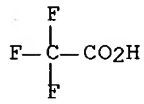
CRN 143122-53-6
CMF C35 H54 N6 O6 S2





CM 2

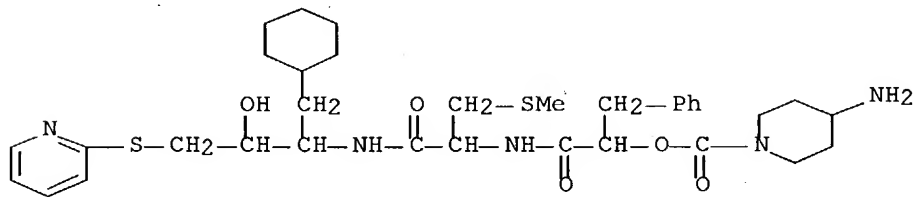
CRN 76-05-1
CMF C2 H F3 O2



RN 143122-56-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(2-pyridinylthio)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

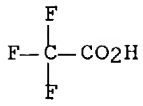
CM 1

CRN 143122-55-8
CMF C34 H49 N5 O5 S2



CM 2

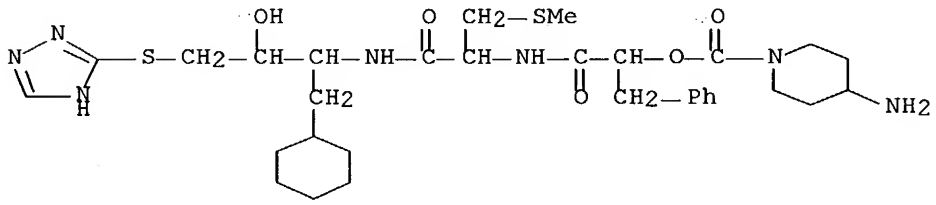
CRN 76-05-1
CMF C2 H F3 O2



RN 143122-58-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(1H-1,2,4-triazol-3-ylthio)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

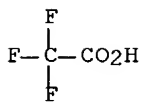
CM 1

CRN 143122-57-0
CMF C31 H47 N7 O5 S2



CM 2

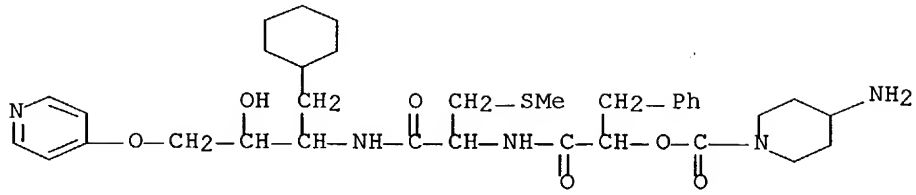
CRN 76-05-1
CMF C2 H F3 O2



RN 143122-60-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(4-pyridinyloxy)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

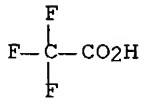
CM 1

CRN 143122-59-2
CMF C34 H49 N5 O6 S



CM 2

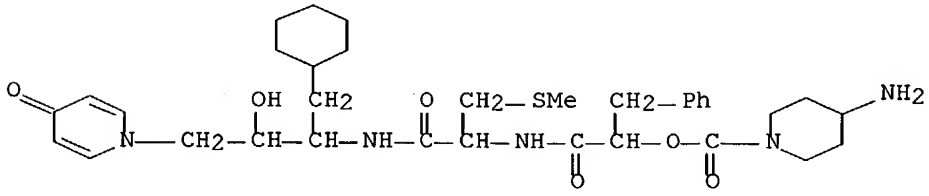
CRN 76-05-1
CMF C2 H F3 O2



RN 143122-62-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(4-oxo-1(4H)-pyridinyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2R*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

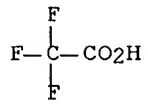
CM 1

CRN 143122-61-6
CMF C34 H49 N5 O6 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

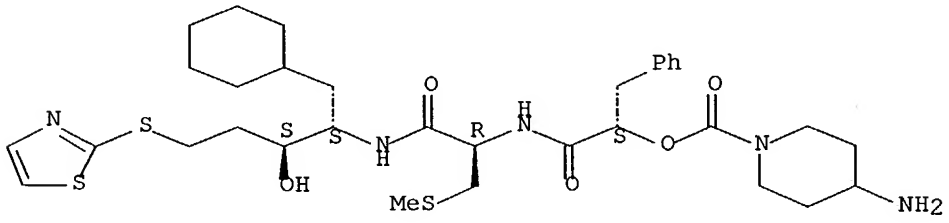


RN 143142-39-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-4-(2-thiazolylthio)butyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2R*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

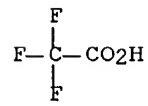
CRN 143142-38-5
CMF C33 H49 N5 O5 S3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

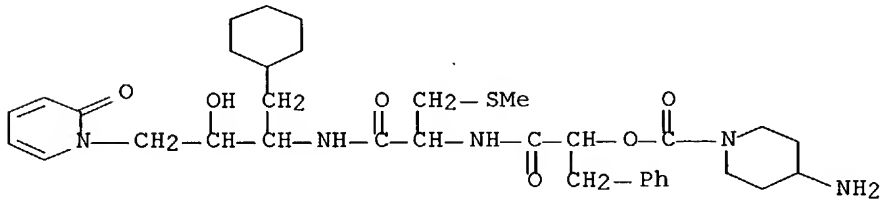


RN 143142-41-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(2-oxo-1(2H)-pyridinyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2R*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

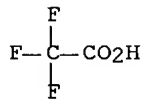
CM 1

CRN 143142-40-9
CMF C34 H49 N5 O6 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

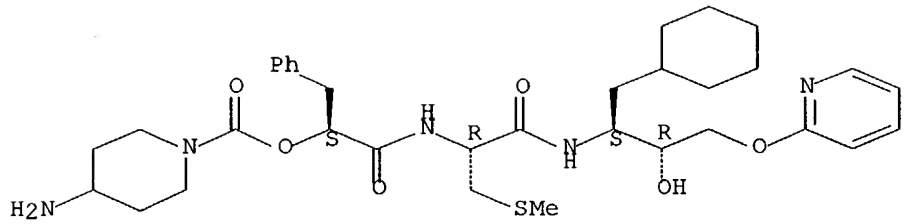


RN 143169-38-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(2-pyridinyloxy)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

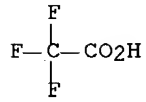
CRN 143169-37-3
CMF C34 H49 N5 O6 S

Absolute stereochemistry.

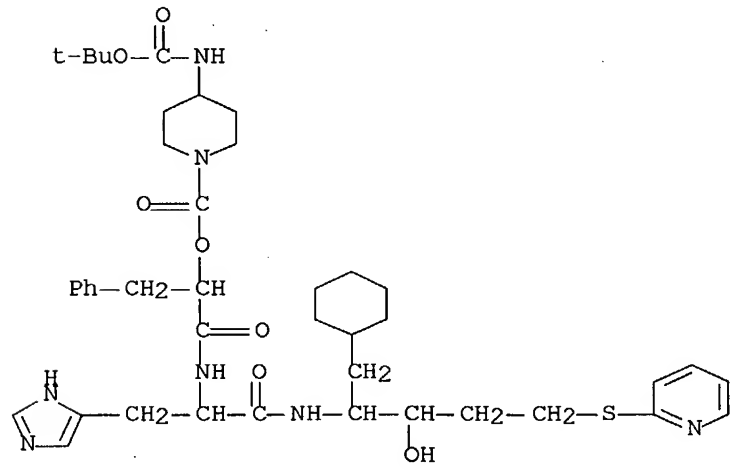


CM 2

CRN 76-05-1
CMF C2 H F3 O2

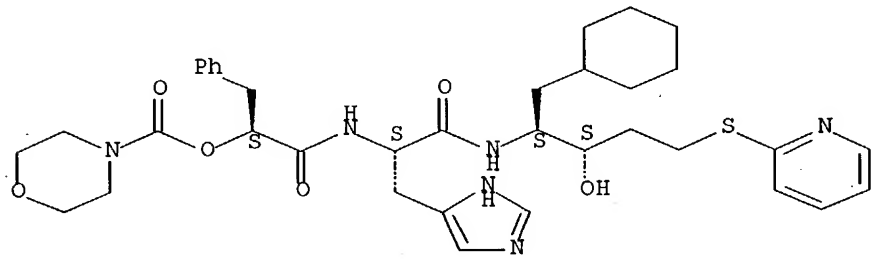


IT **139469-90-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, deblocking, proteolytic stability, and renin inhibitory activity of)
RN 139469-90-2 CAPLUS
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-5-S-2-pyridinyl-5-thio-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



IT **143122-42-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, proteolytic stability, and renin inhibitory activity of)
RN 143122-42-3 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-4-(2-pyridinylthio)butyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

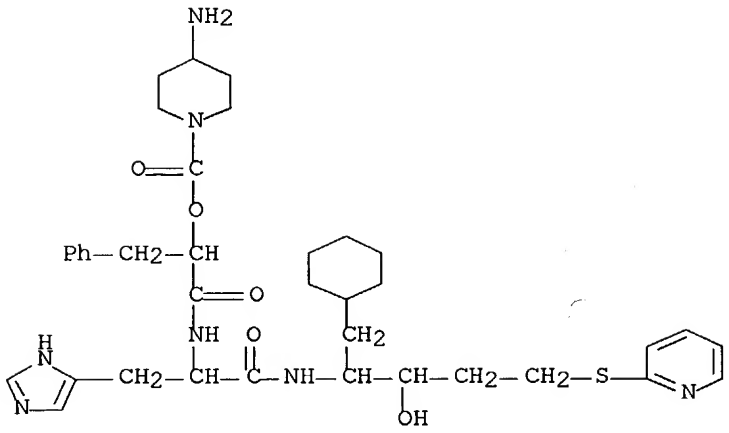
Absolute stereochemistry.



IT **143169-36-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, proteolytic stability, and renin, cathepsin D, and pepsin inhibitory activities of)
RN 143169-36-2 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-trideoxy-5-S-2-pyridinyl-5-thio-, [S-(R*,R*)]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

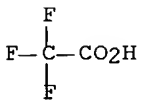
CM 1

CRN 139470-17-0
CMF C37 H51 N7 O5 S

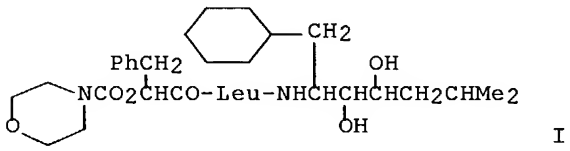


CM 2

CRN 76-05-1
CMF C2 H F3 O2



L4 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:518346 CAPLUS Full-text
DN 117:118346
TI Oral delivery of a renin inhibitor compound using emulsion formulations
AU Kararli, Tugrul T.; Needham, Thomas E.; Griffin, Marty; Schoenhard, Grant;
Ferro, Leonard J.; Alcorn, Lisa
CS G. D. Searle Res. Dev., Skokie, IL, 60077, USA
SO Pharmaceutical Research (1992), 9(7), 888-93
CODEN: PHREEB; ISSN: 0724-8741
DT Journal
LA English
GI

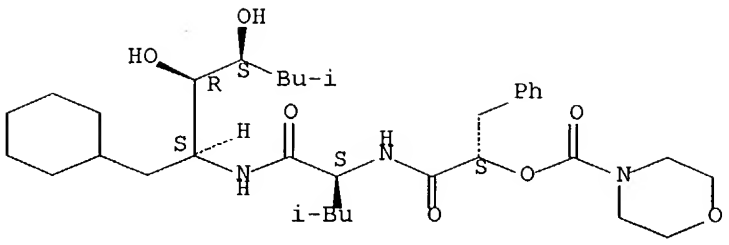


I

AB The oral delivery of a new renin inhibitor (I), was studied in the in vivo rat model using emulsion formulations. The components of the emulsion formulations were chosen based on their proposed effects on membrane structure, membrane fluidity, and solute transport. The percent absolute bioavailability (%AB) of I was increased from 0.3% (water suspension) to 5.1% when long-chain unsatd. fatty acid (oleic acid, linoleic acid, etc.)- and mono- and diglyceride (monoolein, dilaurin, etc.)-containing emulsion formulations were used. Considering very high first-pass liver extraction of the compound (80%), it is suggested that emulsion formulations increased the intestinal transport of the compound significantly. The solubility of I in aqueous media with and without bile salt (20mM) was found to be low (.apprx.1 μg/mL). Incubation in 0.01N HCl did not affect the particle size of the emulsion. The titration of oleic acid/monoolein emulsion in a pH 6.5 medium with a mixed bile salt system indicated reduction in the particle size of the emulsion. Drug precipitation was observed above 30mM bile salt concns. No drug crystals could be detected in the intestinal contents of the rats when emulsion formulations were ingested. These results suggest that in the intestine of the animals, the particle size of the emulsions is reduced in the presence of bile fluid while the drug resides primarily in the oil phase. The mechanism of enhanced transport of I from the emulsion formulations is discussed along with the possibility of cotransport from the drug and oil. Emulsion formulations can be a potential delivery form for low-bioavailable lipid-soluble drugs.

IT **120729-15-9**
RL: BIOL (Biological study)
(oral delivery of, as renin inhibitor, emulsion for)
RN 120729-15-9 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-[[[(1S,2R,3S)-1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

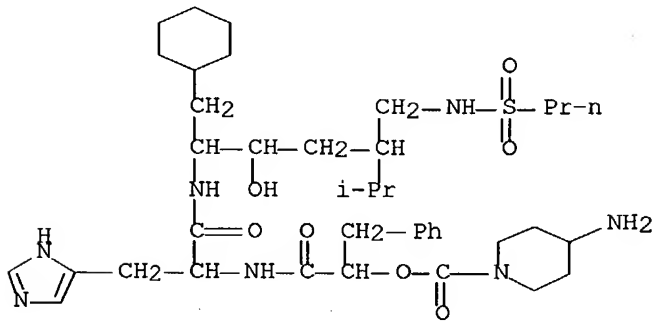

OH
HO
R
S
Bu-i
Ph
O
S
H
H
N
S
N
O
O
S
O
N
H
i-Bu
O
O

L4 ANSWER 24 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:490797 CAPLUS Full-text
DN 117:90797
TI Preparation of peptides containing glycolic acid derivatives as renin inhibitors
IN Raddatz, Peter Dr; Schmitges, Claus J.; Minck, Klaus Otto
PA Merck Patent G.m.b.H., Germany
SO Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

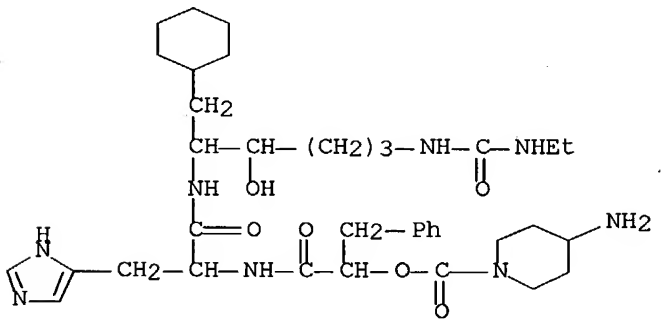
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 446751	A1	19910918	EP 1991-103213	19910304
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DE 4008403	A1	19910919	DE 1990-4008403	19900316
	CA 2038282	AA	19910917	CA 1991-2038282	19910314
	AU 9172906	A1	19910919	AU 1991-72906	19910314
	AU 650442	B2	19940623		
	HU 59939	A2	19920728	HU 1991-846	19910314
	HU 207508	B	19930428		
	ZA 9101951	A	19911224	ZA 1991-1951	19910315
	JP 05032609	A2	19930209	JP 1991-154154	19910315
	US 5147857	A	19920915	US 1991-670677	19910318
PRAI	DE 1990-4008403		19900316		

OS MARPAT 117:90797
AB X-O-CR1R2-CO-Y-NR3-CHR4-C(:R5)-CH2CR6R7-Z [I; X = H, aryl, aralkyl, heterocyclyl, acyl, etc.; Y = 0 or 1 amino acid residue, e.g., Ala, β-Ala, Arg; Z = cyano, (substituted) aminomethyl, (substituted) ureidomethyl, etc.; R1, R3, R6, R7 = H, aryl, aralkyl, heterocyclyl, acyl, etc.; R2, R4 = H, aryl, aralkyl, heterocyclyl, etc.; R5 = (H, OH), (H, NH2), O] and their salts, renin inhibitors and therefore useful for treating hypertension (no data), were prepared (4S,5S)-BOC-His(BOM)-NHCHQ1CH(OH)(CH2)3NHCONHEt [BOM = benzyloxymethyl, Q1 = cyclohexylmethyl] was deprotected and condensed with QCO2Pla-H [Q = 4-(tert- butoxycarbonyl)piperidino; Pla = OCH(CH2Ph)CO] (preparation given) to give (4S,5S)-QCO2Pla-His(BOM)-NHCHQ1CH(OH)(CH2)3NHCONHEt, which was hydrogenolyzed over Pd/C in EtOH to give (4S,5S)-QCO2Pla-His-NHCHQ1CH(OH)(CH2)3NHCONHEt. Pharmaceutical tablets, capsules, etc., containing I were formulated.
IT **138893-61-5P 138893-62-6P 138893-63-7P**
138893-64-8P 138893-65-9P 138893-66-0P
138893-67-1P 138893-68-2P 138893-69-3P
138893-70-6P 138893-71-7P 138893-73-9P
138893-76-2P 138893-77-3P 138893-78-4P
138893-80-8P 138893-83-1P 138893-84-2P
138893-85-3P 138893-86-4P 138893-88-6P
138893-89-7P 138893-90-0P 138893-92-2P
138909-06-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)
RN 138893-61-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 7-(cyclohexylmethyl)-8-hydroxy-4-

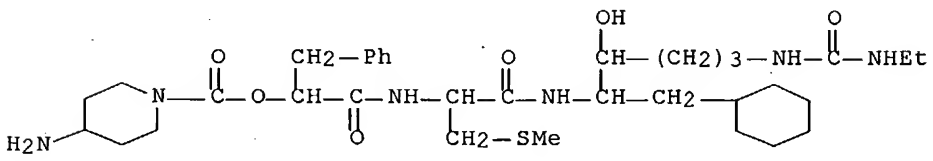
(1H-imidazol-4-ylmethyl)-10-(1-methylethyl)-13,13-dioxido-2,5-dioxo-1-(phenylmethyl)-13-thia-3,6,12-triazahexadec-1-yl ester (9CI) (CA INDEX NAME)



RN 138893-62-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 7-(cyclohexylmethyl)-8-hydroxy-4-(1H-imidazol-4-ylmethyl)-2,5,13-trioxo-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl ester (9CI) (CA INDEX NAME)

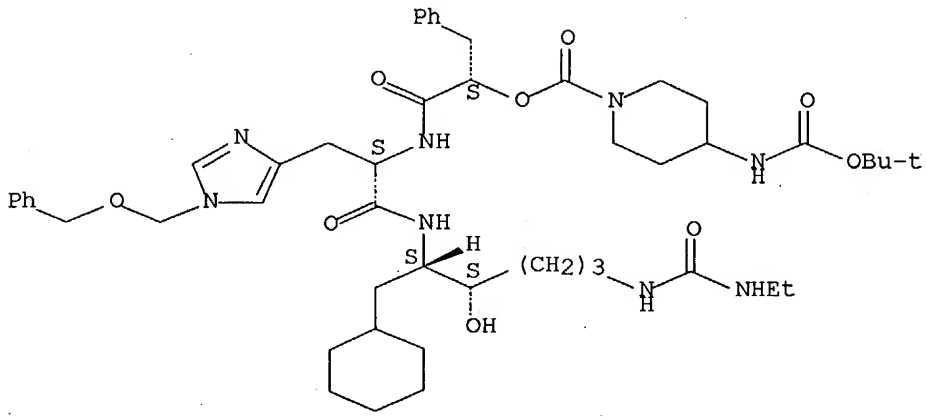


RN 138893-63-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 7-(cyclohexylmethyl)-8-hydroxy-4-[(methylthio)methyl]-2,5,13-trioxo-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl ester (9CI) (CA INDEX NAME)



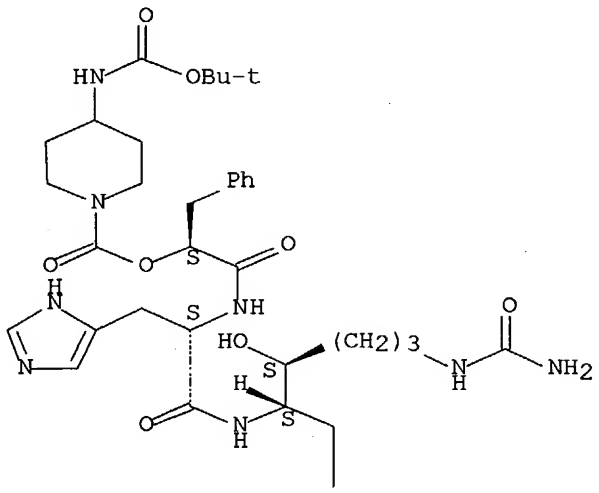
RN 138893-64-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-2,5,13-trioxo-4-[[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]methyl]-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

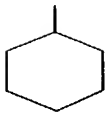


RN 138893-65-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[5-[(aminocarbonyl)amino]-1-(cyclohexylmethyl)-2-hydroxypentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



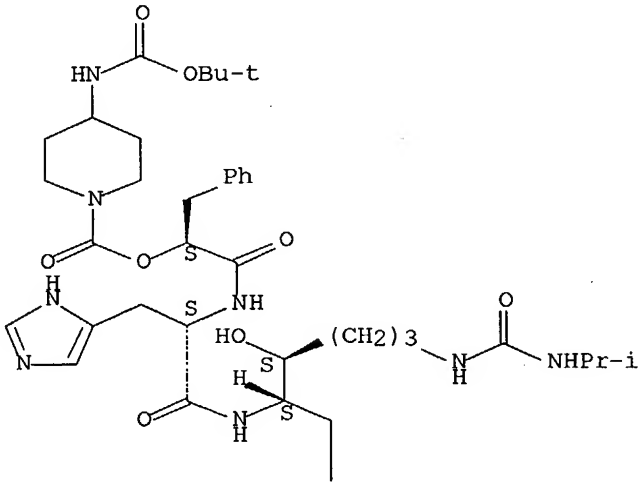
PAGE 2-A



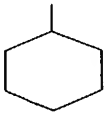
RN 138893-66-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-4-(1H-imidazol-4-ylmethyl)-15-methyl-2,5,13-trioxo-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



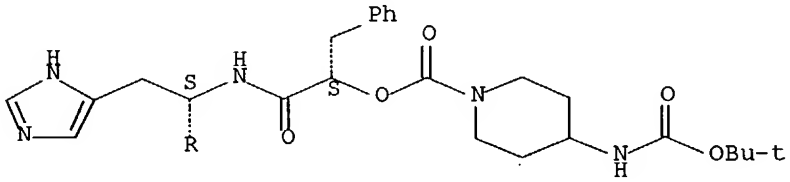
PAGE 2-A



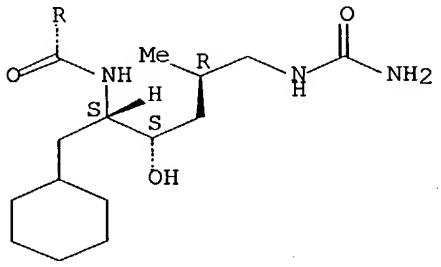
RN 138893-67-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[5-[(aminocarbonyl)amino]-1-(cyclohexylmethyl)-2-hydroxy-4-methylpentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*,4S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



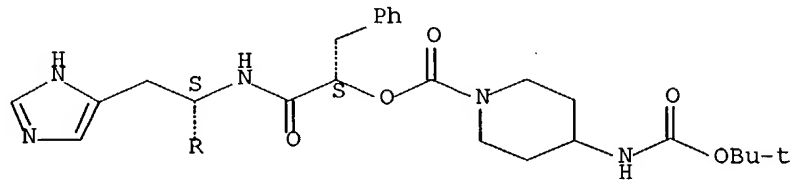
PAGE 2-A



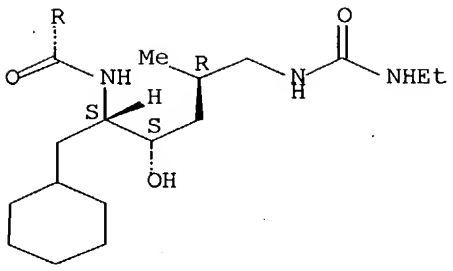
RN 138893-68-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-4-(1H-imidazol-4-ylmethyl)-10-methyl-2,5,13-trioxo-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*,10S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



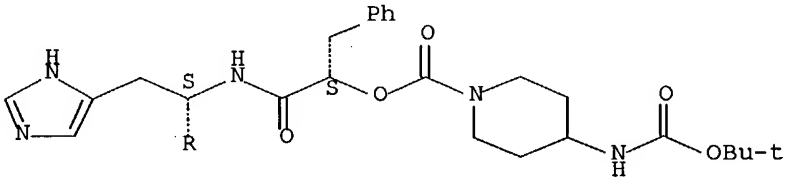
PAGE 2-A



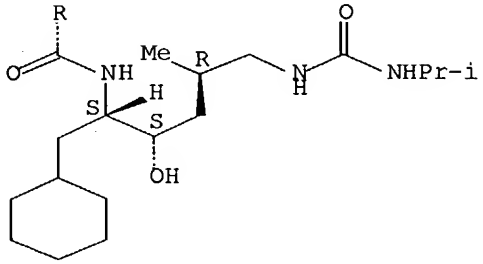
RN 138893-69-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-4-(1H-imidazol-4-ylmethyl)-10,15-dimethyl-2,5,13-trioxo-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*,10S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



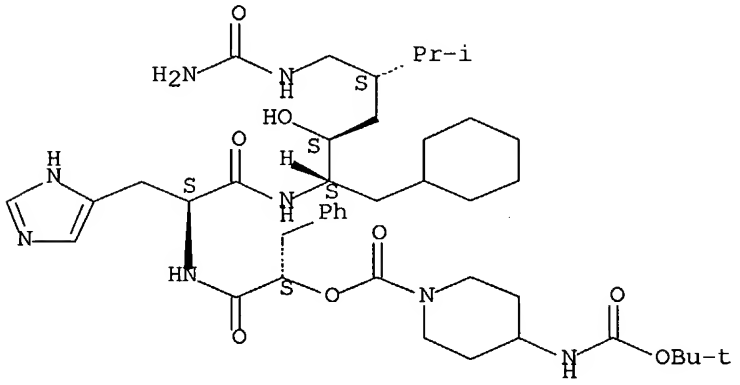
PAGE 2-A



RN 138893-70-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-,

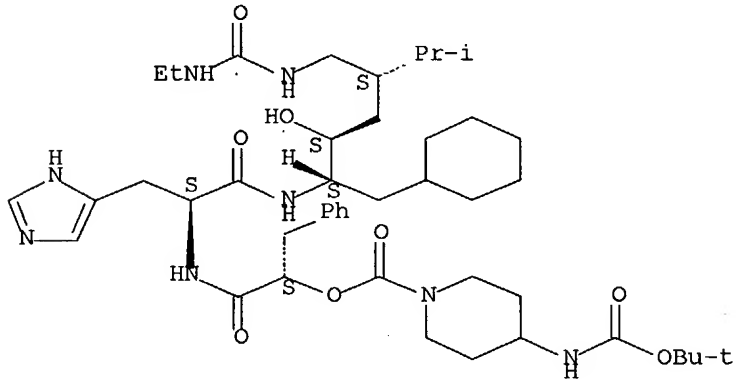
2-[[2-[[4-[[(aminocarbonyl)amino]methyl]-1-(cyclohexylmethyl)-2-hydroxy-5-
methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*,4R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 138893-71-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-4-(1H-imidazol-4-ylmethyl)-10-(1-methylethyl)-2,5,13-trioxo-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl
ester, [1S-(1R*,4R*,7R*,8R*,10R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

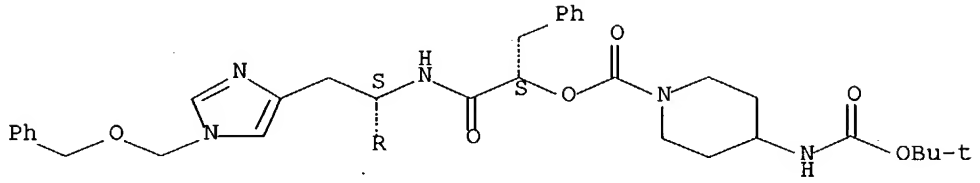


RN 138893-73-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-10,15-dimethyl-2,5,13-trioxo-4-[[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]methyl]-1-(phenylmethyl)-

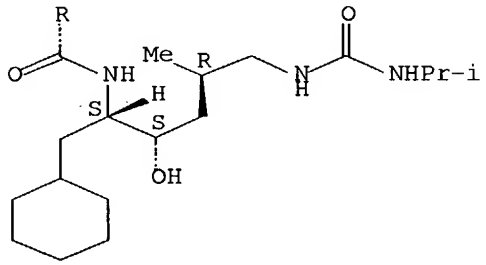
3,6,12,14-tetraazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*,10S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



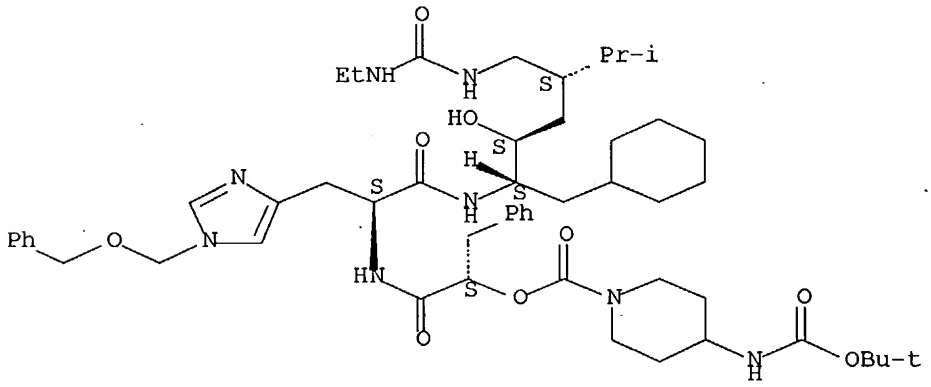
PAGE 2-A



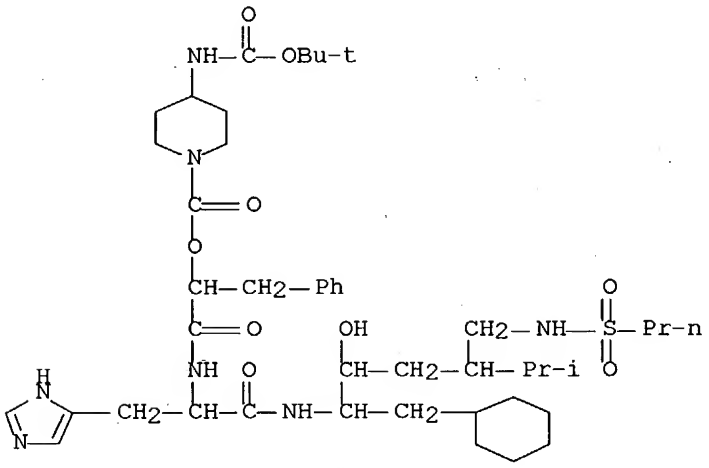
RN 138893-76-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-10-(1-methylethyl)-2,5,13-trioxo-4-[[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]methyl]-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*,10R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



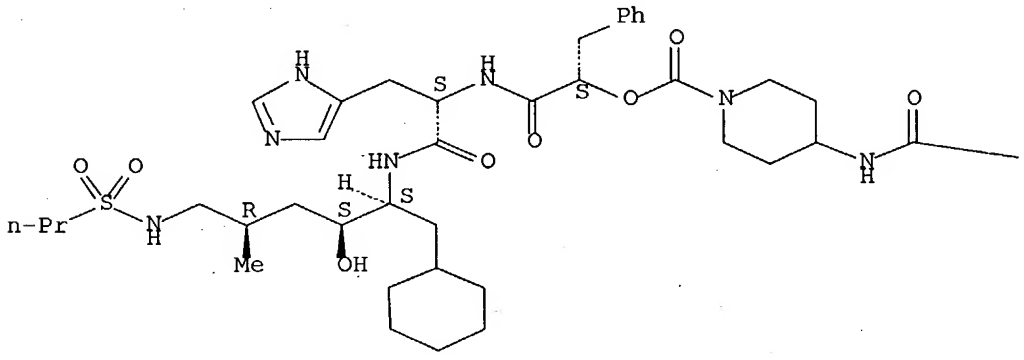
RN 138893-77-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-4-(1H-imidazol-4-ylmethyl)-10-(1-methylethyl)-13,13-dioxido-2,5-dioxo-1-(phenylmethyl)-13-thia-3,6,12-triazahexadec-1-yl ester, [1S-(1R*,4R*,6R*,8R*,10R*)]- (9CI) (CA INDEX NAME)



RN 138893-78-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-4-(1H-imidazol-4-ylmethyl)-10-methyl-13,13-dioxido-2,5-dioxo-1-(phenylmethyl)-13-thia-3,6,12-triazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*,10S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

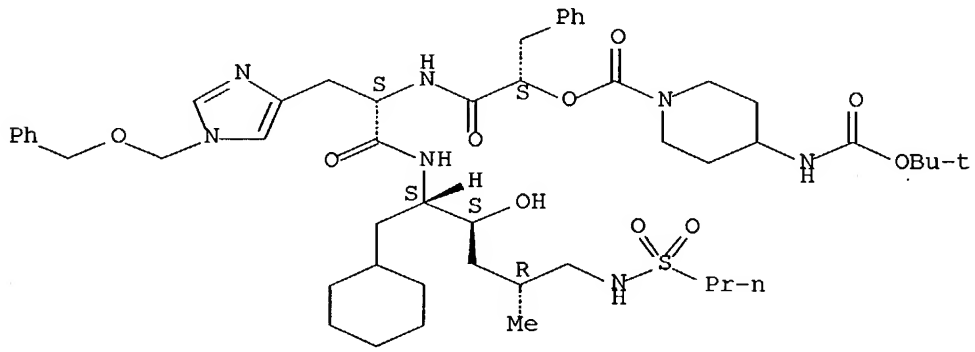
PAGE 1-A



—OBu-t

RN 138893-80-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-10-methyl-13,13-dioxido-2,5-dioxo-4-[[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]methyl]-1-(phenylmethyl)-13-thia-3,6,12-triazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*,10S*)]- (9CI) (CA INDEX NAME)

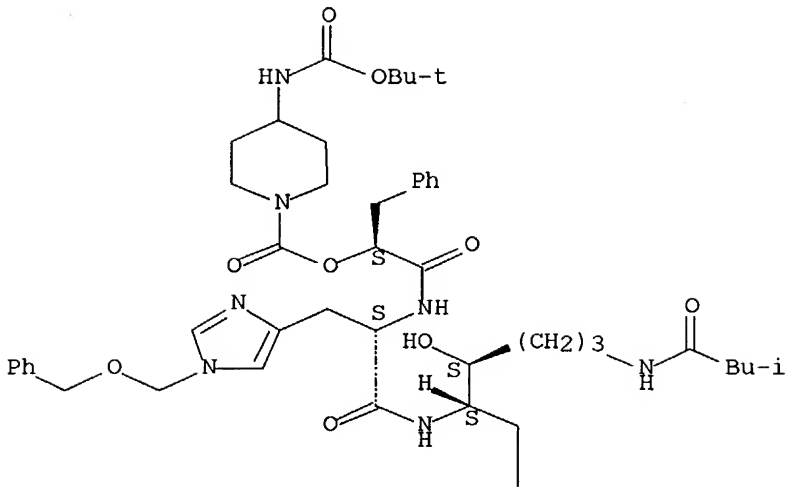
Absolute stereochemistry.



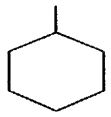
RN 138893-83-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-[(3-methyl-1-oxobutyl)amino]pentyl]amino]-2-oxo-1-[[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]methyl]ethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



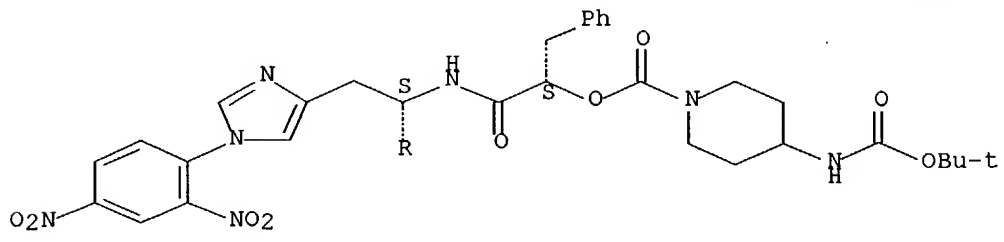
PAGE 2-A

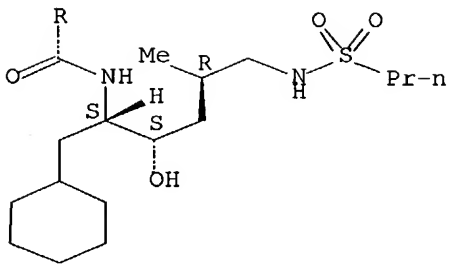


RN 138893-84-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-4-[[1-(2,4-dinitrophenyl)-1H-imidazol-4-yl]methyl]-8-hydroxy-10-methyl-13,13-dioxido-2,5-dioxo-1-(phenylmethyl)-13-thia-3,6,12-triazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*,10S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

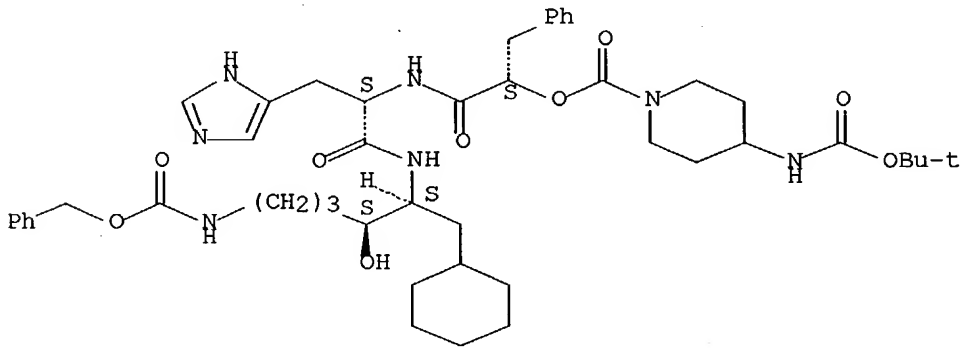
PAGE 1-A





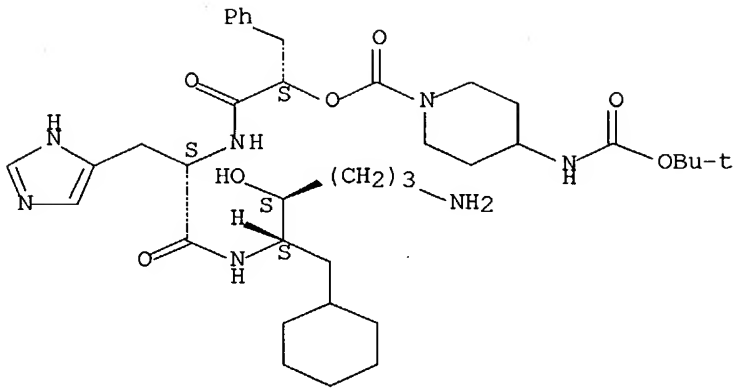
RN 138893-85-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-[[(phenylmethoxy)carbonyl]amino]p entyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



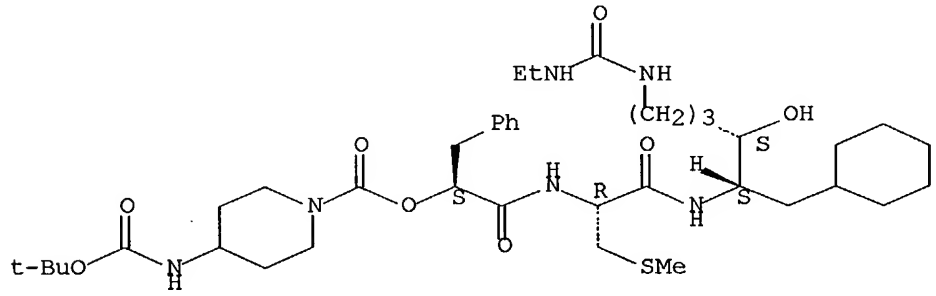
RN 138893-86-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[5-amino-1-(cyclohexylmethyl)-2-hydroxypentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



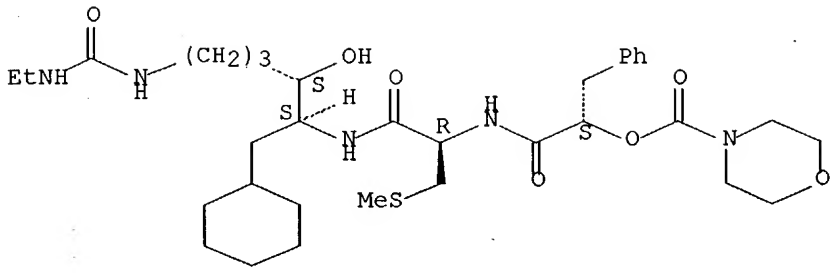
RN 138893-88-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-4-[(methylthio)methyl]-2,5,13-trioxo-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl ester, [1S-(1R*,4S*,7R*,8R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



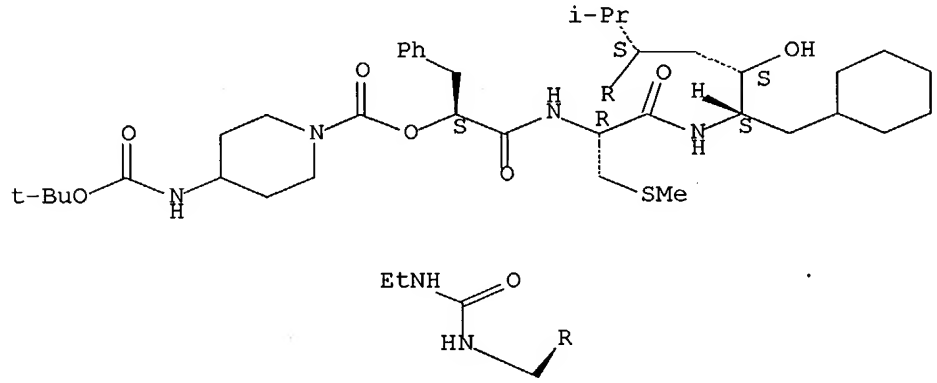
RN 138893-89-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 7-(cyclohexylmethyl)-8-hydroxy-4-[(methylthio)methyl]-2,5,13-trioxo-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl ester, [1S-(1R*,4S*,7R*,8R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 138893-90-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-10-(1-methylethyl)-4-[(methylthio)methyl]-2,5,13-trioxo-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl ester, [1S-(1R*,4S*,7R*,8R*,10R*)]- (9CI) (CA INDEX NAME)

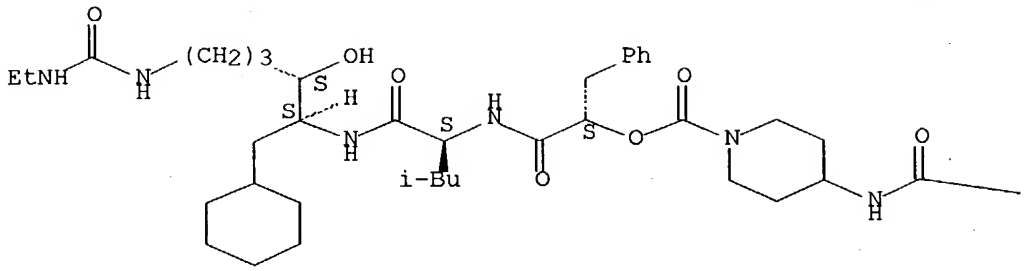
Absolute stereochemistry.



RN 138893-92-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-4-(2-methylpropyl)-2,5,13-trioxo-1-(phenylmethyl)-3,6,12,14-tetraazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



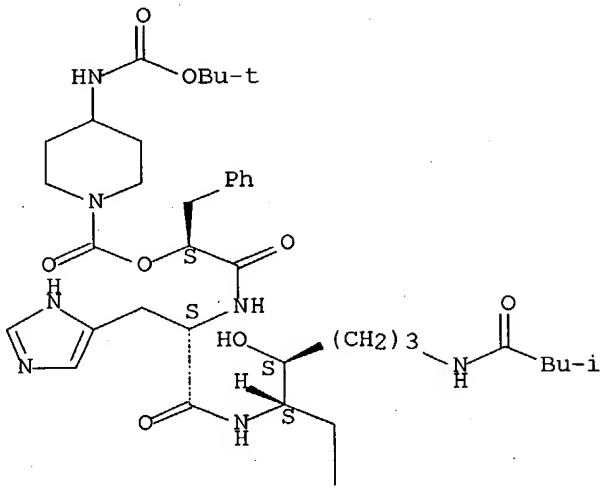
PAGE 1-B

—OBu-t

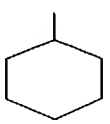
RN 138909-06-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-[(3-methyl-1-oxobutyl)amino]pentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

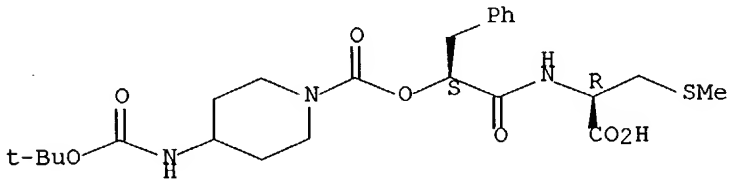


IT **138893-97-7 138893-99-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of renin inhibitors)

RN 138893-97-7 CAPLUS

CN L-Cysteine, N-[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]-S-methyl-, (S)- (9CI) (CA INDEX NAME)

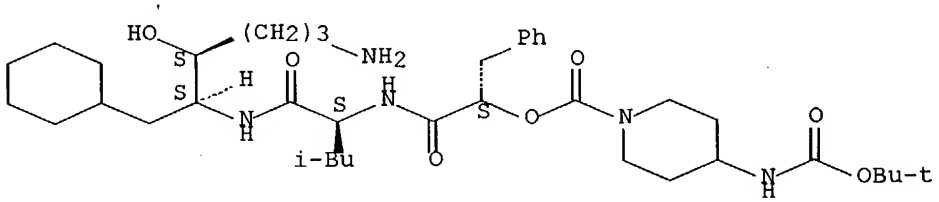
Absolute stereochemistry.



RN 138893-99-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[1-[[[5-amino-1-(cyclohexylmethyl)-2-hydroxypentyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

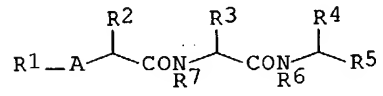
Absolute stereochemistry.



L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:449261 CAPLUS Full-text
DN 117:49261
TI Preparation of peptides having endothelin antagonist activity and pharmaceutical compositions comprising them.
IN Hemmi, Keiji; Neya, Masahiro; Fukami, Naoki; Hashimoto, Masashi; Tanaka, Hirokazu; Kayakiri, Natsuko
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 179 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 457195	A2	19911121	EP 1991-107554	19910509
	EP 457195	A3	19921119		
	EP 457195	B1	19980415		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA 9103417	A	19920226	ZA 1991-3417	19910506
	US 5284828	A	19940208	US 1991-696701	19910507
	AU 9176446	A1	19911114	AU 1991-76446	19910509
	AU 644648	B2	19931216		
	AT 165100	E	19980515	AT 1991-107554	19910509
	NO 9101854	A	19911115	NO 1991-1854	19910513
	FI 9102328	A	19911115	FI 1991-2328	19910513
	CA 2042442	AA	19911115	CA 1991-2042442	19910513
	CN 1057269	A	19911225	CN 1991-103919	19910513
	RU 2092491	C1	19971010	RU 1991-4895608	19910513
	HU 57233	A2	19911128	HU 1991-1619	19910514
	JP 04244097	A2	19920901	JP 1991-206614	19910514
	US 5430022	A	19950704	US 1993-86094	19930706
	US 5656604	A	19970812	US 1995-422944	19950417
PRAI	GB 1990-10740		19900514		
	GB 1990-26254		19901203		
	GB 1991-4064		19910227		
	US 1991-696701		19910507		
	US 1991-753997		19910903		
	US 1992-845056		19920303		
	US 1993-86094		19930706		

OS MARPAT 117:49261
GI



I

AB The title compds. [I; R1 = H, acyl; R2 = alkyl, aralkyl; R3 = (substituted) heterocyclylalkyl, (substituted) aralkyl; R4, R6 = H,

(substituted) alkyl; R5 = (protected) carboxy, (protected) carboxyalkyl; R7 = H, alkyl; A = O, NH, alkylimino, alkylene; with provisos] were prepared A mixture of Q-Leu-OH [Q = PhCH2CO], H-D-Trp(Me)-D-Phe-OMe.HCl, and HOBt in DMF was treated with WSCD under ice-bath cooling for 4.5 h, the mixture was concentrated and a solution of the residue in EtOAc was successively washed with 0.5 N HCl, saturated aqueous NaHCO3, and brine to give Q-Leu-D-Trp(Me)-D-Phe-OMe. In an assay using porcine aorta tissue Q1-L-Leu-D-Trp(Me)-D-Pya-OEt [Q1 = cyclohexylcarbamoyl, Pya = 3-(2-pyridyl)alanine residue; preparation given] had an IC50 of 2.3+10-9 M against 125I-endothelin.

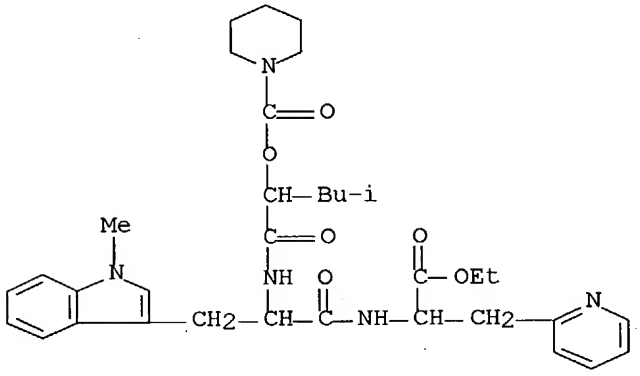
IT **142376-05-4P 142376-07-6P 142376-75-8P 142376-77-0P 142376-78-1P 142379-23-5P 142379-25-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as endothelin antagonist)

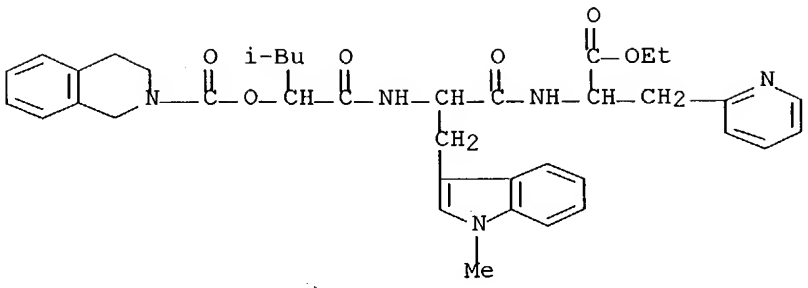
RN 142376-05-4 CAPLUS

CN D-Alanine, N-[1-methyl-N-[4-methyl-1-oxo-2-[(1-piperidinylcarbonyl)oxy]pentyl]-D-tryptophyl]-3-(2-pyridinyl)-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

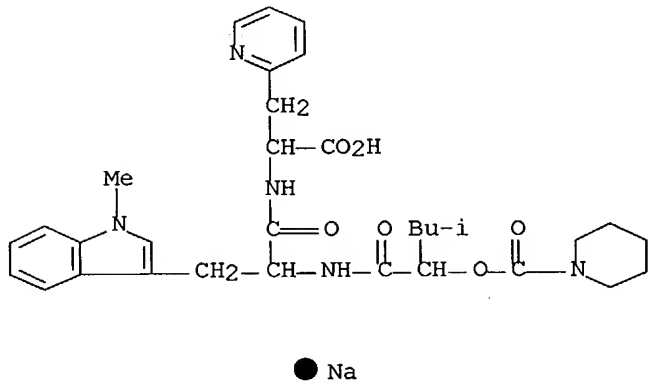


RN 142376-07-6 CAPLUS

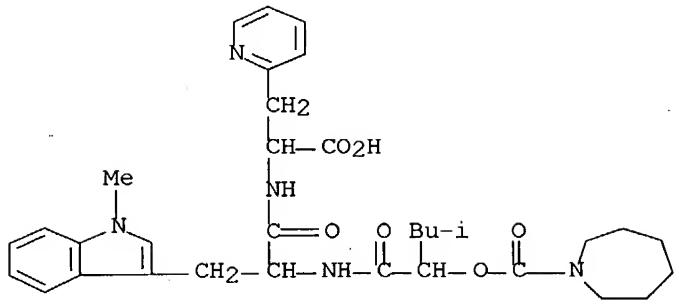
CN D-Alanine, N-[N-[2-[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]oxy]-4-methyl-1-oxopentyl]-1-methyl-D-tryptophyl]-3-(2-pyridinyl)-, ethyl ester, (S)- (9CI) (CA INDEX NAME)



RN 142376-75-8 CAPLUS
CN D-Alanine, N-[1-methyl-N-[4-methyl-1-oxo-2-[(1-piperidinylcarbonyl)oxy]pentyl]-D-tryptophyl]-3-(2-pyridinyl)-, monosodium salt, (S)- (9CI) (CA INDEX NAME)

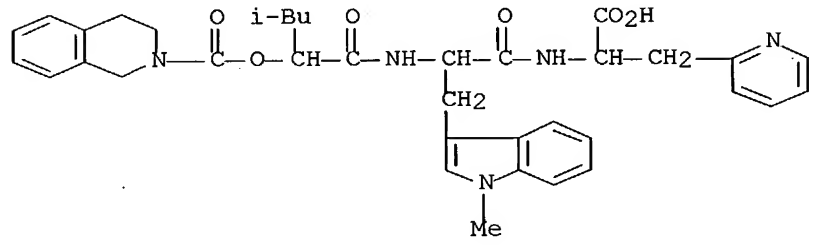


RN 142376-77-0 CAPLUS
CN D-Alanine, N-[N-[2-[[(hexahydro-1H-azepin-1-yl)carbonyl]oxy]-4-methyl-1-oxopentyl]-1-methyl-D-tryptophyl]-3-(2-pyridinyl)-, monosodium salt, (S)-
(9CI) (CA INDEX NAME)



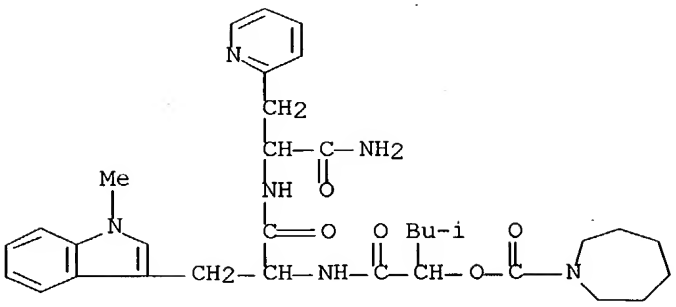
● Na

RN 142376-78-1 CAPLUS
CN D-Alanine, N-[N-[2-[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]oxy]-4-methyl-1-oxopentyl]-1-methyl-D-tryptophyl]-3-(2-pyridinyl)-, monosodium salt, (S)- (9CI) (CA INDEX NAME)

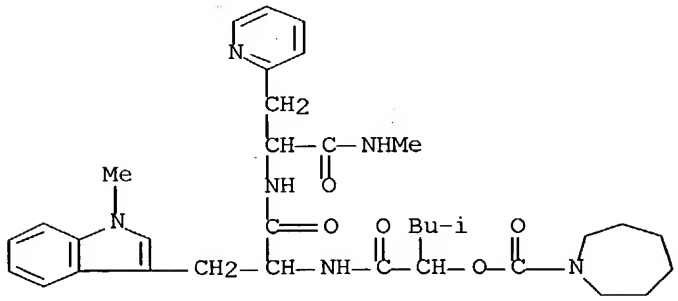


● Na

RN 142379-23-5 CAPLUS
CN D-Alaninamide, N-[2-[[(hexahydro-1H-azepin-1-yl)carbonyl]oxy]-4-methyl-1-
oxopentyl]-1-methyl-D-tryptophyl-3-(2-pyridinyl)-, (S)- (9CI) (CA INDEX NAME)



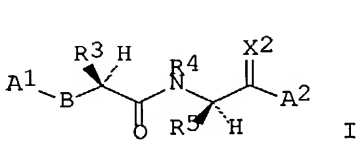
RN 142379-25-7 CAPLUS
CN D-Alaninamide, N-[2-[[(hexahydro-1H-azepin-1-yl)carbonyl]oxy]-4-methyl-1-
oxopentyl]-1-methyl-D-tryptophyl-N-methyl-3-(2-pyridinyl)-, (S)- (9CI)
(CA INDEX NAME)



L4 ANSWER 26 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:256053 CAPLUS Full-text
DN 116:256053
TI Preparation of endothelin antagonistic peptide derivatives
IN Ishikawa, Kiyofumi; Fukami, Takehiro; Hayama, Takashi; Niiyama, Kenji; Nagase, Toshio; Mase, Toshiaki; Fujita, Kagari; Ihara, Masaki; Ikemoto, Fumihiko; Yano, Mitsuo
PA Banyu Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 121 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

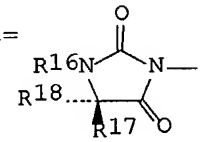
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 460679	A2	19911211	EP 1991-109313	19910606
	EP 460679	A3	19921119		
	EP 460679	B1	19981028		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2043741	AA	19911208	CA 1991-2043741	19910603
	CA 2043741	C	20030401		
	JP 05178891	A2	19930720	JP 1991-160023	19910603
	JP 3127488	B2	20010122		
	AU 9178182	A1	19911212	AU 1991-78182	19910605
	AU 632695	B2	19930107		
	AT 172741	E	19981115	AT 1991-109313	19910606
	US 5470833	A	19951128	US 1994-213829	19940314
	US 5691315	A	19971125	US 1995-494818	19950626
PRAI	JP 1990-149105	A	19900607		
	US 1991-712095	B3	19910607		
	US 1992-884189	B1	19920518		
	US 1994-213829	A3	19940314		

OS MARPAT 116:256053
GI

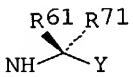


I

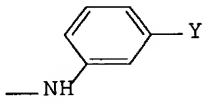
Q1=



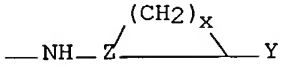
Q2=

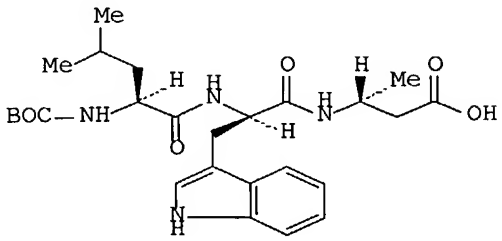


Q3=



Q4=





II

AB Title compds. [I; A1 = (cyclo)alkylcarbonyl, aryl, arylalkyl, 1,3-dithiol-2-ylidenemethyl, alkoxycarbonyl, phenoxycarbonyl, (thio)carbamoyl, etc.; A1B = Q1; R16 = H, (cyclo)alkyl; R17, R18 = H, alkyl; B = O, NH, NMe; R3 = alkyl; R4 = H, Me; R5 = (substituted) 3-indolylmethyl, (2,3-dihydro-2-oxo-3-indolyl)methyl, phosphonyl(alkyl), PhCH2, 3-benzothienylmethyl, etc.; X2 = O, S; A2 = Q2, Q3, Q4, etc.; Y = sulfo, phosphono, CO2H, alkoxycarbonyl, benzyloxycarbonyl, carbamoyl; R61 = H, alkyl; R71 = H, (substituted) alkyl; R61R71 = CH2; Z = CH, N; x = 1-3], were prepared BOC-Leu-OH was coupled with H-D-Trp-OMe.HCl using Et3N/hydroxybenzotriazole/1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 and the product was treated with N2H4 in DMF to give BOC-Leu-D-Trp-NHNH2. The latter in DMF at -60° was treated with HCl/dioxane, isoamyl nitrite, and tetrabutylammonium 3R-aminobutanoate to give title compound II. I inhibited 125I-endothelin binding to porcine aortal prepns. by 20-90%, and effectively inhibited endothelin-induced contraction of porcine coronary artery and guinea pig trachea.

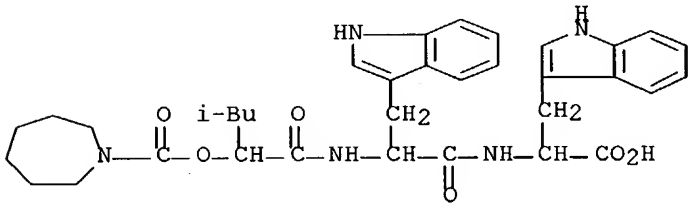
IT **141595-68-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as endothelin antagonist)

RN 141595-68-8 CAPLUS

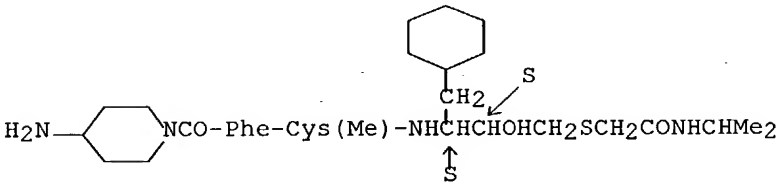
CN D-Tryptophan, N-[N-[2-[[(hexahydro-1H-azepin-1-yl)carbonyl]oxy]-4-methyl-1-oxopentyl]-D-tryptophyl]-, (S)- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:152416 CAPLUS Full-text
DN 116:152416
TI Preparation of dipeptide derivatives as renin inhibitors
IN Raddatz, Peter; Minck, Klaus Otto; Schmitges, Claus J.
PA Merck Patent G.m.b.H., Germany
SO Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 464517	A2	19920108	EP 1991-110259	19910621
	EP 464517	A3	19930407		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DE 4021512	A1	19920116	DE 1990-4021512	19900705
	CA 2046112	AA	19920106	CA 1991-2046112	19910703
	AU 9180217	A1	19920109	AU 1991-80217	19910704
	ZA 9105243	A	19920429	ZA 1991-5243	19910705
	JP 04305562	A2	19921028	JP 1991-259947	19910705
	HU 61321	A2	19921228	HU 1991-2282	19910705
PRAI	DE 1990-4021512		19900705		

OS MARPAT 116:152416
GI



II

AB Title compds. XWCR1R2COYNHCHR4CR5CH2(CR6R7)rS(O)tCH2COVR3 [I; X = R8, R8OCmH2mCO, R8CmH2mO2C, etc.; W = O, NH, CH2, S; Y = O, Ala, Arg, Asn, etc.; V = O, NH; R1, R6, R7 = H, C1-8 alkyl; R2-R4, R8 = H, C1-8 alkyl, (substituted) Ph, (substituted) naphthyl, (substituted) 5- or 6-membered heterocyclyl, etc.; R5 = (H, OH), (H, NH2), O; m = 0-10; r = 0-3; t = 0-2] were prepared as renin inhibitors (no data). Thus, II was prepared by standard coupling methods. Formulations of I were prepared

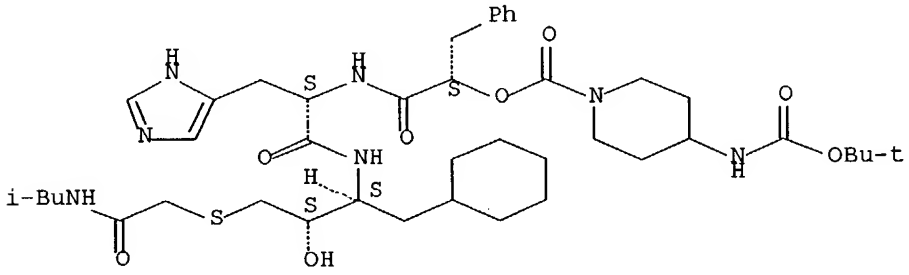
IT **139624-52-5P 139624-54-7P 139624-59-2P**
139624-61-6P 139624-62-7P 139624-63-8P
139624-70-7P 139624-72-9P 139624-78-5P
139624-79-6P 139624-82-1P 139624-83-2P
139624-89-8P 139624-91-2P 139624-98-9P
139625-04-0P 139625-08-4P 139625-12-0P
139625-15-3P 139625-16-4P 139625-21-1P
139625-23-3P 139625-25-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 139624-52-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-4-(1H-imidazol-4-ylmethyl)-15-methyl-2,5,12-trioxo-1-(phenylmethyl)-10-thia-3,6,13-triazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*)]- (9CI) (CA INDEX NAME)

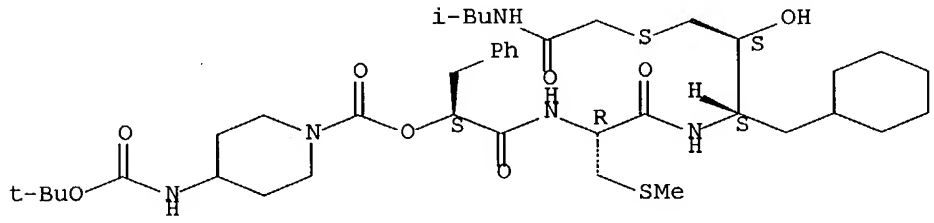
Absolute stereochemistry.



RN 139624-54-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-15-methyl-4-[(methylthio)methyl]-2,5,12-trioxo-1-(phenylmethyl)-10-thia-3,6,13-triazahexadec-1-yl ester, [1S-(1R*,4S*,7R*,8R*)]- (9CI) (CA INDEX NAME)

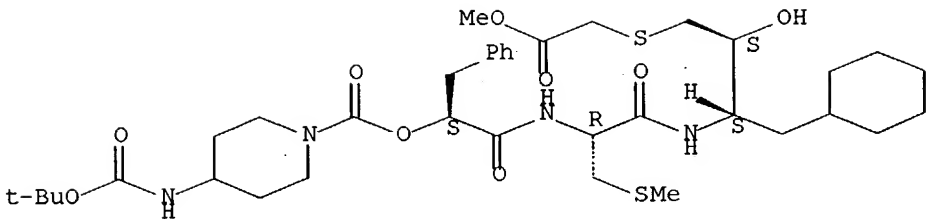
Absolute stereochemistry.



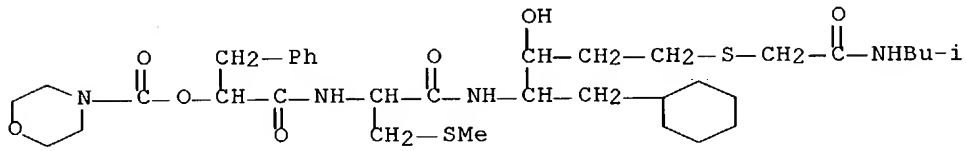
RN 139624-59-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-4-[(methylthio)methyl]-2,5,12-trioxo-1-(phenylmethyl)-13-oxa-10-thia-3,6-diazatetradec-1-yl ester, [1S-(1R*,4S*,7R*,8R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

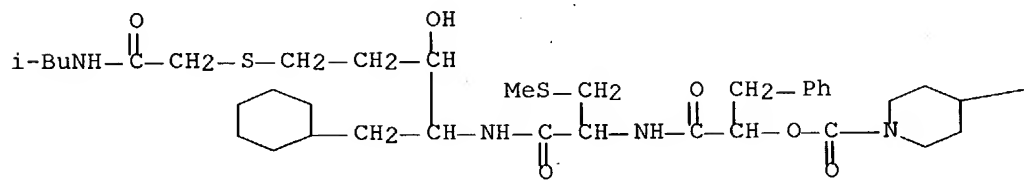


RN 139624-61-6 CAPLUS
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-5-S-[2-[(2-methylpropyl)amino]-2-oxoethyl]-2-[[3-(methylthio)-2-[[2-[(4-morpholinylcarbonyl)oxy]-1-oxo-3-phenylpropyl]amino]-1-oxopropyl]amino]-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

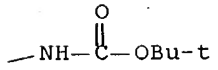


RN 139624-62-7 CAPLUS
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-5-S-[2-[(2-methylpropyl)amino]-2-oxoethyl]-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

PAGE 1-A

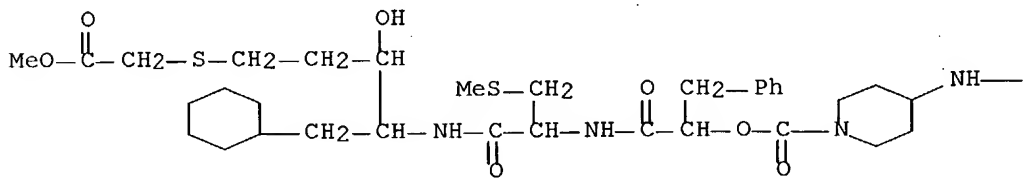


PAGE 1-B

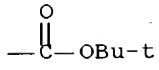


RN 139624-63-8 CAPLUS
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-5-S-(2-methoxy-2-oxoethyl)-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

PAGE 1-A

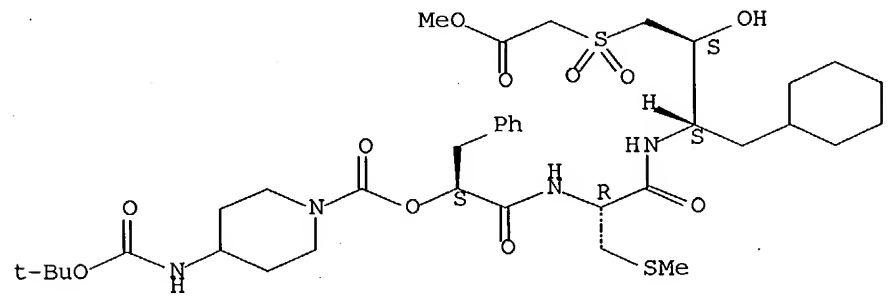


PAGE 1-B



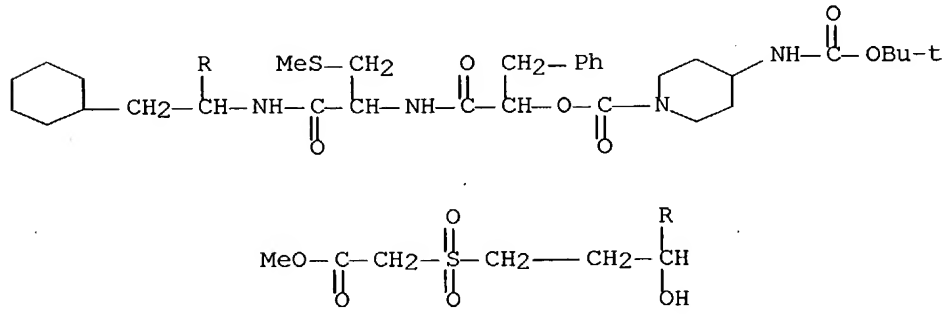
RN 139624-70-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(2-methoxy-2-oxoethyl)sulfonyl]propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



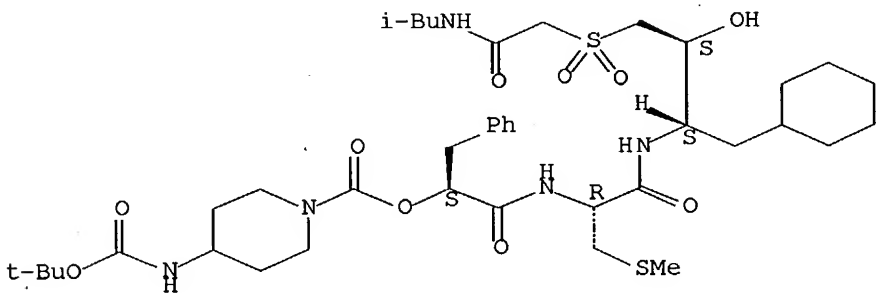
RN 139624-72-9 CAPLUS
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4,5-tetradeoxy-2-[[2-[[2-[[[4-[[(1,1-

dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-5-[(2-methoxy-2-oxoethyl)sulfonyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

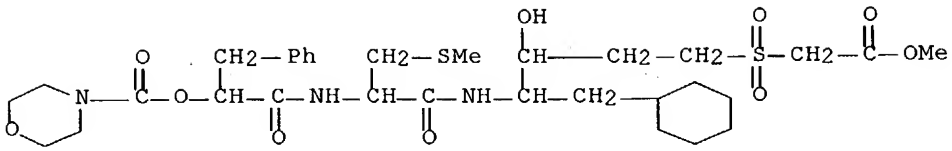


RN 139624-78-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[[2-[(2-methylpropyl)amino]-2-oxoethyl]sulfonyl]propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

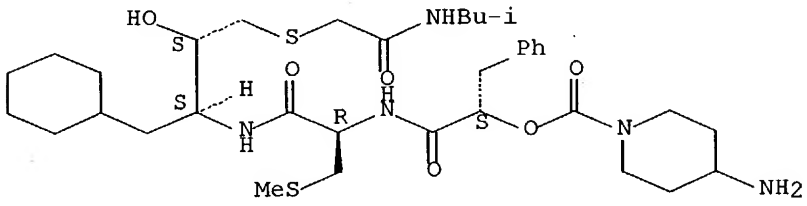


RN 139624-79-6 CAPLUS
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4,5-tetradeoxy-5-[(2-methoxy-2-oxoethyl)sulfonyl]-2-[[3-(methylthio)-2-[[2-[(4-morpholinylcarbonyl)oxy]-1-oxo-3-phenylpropyl]amino]-1-oxopropyl]amino]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)



RN 139624-82-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 7-(cyclohexylmethyl)-8-hydroxy-15-
methyl-4-[(methylthio)methyl]-2,5,12-trioxo-1-(phenylmethyl)-10-thia-3,6,13-triazahexadec-1-yl ester, [1S-(1R*,4S*,7R*,8R*)]- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

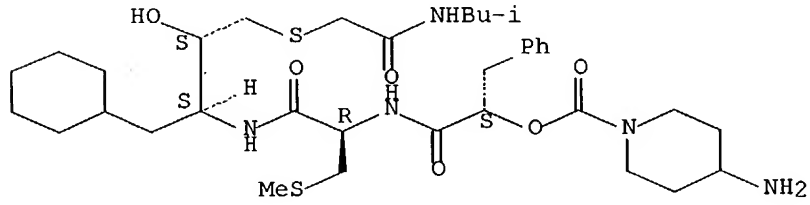


RN 139624-83-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 7-(cyclohexylmethyl)-8-hydroxy-15-
methyl-4-[(methylthio)methyl]-2,5,12-trioxo-1-(phenylmethyl)-10-thia-3,6,13-triazahexadec-1-yl ester, [1S-(1R*,4S*,7R*,8R*)]-,
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

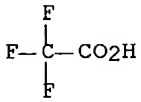
CRN 139624-82-1
CMF C35 H57 N5 O6 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

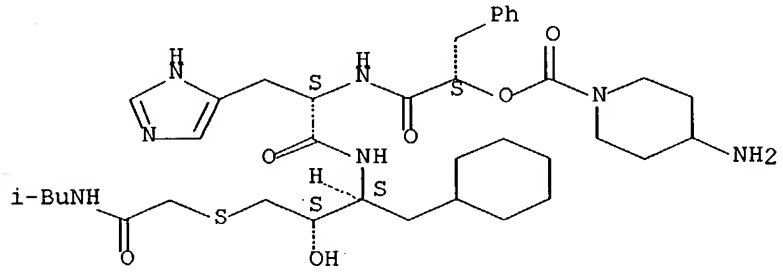


RN 139624-89-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 7-(cyclohexylmethyl)-8-hydroxy-4-(1H-imidazol-4-ylmethyl)-15-methyl-2,5,12-trioxo-1-(phenylmethyl)-10-thia-3,6,13-triazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*)]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

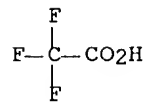
CRN 139624-88-7
CMF C37 H57 N7 O6 S

Absolute stereochemistry.



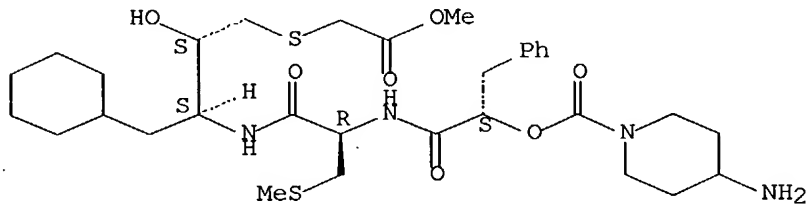
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 139624-91-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 7-(cyclohexylmethyl)-8-hydroxy-4-[(methylthio)methyl]-2,5,12-trioxo-1-(phenylmethyl)-13-oxa-10-thia-3,6-diazatetradec-1-yl ester, monohydrochloride, [1S-(1R*,4S*,7R*,8R*)]-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



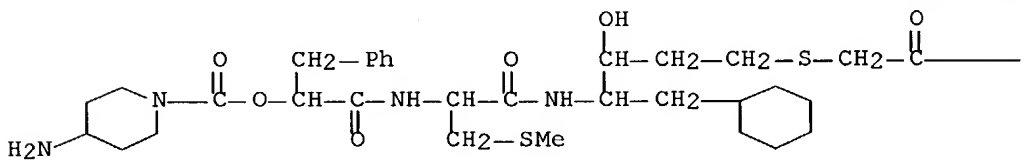
● HCl

RN 139624-98-9 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-trideoxy-5-S-[2-[(2-methylpropyl)amino]-2-oxoethyl]-5-thio-, [S-(R*,S*)]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 139624-97-8
CMF C36 H59 N5 O6 S2

PAGE 1-A

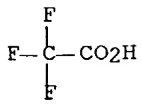


PAGE 1-B

—NHBu-i

CM 2

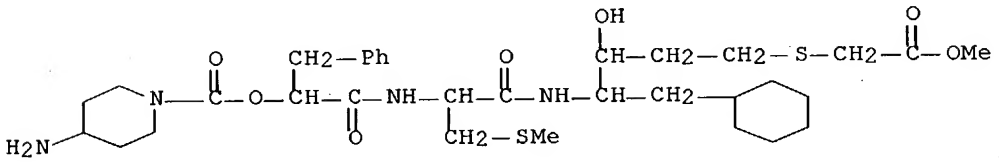
CRN 76-05-1
CMF C2 H F3 O2



RN 139625-04-0 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-
trideoxy-5-S-(2-methoxy-2-oxoethyl)-5-thio-, [S-(R*,S*)]-,
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

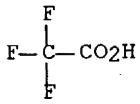
CM 1

CRN 139625-03-9
CMF C33 H52 N4 O7 S2



CM 2

CRN 76-05-1
CMF C2 H F3 O2



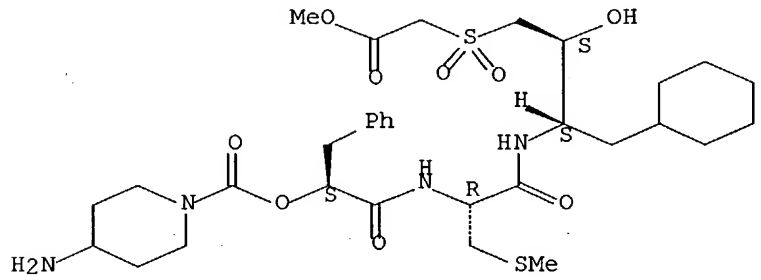
RN 139625-08-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(2-methoxy-2-oxoethyl)sulfonyl]propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[S*(R*)],2R*]]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

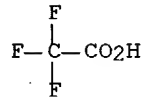
CRN 139625-07-3
CMF C32 H50 N4 O9 S2

Absolute stereochemistry.



CM 2

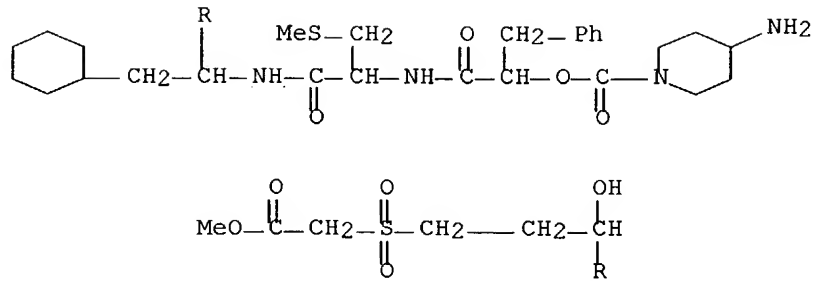
CRN 76-05-1
CMF C2 H F3 O2



RN 139625-12-0 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4,5-tetradeoxy-5-[(2-methoxy-2-oxoethyl)sulfonyl]-, [S-(R*,S*)]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

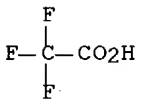
CM 1

CRN 139625-11-9
CMF C33 H52 N4 O9 S2



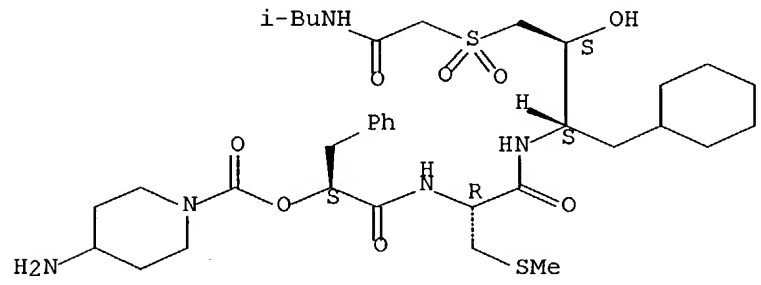
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 139625-15-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[[2-[(2-methylpropyl)amino]-2-oxoethyl]sulfonyl]propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



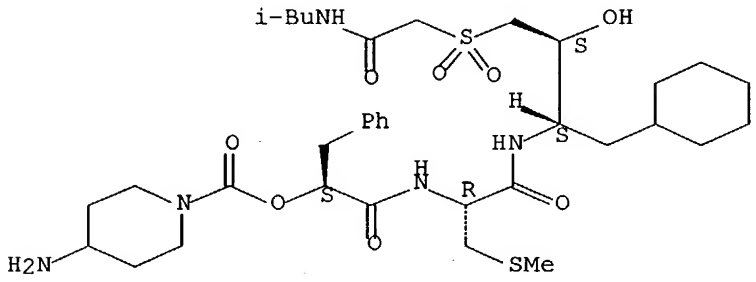
RN 139625-16-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[[2-[(2-methylpropyl)amino]-2-oxoethyl]sulfonyl]propyl]amino]-1-

[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[S*(R*)],2R*]]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

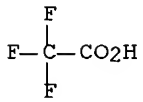
CRN 139625-15-3
CMF C35 H57 N5 O8 S2

Absolute stereochemistry.



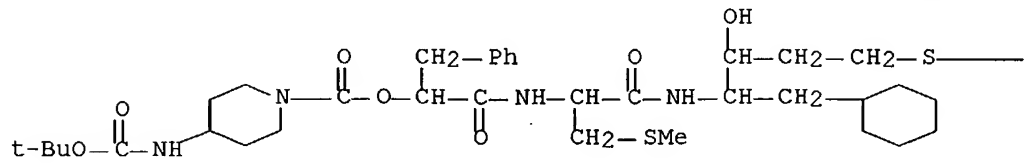
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 139625-21-1 CAPLUS
CN L-threo-Pentitol, 5-S-(carboxymethyl)-1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

PAGE 1-A

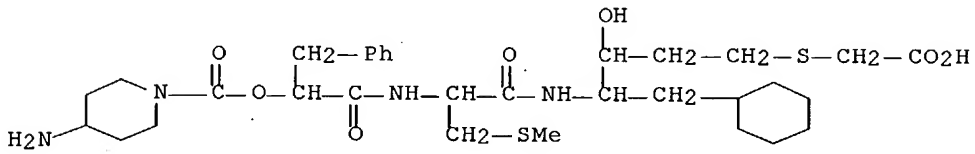


—CH_2—CO_2H

RN 139625-23-3 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-5-S-(carboxymethyl)-
1-cyclohexyl-1,2,4-trideoxy-5-thio-, [S-(R*,S*)]-,
mono(trifluoroacetate)
(salt) (9CI) (CA INDEX NAME)

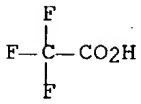
CM 1

CRN 139625-22-2
CMF C32 H50 N4 O7 S2

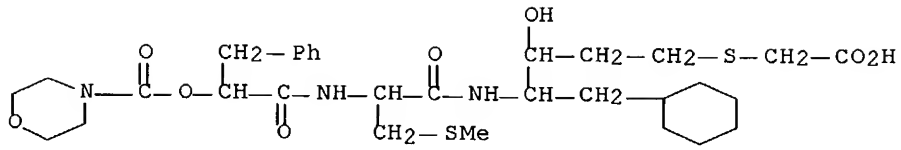


CM 2

CRN 76-05-1
CMF C2 H F3 O2

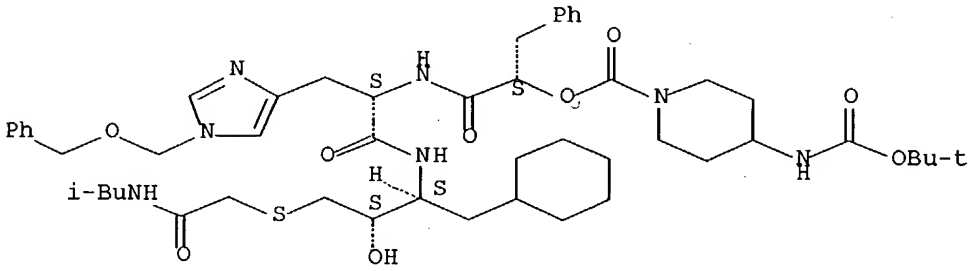


RN 139625-25-5 CAPLUS
CN L-threo-Pentitol, 5-S-(carboxymethyl)-1-cyclohexyl-1,2,4-trideoxy-2-[[3-(methylthio)-2-[[2-[(4-morpholinylcarbonyl)oxy]-1-oxo-3-
phenylpropyl]amino]-1-oxopropyl]amino]-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)



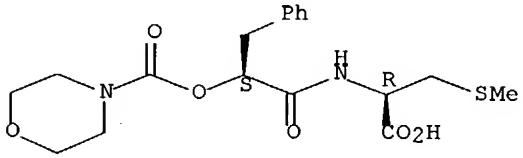
IT **139625-39-1 139625-49-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of renin inhibitors)
RN 139625-39-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 7-(cyclohexylmethyl)-8-hydroxy-15-methyl-2,5,12-trioxo-4-[[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]methyl]-1-(phenylmethyl)-10-thia-3,6,13-triazahexadec-1-yl ester, [1S-(1R*,4R*,7R*,8R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 139625-49-3 CAPLUS
CN L-Cysteine, S-methyl-N-[2-[(4-morpholinylcarbonyl)oxy]-1-oxo-3-phenylpropyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:152399 CAPLUS Full-text
DN 116:152399
TI Preparation of peptide renin inhibitors
IN Hoover, Dennis J.; Lefker, Bruce A.; Rosati, Robert L.
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 140 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 438233	A2	19910724	EP 1991-300191	19910111
	EP 438233	A3	19920909		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	EP 661292	A1	19950705	EP 1995-200133	19910111
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2034315	AA	19910719	CA 1991-2034315	19910116
	HU 56853	A2	19911028	HU 1991-134	19910116
	FI 9100254	A	19910719	FI 1991-254	19910117
	NO 9100200	A	19910719	NO 1991-200	19910117
	AU 9169464	A1	19910725	AU 1991-69464	19910117
	AU 632894	B2	19930114		
	CN 1054071	A	19910828	CN 1991-101145	19910117
	ZA 9100345	A	19920826	ZA 1991-345	19910117
	BR 9100221	A	19911022	BR 1991-221	19910118
	JP 05213873	A2	19930824	JP 1991-216669	19910118
	PL 166526	B1	19950531	PL 1991-288761	19910118
PRAI	US 1990-467068		19900118		
	EP 1991-300191		19910111		

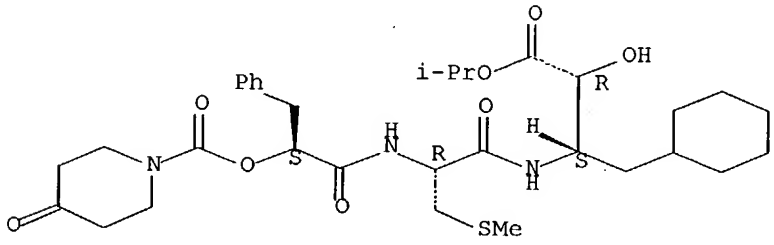
OS MARPAT 116:152399
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; Q = Q1, Q2, etc.; i = 2-4; l = 0-3; m, n = 0-2; p = 1,2; Y = CH, N; G = O, S; R10 = H, alkyl, phenylalkyl; R3 = (substituted) Ph, cycloalkyl, cycloalkylmethyl, naphthyl, PhCH2, thienyl; R4 = (substituted) alkyl, difluoromethylthioalkyl, 4-imidazolylmethyl, 4-thiazolylmethyl, alkoxyalkyl, alkylthioalkyl, alkenyl; R5 = thienyl, cycloalkenyl, 1,4-cyclohexadienyl, (substituted) alkyl, Ph, alkoxy; R6 = (substituted) alkylcarbonyl, alkoxycarbonyl, PhCH2CO, alkylthiomethyl, imidazolyl, thiazolyl, oxazolyl, etc.; D, E = H, alkyl; DE = atoms to complete a cyclopropyl, cyclobutyl, or cyclopentyl ring; Z = CH2, O, imino], were prepared as renin inhibitors. Thus, title compound II was prepared by reductive amination of the corresponding 4-piperidone derivative (U.S. Pat. 4,814,342) with Me2NH. I inhibited human renin with IC50's of <50 nM.
IT **138021-77-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for peptide renin inhibitors)
RN 138021-77-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-

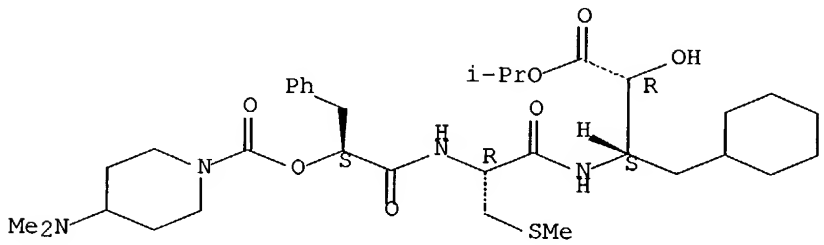
hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **137962-60-8P 137991-71-0P 137991-73-2P**
137991-75-4P 137991-77-6P 137991-79-8P
137991-96-9P 137991-99-2P 137992-00-8P
137992-01-9P 137992-04-2P 138021-54-2P
138021-56-4P 138021-57-5P 138125-47-0P
138126-36-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)
RN 137962-60-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(dimethylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



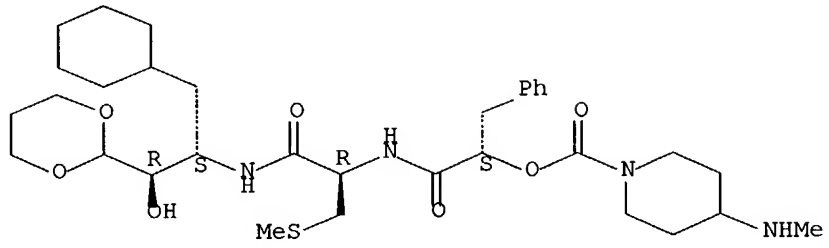
RN 137991-71-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dioxan-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,

[1S-[1R*[S*(R*)],2S*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

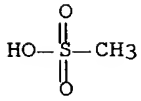
CRN 137991-70-9
CMF C33 H52 N4 O7 S

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

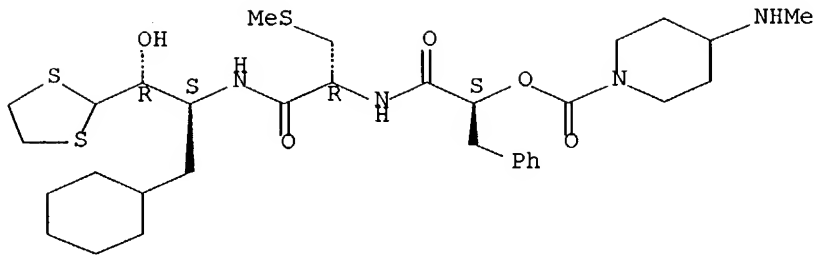


RN 137991-73-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dithiolan-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[S*(R*)],2S*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

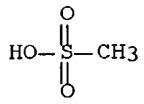
CRN 137991-72-1
CMF C32 H50 N4 O5 S3

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

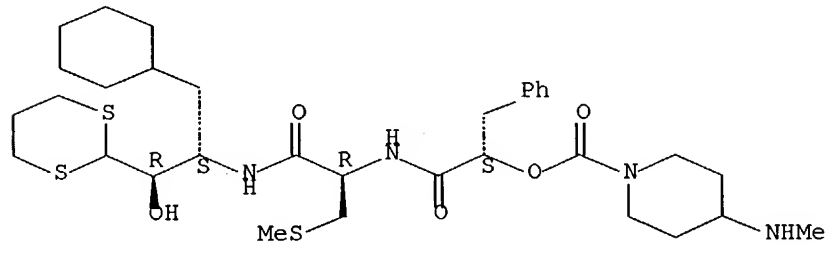


RN 137991-75-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dithian-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

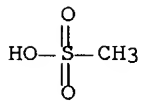
CRN 137991-74-3
CMF C33 H52 N4 O5 S3

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

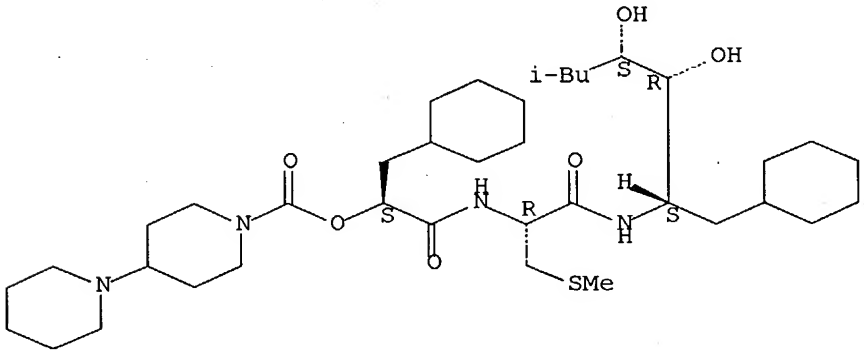


RN 137991-77-6 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 1-(cyclohexylmethyl)-2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxoethyl ester, [1S-[1R*[S*(R*)],2S*,3R*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

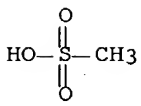
CRN 137991-76-5
CMF C38 H68 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

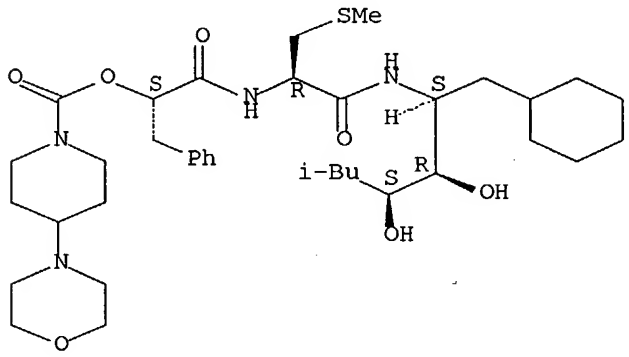


RN 137991-79-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-morpholinyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*,3R*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

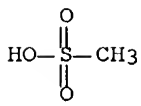
CRN 137991-78-7
CMF C37 H60 N4 O7 S

Absolute stereochemistry.



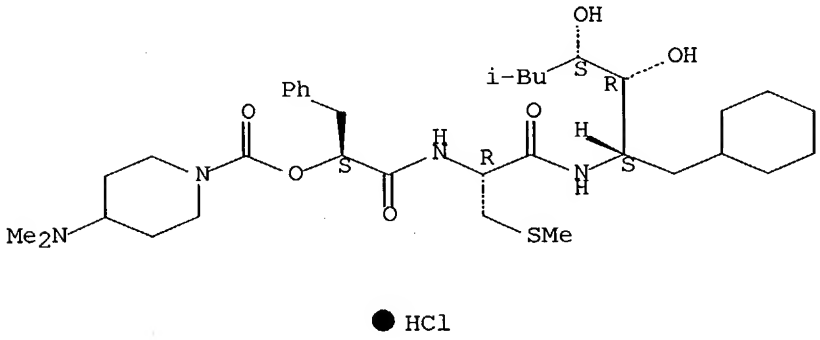
CM 2

CRN 75-75-2
CMF C H4 O3 S



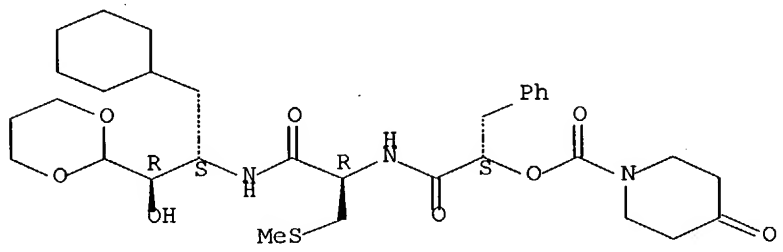
RN 137991-96-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(dimethylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, monohydrochloride, [1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



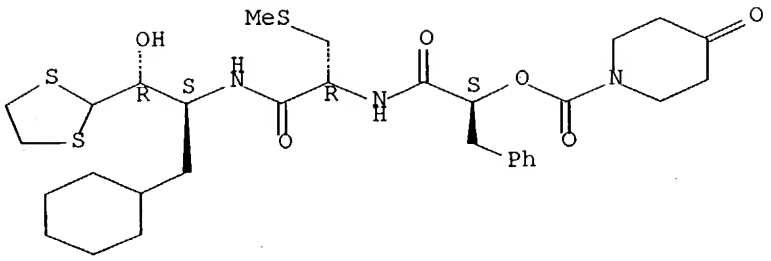
RN 137991-99-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dioxan-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



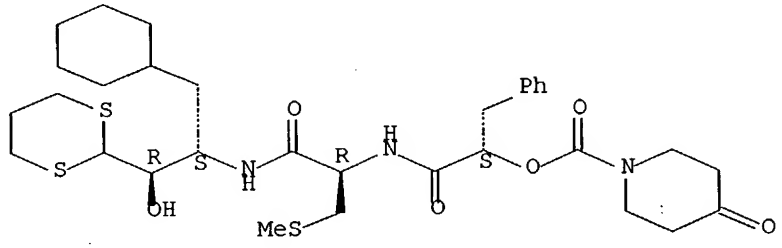
RN 137992-00-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-dithiolan-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



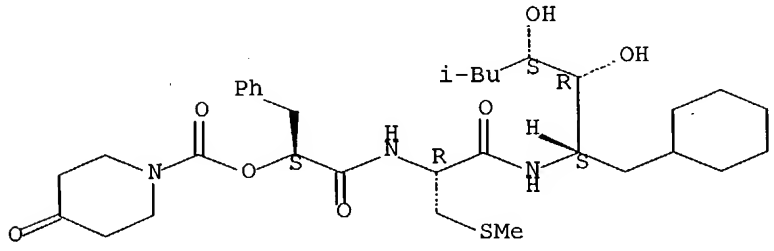
RN 137992-01-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-(1,3-
dithian-2-yl)-2-hydroxyethyl]amino]-1-[(methylthio)methyl]-2-
oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*]]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



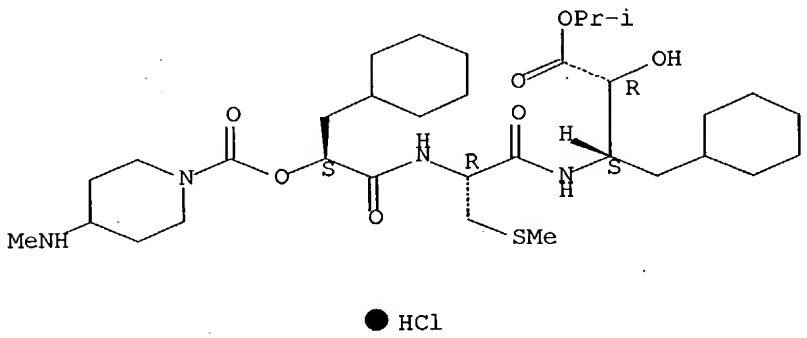
RN 137992-04-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-
dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-
oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



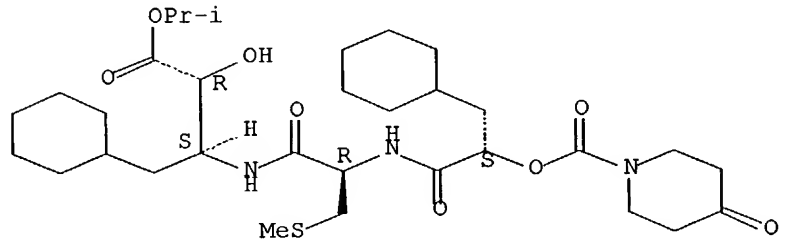
RN 138021-54-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(methylamino)-, 1-(cyclohexylmethyl)-2-[[2-
[[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-
[(methylthio)methyl]-2-oxoethyl]amino]-2-oxoethyl ester,
monohydrochloride, [1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



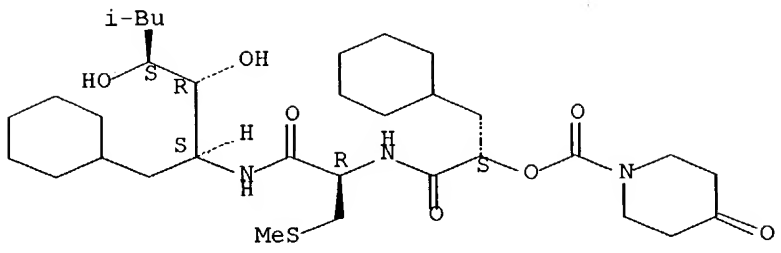
RN 138021-56-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 1-(cyclohexylmethyl)-2-[[2-[[1-
(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-
[(methylthio)methyl]-2-oxoethyl]amino]-2-oxoethyl ester,
[1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



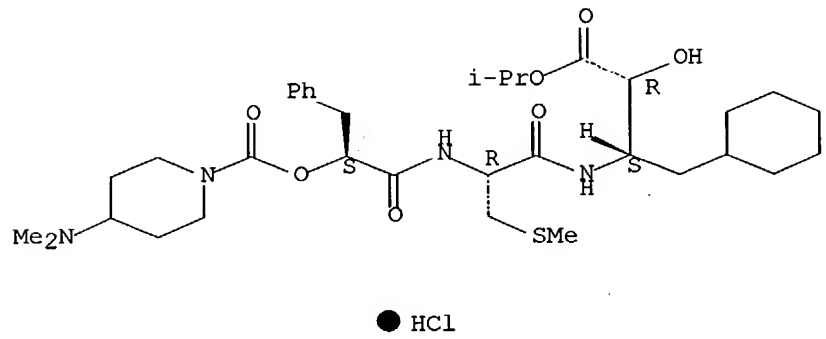
RN 138021-57-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, 1-(cyclohexylmethyl)-2-[[2-[[1-
(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-
[(methylthio)methyl]-2-oxoethyl]amino]-2-oxoethyl ester,
[1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



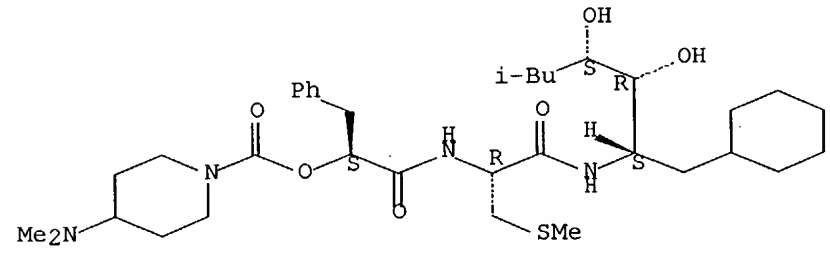
RN 138125-47-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(dimethylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
monohydrochloride, [1S-[1R*[S*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 138126-36-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(dimethylamino)-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,[1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

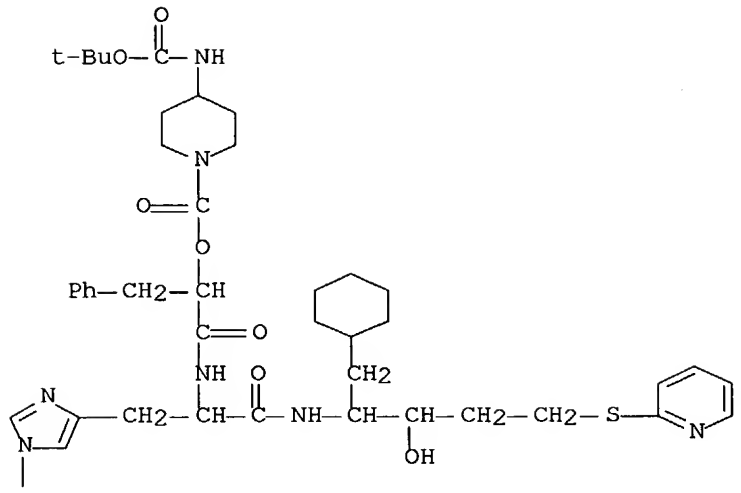


L4 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:129645 CAPLUS Full-text
DN 116:129645
TI Preparation of heterocyclylpeptides as resin inhibitors
IN Raddatz, Peter; Schmitges, Claus J.; Minck, Klaus-Otto
PA Merck Patent G.m.b.H., Germany
SO Ger. Offen., 18 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

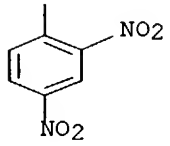
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4014421	A1	19911107	DE 1990-4014421	19900505
	AU 9175336	A1	19911107	AU 1991-75336	19910423
	AU 632928	B2	19930114		
	EP 456039	A2	19911113	EP 1991-106595	19910424
	EP 456039	A3	19921119		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	HU 60284	A2	19920828	HU 1991-1477	19910502
	JP 04244064	A2	19920901	JP 1991-194686	19910502
	US 5328916	A	19940712	US 1991-694617	19910502
	CA 2041817	AA	19911106	CA 1991-2041817	19910503
	ZA 9103361	A	19920325	ZA 1991-3361	19910503
PRAI	DE 1990-4014421		19900505		

OS MARPAT 116:129645
AB XWCR1R2COYNR3CHR4CR5CH2(CR6R7)rZ-Het [X = R8, R8O(CH2)mCO, R8SO2, R8(CH2)mOCO, etc.; W = O, NH, CH2, S; Y = O, amino acid residue; Z = O, S, SO, SO2; R1, R3, R6, R7 = H, alkyl; R5 = (H, OH), (H, NH2), O; R2, R4, R8 = H, alkyl, (substituted) aryl, arylalkyl, heterocyclyl, cycloalkyl, etc.; Het = (unsatd.) (benzene-fused) (substituted) 5- or 6-membered heterocyclyl; r = 0-3; m = 0-10], were prepared as renin inhibitors (no data). Thus, 3-tert-butoxycarbonyl-4-cyclohexylmethyl-5-(2-iodoethyl)-2,2- dimethyloxazolidine was condensed with 2-hydroxypyridine and the product was hydrolyzed to (4S)-amino-5-cyclohexyl-(3S)-hydroxypentyloxypyridine. This was condensed with Me3CSO2-Phe-His(BOM)-OH (BOM = benzyloxymethyl) followed by hydrogenolysis to give 2-[4(S)-tert-butylsulfonylphenylalanylhistidylamino-3(S)-hydroxy-5-cyclohexylpentyloxy]pyridine. Drug formulations were prepared containing various I.
IT **139470-35-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for renin inhibitor)
RN 139470-35-2 CAPLUS
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-[1-(2,4-dinitrophenyl)-1H-imidazol-4-yl]-1-oxopropyl]amino]-5-S-2-pyridinyl-5-thio-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A



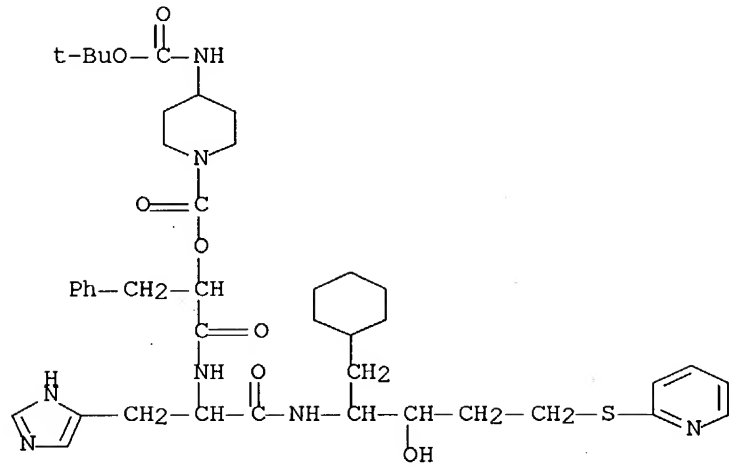
PAGE 2-A



IT **139469-90-2P 139469-93-5P 139469-98-0P**
139469-99-1P 139470-00-1P 139470-01-2P
139470-02-3P 139470-03-4P 139470-08-9P
139470-18-1P 139470-20-5P 139470-21-6P
139470-22-7P 139470-24-9P 139470-26-1P
139470-28-3P 139470-30-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 139469-90-2 CAPLUS

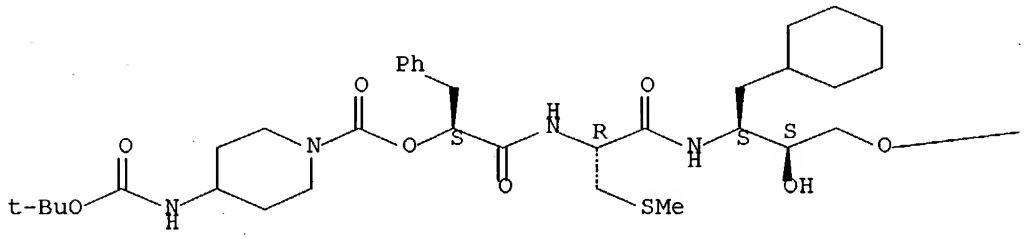
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-5-S-2-pyridinyl-5-thio-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



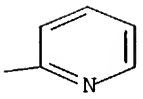
RN 139469-93-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(2-pyridinyloxy)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



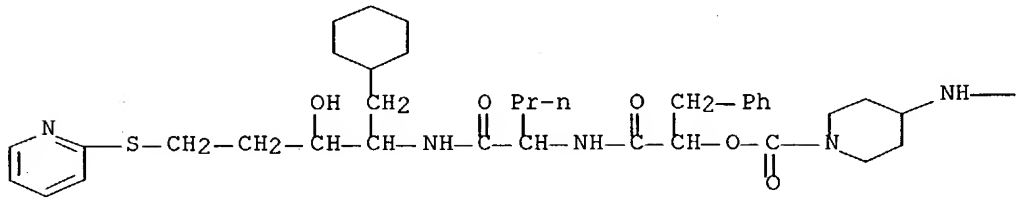
PAGE 1-B



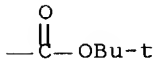
RN 139469-98-0 CAPLUS

CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-1-oxopentyl]amino]-5-S-2-pyridinyl-5-thio-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A



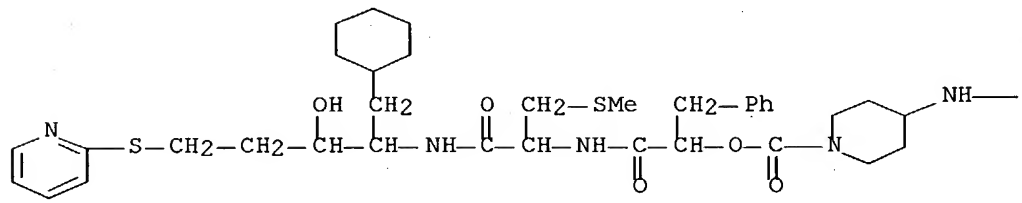
PAGE 1-B



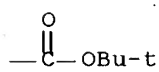
RN 139469-99-1 CAPLUS

CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-5-S-2-pyridinyl-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

PAGE 1-A

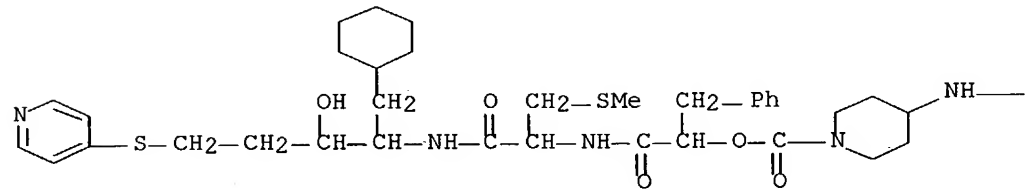


PAGE 1-B

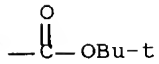


RN 139470-00-1 CAPLUS
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-5-S-4-pyridinyl-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

PAGE 1-A

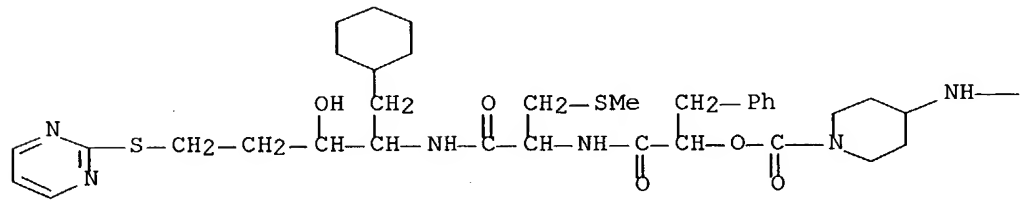


PAGE 1-B

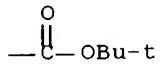


RN 139470-01-2 CAPLUS
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-5-S-2-pyrimidinyl-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

PAGE 1-A

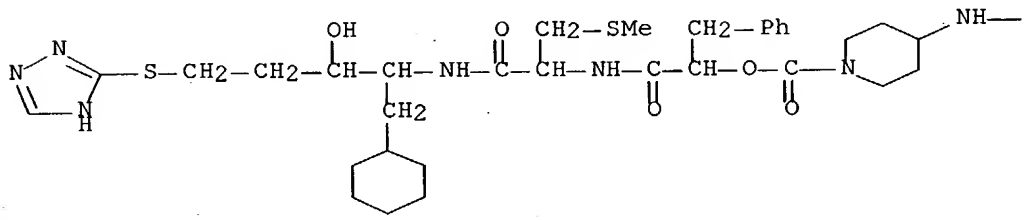


PAGE 1-B

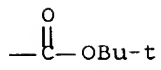


RN 139470-02-3 CAPLUS
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-5-thio-5-S-1H-1,2,4-triazol-3-yl- (9CI) (CA INDEX NAME)

PAGE 1-A

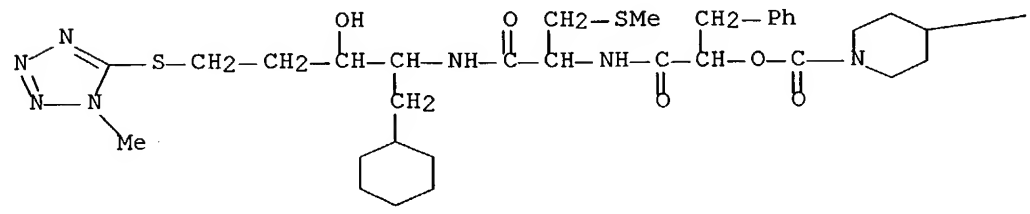


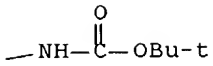
PAGE 1-B



RN 139470-03-4 CAPLUS
CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[2-[[2-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-5-S-(1-methyl-1H-tetrazol-5-yl)-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

PAGE 1-A



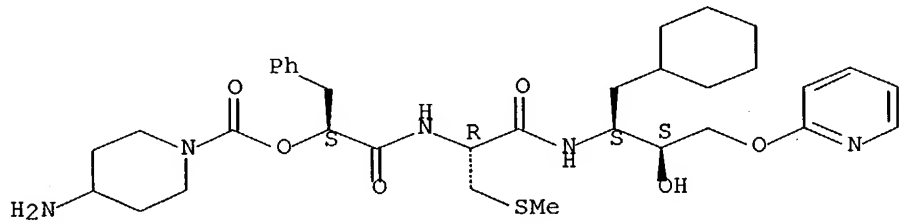


RN 139470-08-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-3-(2-pyridinyloxy)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2R*]]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

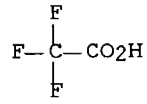
CRN 139470-07-8
CMF C34 H49 N5 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

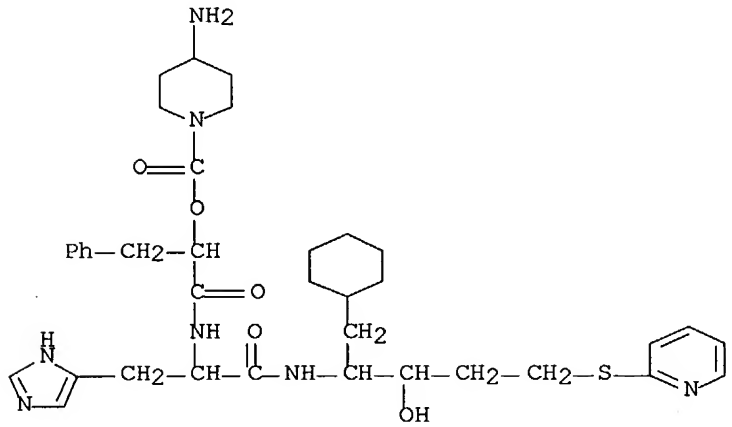


RN 139470-18-1 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-

cyclohexyl-
1,2,4-trideoxy-5-S-2-pyridinyl-5-thio-, [S-(R*,R*)]-,
tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

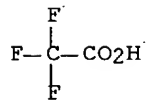
CM 1

CRN 139470-17-0
CMF C37 H51 N7 O5 S



CM 2

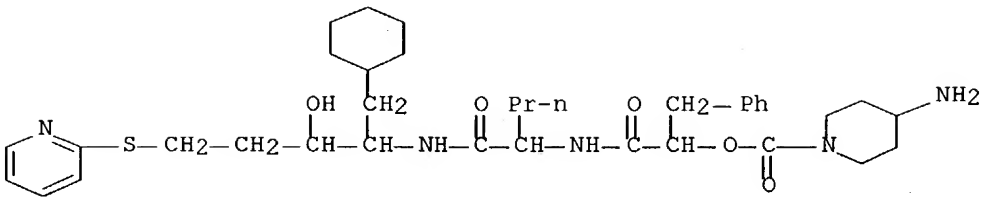
CRN 76-05-1
CMF C2 H F3 O2



RN 139470-20-5 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-1-oxopentyl]amino]-1-cyclohexyl-1,2,4-trideoxy-5-S-2-
pyridinyl-5-thio-, [S-(R*,R*)]-, bis(trifluoroacetate) (salt) (9CI) (CA
INDEX NAME)

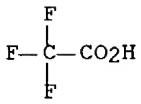
CM 1

CRN 139470-19-2
CMF C36 H53 N5 O5 S

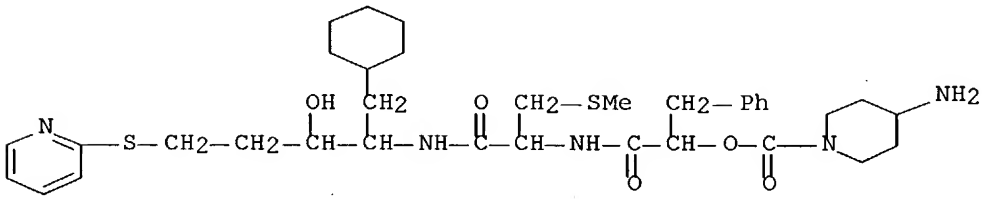


CM 2

CRN 76-05-1
CMF C2 H F3 O2



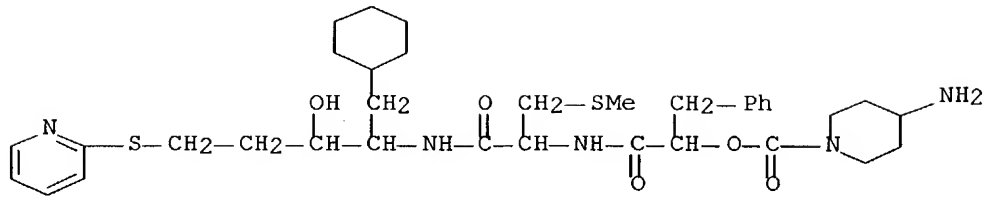
RN 139470-21-6 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-
trideoxy-5-S-2-pyridinyl-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)



RN 139470-22-7 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-
trideoxy-5-S-2-pyridinyl-5-thio-, [S-(R*,S*)]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

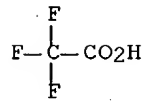
CM 1

CRN 139470-21-6
CMF C35 H51 N5 O5 S2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

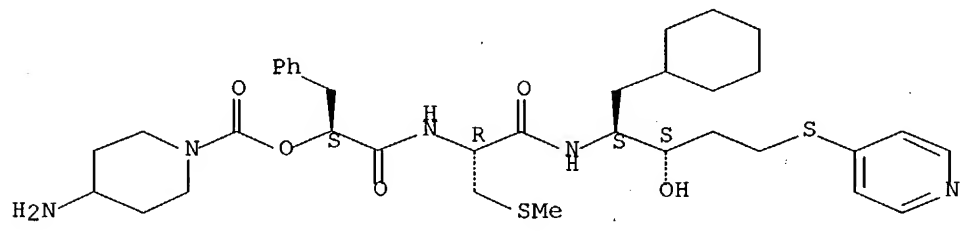


RN 139470-24-9 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-
trideoxy-5-S-4-pyridinyl-5-thio-, [S-(R*,S*)]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

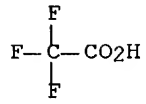
CRN 139470-23-8
CMF C35 H51 N5 O5 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

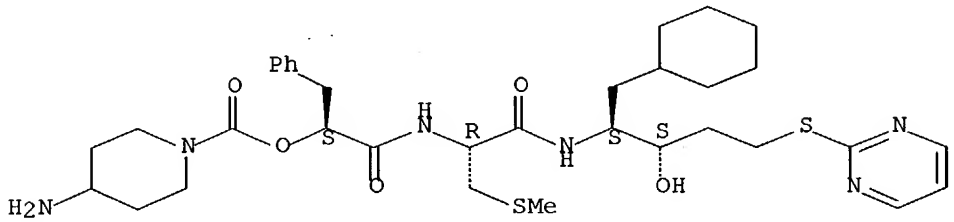


RN 139470-26-1 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-
trideoxy-5-S-2-pyrimidinyl-5-thio-, [S-(R*,S*)]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

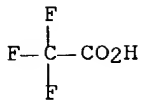
CRN 139470-25-0
CMF C34 H50 N6 O5 S2

Absolute stereochemistry.



CM 2

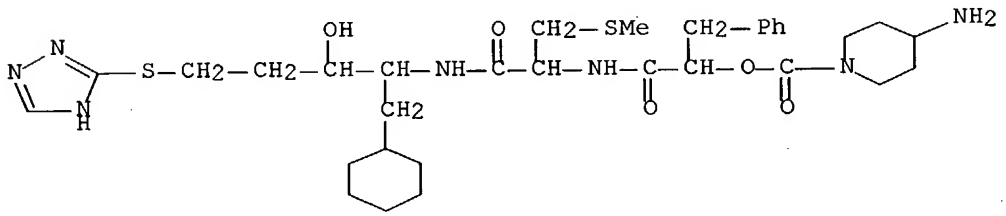
CRN 76-05-1
CMF C2 H F3 O2



RN 139470-28-3 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-
trideoxy-5-thio-5-S-1H-1,2,4-triazol-3-yl-, [S-(R*,S*)]-,
bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

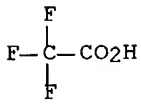
CM 1

CRN 139470-27-2
CMF C32 H49 N7 O5 S2



CM 2

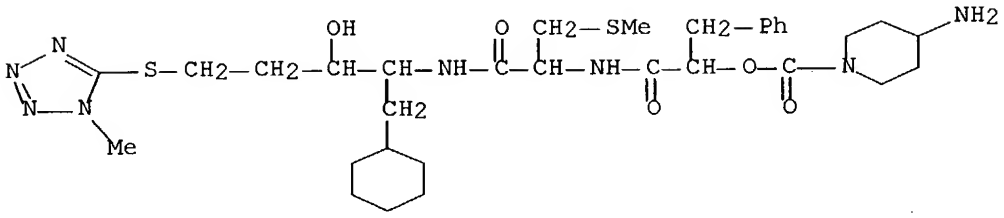
CRN 76-05-1
CMF C2 H F3 O2



RN 139470-30-7 CAPLUS
CN L-threo-Pentitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-
phenylpropyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-cyclohexyl-1,2,4-
trideoxy-5-S-(1-methyl-1H-tetrazol-5-yl)-5-thio-, [S-(R*,S*)]-,
bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

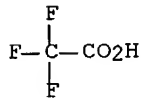
CM 1

CRN 139470-29-4
CMF C32 H50 N8 O5 S2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

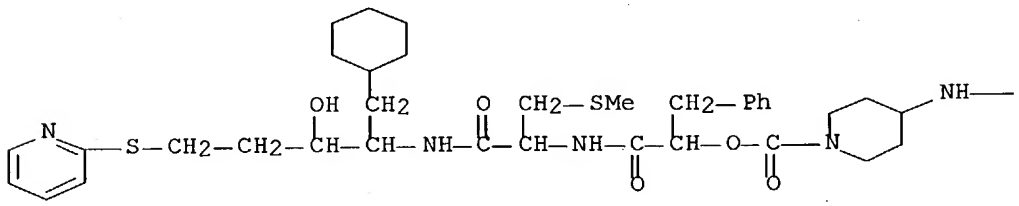


IT **139470-54-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of renin inhibitor)

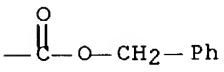
RN 139470-54-5 CAPLUS

CN L-threo-Pentitol, 1-cyclohexyl-1,2,4-trideoxy-2-[[3-(methylthio)-1-oxo-2-[[1-oxo-3-phenyl-2-[[[4-[[(phenylmethoxy)carbonyl]amino]-1-piperidinyl]carbonyl]oxy]propyl]amino]propyl]amino]-5-S-2-pyridinyl-5-thio-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

PAGE 1-A



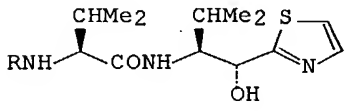
PAGE 1-B



L4 ANSWER 30 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:42060 CAPLUS Full-text
DN 116:42060
TI Preparation of N1-(1-heteroaryl-1-hydroxyalk-2-yl)-N2-(3-alkoxycarbonyl-2-
arylmethylpropionyl)-α-aminoalkanamides and analogs as renin inhibitors
IN Albright, Jay Donald; Howell, Charles Frederick; Levin, Jeremy Ian; Sum, Fuk Wah; Reich, Marvin Fred
PA American Cyanamid Co., USA
SO Eur. Pat. Appl., 106 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

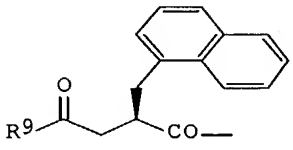
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 427939	A2	19910522	EP 1990-117977	19900919
EP 427939	A3	19911106		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
CA 2027125	AA	19910412	CA 1990-2027125	19901009
JP 03178962	A2	19910802	JP 1990-272062	19901009
AU 9064505	A1	19910418	AU 1990-64505	19901010
US 5104869	A	19920414	US 1990-605067	19901025
PRAI US 1989-419810		19891011		

OS MARPAT 116:42060
GI



I

Q1=

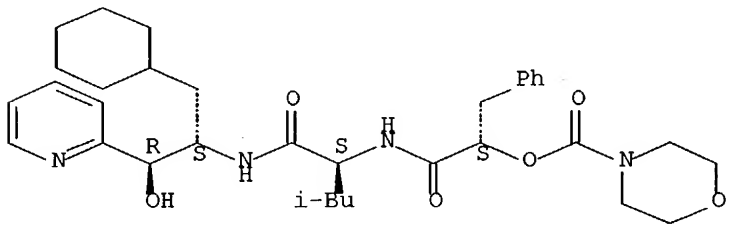


AB QNR3CHR4CONR5CHR6CH(OH)A [A = (un)substituted heteroaryl; Q = (R)-R1COWCHR2CO; R1 = alkoxy, NR7R8; R7 = H, alkyl; R8 = (un)substituted alkyl; or NR7R8 = heterocyclyl; R2 = (un)substituted arylmethyl; R3, R5 = H, Me; R4 = (amino)alkyl, PhCH2, alkoxy, heteroarylmethyl, etc.; R6 = (alkoxy)alkyl, PhCH2, cyclohexylmethyl, etc.; W = CH2, O] were prepared Thus, QOH (Q = acylisobutanoyl group Q1; R9 = OCMe3) (preparation given) was condensed with leucylaminopentanol I (R = H) (preparation given) to give I (R = Q1, R9 = OCMe3). I [R = Q1, R9 = 2-(N-methyl-2-pyrrolyl)ethylamino] had IC50 of 3.3 + 10-8M against angiotensin I generation in vitro.

IT **138275-97-5P 138275-98-6P 138275-99-7P**
138276-00-3P 138276-01-4P 138276-02-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

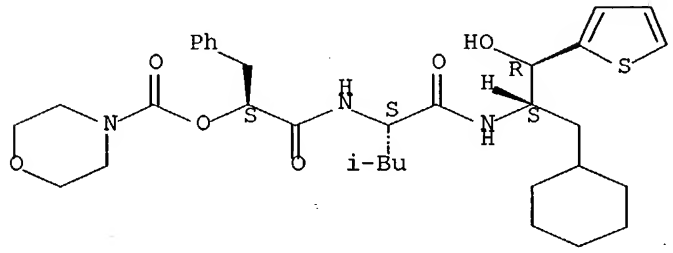
RN 138275-97-5 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-[[[1-(cyclohexylmethyl)-2-hydroxy-2-(2-
pyridinyl)ethyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-
(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



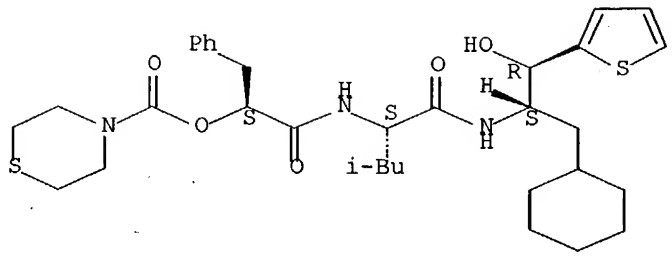
RN 138275-98-6 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-[[[1-(cyclohexylmethyl)-2-hydroxy-2-(2-
thienyl)ethyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-
(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



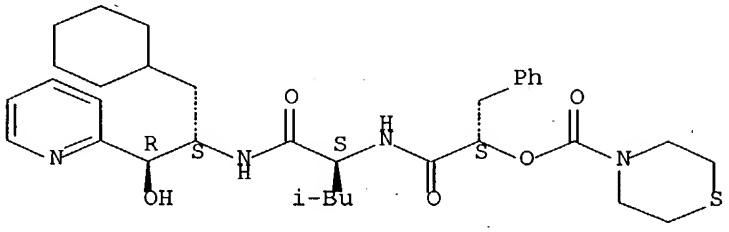
RN 138275-99-7 CAPLUS
CN 4-Thiomorpholinecarboxylic acid, 2-[[1-[[[1-(cyclohexylmethyl)-2-hydroxy-2-
(2-thienyl)ethyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-
(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



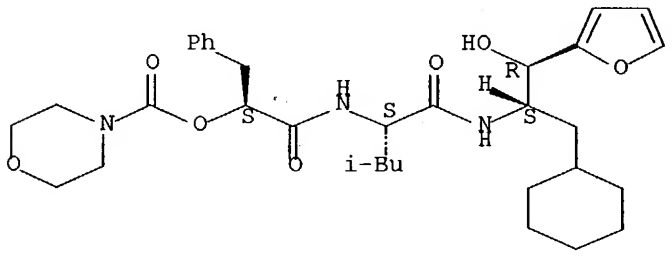
RN 138276-00-3 CAPLUS
CN 4-Thiomorpholinecarboxylic acid, 2-[[1-[[[1-(cyclohexylmethyl)-2-hydroxy-2-
(2-pyridinyl)ethyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-
(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 138276-01-4 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-[[[1-(cyclohexylmethyl)-2-(2-furanyl)-2-
hydroxyethyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-
(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*]]- (9CI) (CA INDEX NAME)

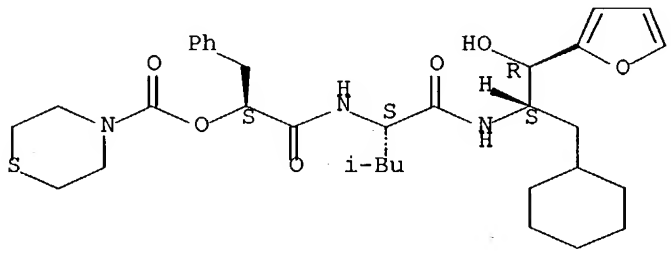
Absolute stereochemistry.



RN 138276-02-5 CAPLUS

CN 4-Thiomorpholinecarboxylic acid, 2-[[1-[[[1-(cyclohexylmethyl)-2-(2-furanyl)-2-hydroxyethyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*]]- (9CI) (CA INDEX NAME)

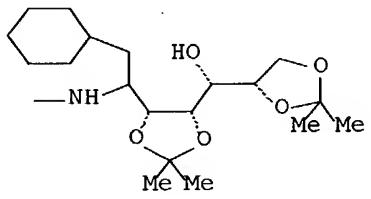
Absolute stereochemistry.



L4 ANSWER 31 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:559807 CAPLUS Full-text
DN 115:159807
TI Preparation of dipeptidylalkanepolyols as renin inhibitors
IN Kleemann, Heinz Werner; Urbach, Hansjoerg; Wagner, Adalbert; Ruppert, Dieter; Linz, Wolfgang; Kramer, Werner
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 410278	A1	19910130	EP 1990-113712	19900718
	EP 410278	B1	19940119		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DE 3924506	A1	19910131	DE 1989-3924506	19890725
	DE 3932817	A1	19910411	DE 1989-3932817	19890930
	AT 100463	E	19940215	AT 1990-113712	19900718
	ES 2062214	T3	19941216	ES 1990-113712	19900718
	HU 55033	A2	19910429	HU 1990-4582	19900723
	HU 205140	B	19920330		
	DD 296690	A5	19911212	DD 1990-342995	19900723
	CA 2021822	AA	19910126	CA 1990-2021822	19900724
	NO 9003291	A	19910128	NO 1990-3291	19900724
	AU 9059719	A1	19910131	AU 1990-59719	19900724
	AU 639246	B2	19930722		
	CN 1049164	A	19910213	CN 1990-104822	19900724
	JP 03066652	A2	19910322	JP 1990-194115	19900724
	ZA 9005804	A	19910424	ZA 1990-5804	19900724
	RU 2001907	C1	19931030	RU 1990-4830591	19900724
PRAI	DE 1989-3924506		19890725		
	DE 1989-3932817		19890930		
	EP 1990-113712		19900718		

OS MARPAT 115:159807
GI



Q

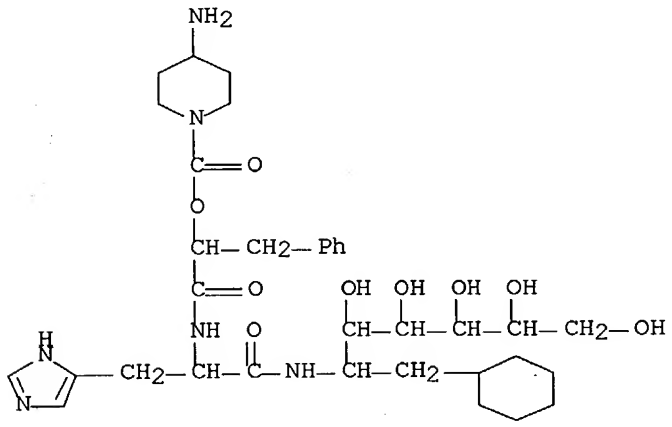
AB Title compds. A-NR2CHR3CONHCHR4[CH(OH)]nCH2OH [A = aminoalkanoyl, alkoxyalkanoyl, alkanoyl, etc.; R2 = H, alkyl; R3 = cycloalkyl, di- or tricycloalkyl, cycloalkylcarbonyl, etc.; R4 = alkyl, mono-, di-, or tricycloalkyl, etc.; n = 2-10], useful as renin inhibitors (no data), were prepared Iva-Phe-Nva-OH [Iva = isovaleryl, Nva = norvaline] in CH2Cl2 containing N-ethylpiperidine and pivaloyl chloride was treated with L-gulo-pentol (HQ) (preparation given) at room temperature for 20 h to give Iva-Phe-Nva-Q (I). I had an IC50 of 4.2 + 10-7 M against renin in human plasma.

IT **135901-96-1P 135901-97-2P**
RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)(preparation of, as renin inhibitor)

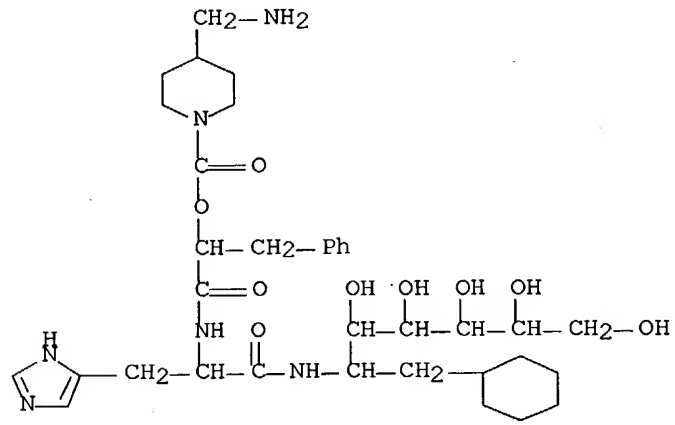
RN 135901-96-1 CAPLUS

CN D-manno-Heptitol, 2-[[2-[[2-[[(4-amino-1-piperidinyl)carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-cyclohexyl-1,2-dideoxy-, [2ξ[S(S)]]- (9CI) (CA INDEX NAME)



RN 135901-97-2 CAPLUS

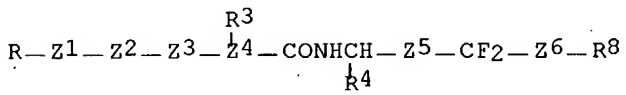
CN D-manno-Heptitol, 2-[[2-[[2-[[[4-(aminomethyl)-1-piperidinyl]carbonyl]oxy]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-1-cyclohexyl-1,2-dideoxy-, [2ξ[S(S)]]- (9CI) (CA INDEX NAME)



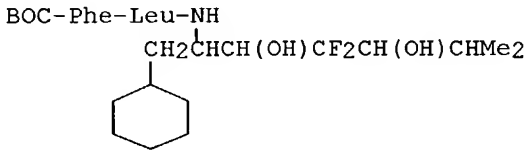
L4 ANSWER 32 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:536788 CAPLUS Full-text
DN 115:136788
TI Preparation of renin-inhibiting difluorodiol-containing peptides
IN Sham, Hing L.; Rosenberg, Saul H.
PA Abbott Laboratories, USA
SO Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 416393	A1	19910313	EP 1990-116225	19900824
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AU 9061934	A1	19910314	AU 1990-61934	19900828
	CA 2024698	AA	19910306	CA 1990-2024698	19900905
	JP 03148246	A2	19910625	JP 1990-235483	19900905
PRAI	US 1989-403437		19890905		
	US 1990-561537		19900806		

OS MARPAT 115:136788
GI



I



II

AB Renin-inhibiting difluorodiol-containing peptides I [R = H, C1-7 alkyl, aryl, heterocyclyl, etc.; Z2 = CO, CHOH, NR2; R2 = H, C1-7 alkyl; Z3 = CO, CH2, NR2; Z4 = CH, COH, C(halo); Z1 = CHR1, C(:CHR7); R1 = C1-7 alkyl, cycloalkylalkyl, aralkyl, etc.; R7 = aryl, heterocyclyl; R3 = C1-7 alkyl, C2-7 alkenyl, hydroxyalkyl, etc.; R4 = C1-7 alkyl, cycloalkylmethyl, CH2Ph; Z5, Z6 = CHOH, CO; R8 = C1-7 alkyl, aryl, aralkyl, etc.; with provisos], useful also as antihypertensives, for example, were prepared Thus, 1 equiv N-methylmorpholine and 1 equiv ClCO2CH(Me)Et were added to a solution of BOC-Phe-Leu-OH in THF at -20°. The mixture was stirred 10 min and a solution of 2(S)-amino-1-cyclohexyl-4,4-difluoro-3(R),5(R)- dihydroxy-6-methylheptane (preparation given) in THF was added. The resulting solution was stirred 0.5 h, filtered and concentrated to give title compound (2S,3R,5R)-II. II had an IC50 of 0.64 nM against human renal renin.

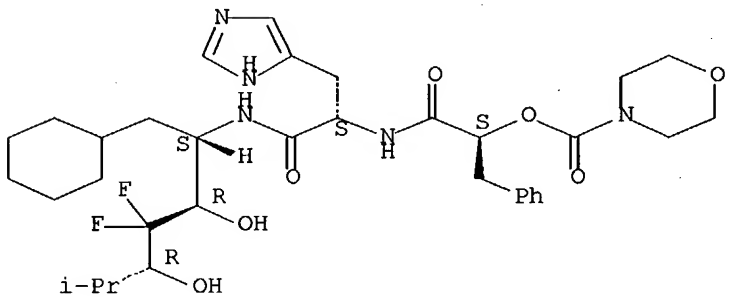
IT **135934-08-6P**
RL: BAC (Biological activity or effector, except adverse); BSU

(Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 135934-08-6 CAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-3,3-difluoro-2,4-
dihydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-
oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-
[1R*[R*(R*)],2S*,4S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 33 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:515099 CAPLUS Full-text
DN 115:115099
TI Preparation of acylamino acid amides as renin inhibitors and antivirals
IN Heitsch, Holger; Henning, Rainer; Linz, Wolfgang; Nickel, Wolf Ulrich; Ruppert, Dieter; Urbach, Hansjoerg
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

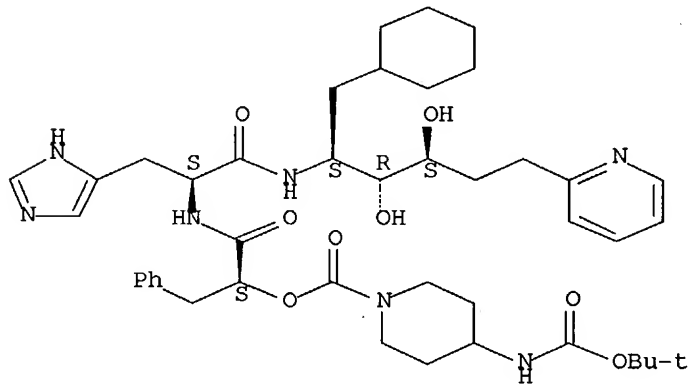
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 417698	A2	19910320	EP 1990-117400	19900910
	EP 417698	A3	19920108		
	EP 417698	B1	19960313		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DE 4028741	A1	19910328	DE 1990-4028741	19900910
	DD 295377	A5	19911031	DD 1990-343925	19900910
	US 5374731	A	19941220	US 1990-579695	19900910
	AT 135368	E	19960315	AT 1990-117400	19900910
	ES 2086341	T3	19960701	ES 1990-117400	19900910
	CA 2025093	AA	19910313	CA 1990-2025093	19900911
	NO 9003952	A	19910313	NO 1990-3952	19900911
	NO 177143	B	19950418		
	NO 177143	C	19950726		
	AU 9062340	A1	19910321	AU 1990-62340	19900911
	AU 639259	B2	19930722		
	JP 03106877	A2	19910507	JP 1990-239140	19900911
	HU 55380	A2	19910528	HU 1990-5859	19900911
	HU 206704	B	19921228		
	ZA 9007205	A	19910626	ZA 1990-7205	19900911
PRAI	DE 1989-3930397		19890912		
	DE 1989-3933096		19891004		

OS MARPAT 115:115099
AB R1-X-Y-CHR2CO-B-NHCHR3CH(OH)CHR4R5 [I; R1 = (substituted) amino(alkyl)heterocyclyl, e.g., 4-amino-1-piperidinyl, heterocyclylamino, e.g., 4-piperidinylamino; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteraralkyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl aralkyl; R4 = H, alkyl, aryl, aralkyl, OH, NH2; R5 = heterocyclyl (substituted) alkyl; X = CO, CS, SO2; Y = bond, (substituted) alkylene, O, S; B = amino acid residue, e.g., His, Phe] were prepared BOC-His(DNP)-NHCH(CH2Q)CH(OH)CH(OH)CH2CH2-Q1 [DNP = 2,4-dinitrophenyl; Q = cyclohexyl, Q1 = 2-pyridyl] (preparation given) was deprotected with CF3CO2H-CH2Cl2 and the product condensed with Q2-COCH2CH(Bzl)CO2H [Bzl = benzyl, Q2 = 4-tert-butoxycarbonylamino-1-piperidinyl] (preparation given) in DMF containing DCC, 1-hydroxy-1H-benzotriazole, N-ethylmorpholine to give, after deprotection with thiophenol in MeCN, I [R1 = 4-amino-1-piperidinyl, R2 = Bzl, X = CO, Y = bond, B = His, R3 = cyclohexylmethyl, R4 = OH, R5 = 2-(2-pyridinyl)ethyl]. In an in vitro test using human plasma I showed ED50 values of 10-5 to 10-10 mol/L against formation of angiotensin from angiotensinogen and renin.
IT **135631-96-8P 135631-98-0P 135632-04-1P**
135632-09-6P 135632-11-0P 135632-26-7P
135632-28-9P 135650-70-3P 135650-81-6P

135672-19-4P 135683-93-1P 135683-95-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypertensive and antiviral)

RN 135631-96-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

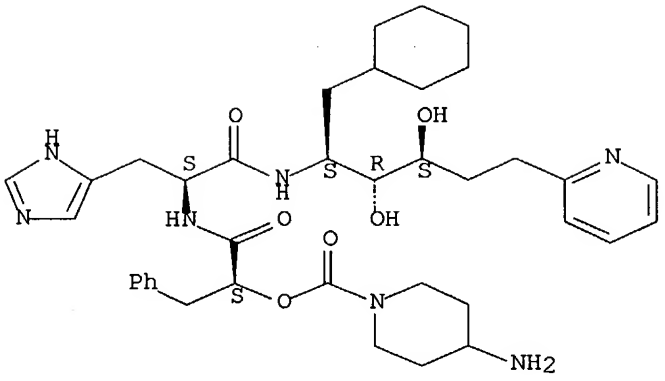


RN 135631-98-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

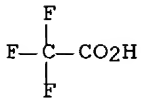
CRN 135631-97-9
CMF C38 H53 N7 O6

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

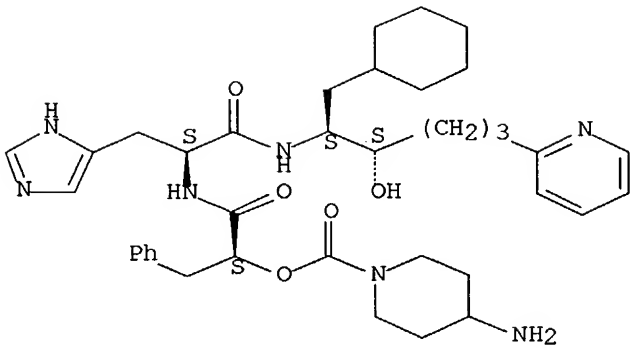


RN 135632-04-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-(2-pyridinyl)pentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

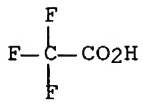
CRN 135632-03-0
CMF C38 H53 N7 O5

Absolute stereochemistry.



CM 2

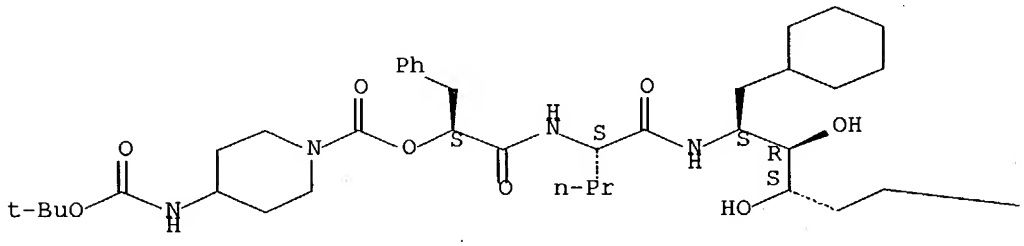
CRN 76-05-1
CMF C2 H F3 O2

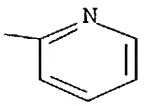


RN 135632-09-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[1-[[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]amino]carbonyl]butyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



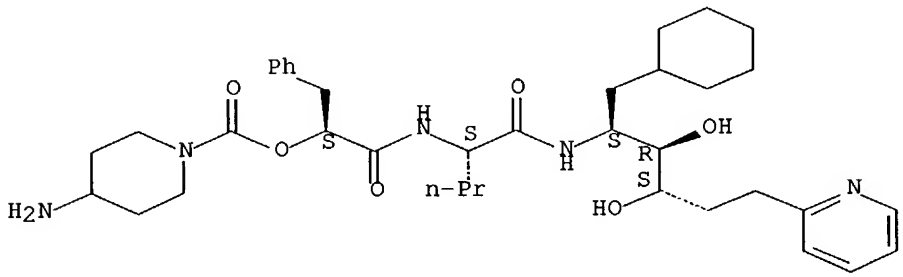


RN 135632-11-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[1-[[[1-(cyclohexylmethyl)-2,3-
dihydroxy-5-(2-pyridinyl)pentyl]amino]carbonyl]butyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1R-[1R*[R*(R*)],2S*,3R*]]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

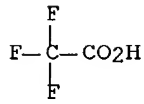
CRN 135632-10-9
CMF C37 H55 N5 O6

Absolute stereochemistry.

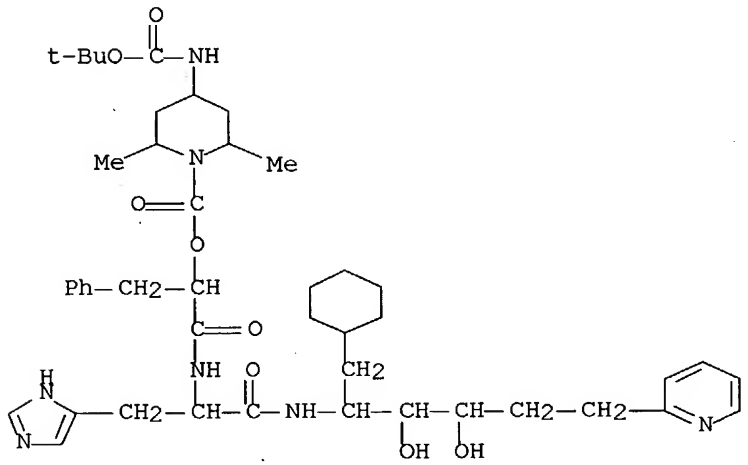


CM 2

CRN 76-05-1
CMF C2 H F3 O2



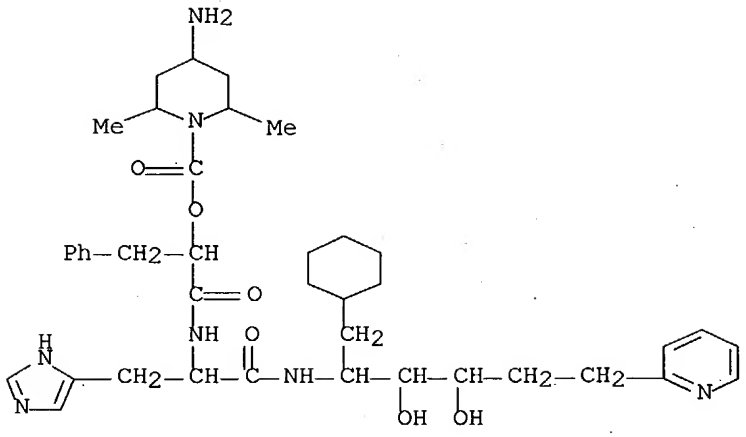
RN 135632-26-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-2,6-
dimethyl-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-
pyridinyl)pentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-
1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)



RN 135632-28-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-2,6-dimethyl-, 2-[[2-[[1-
(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]amino]-1-(1H-
imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
trifluoroacetate (salt) (9CI) (CA INDEX NAME)

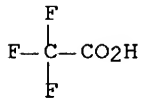
CM 1

CRN 135632-27-8
CMF C40 H57 N7 O6



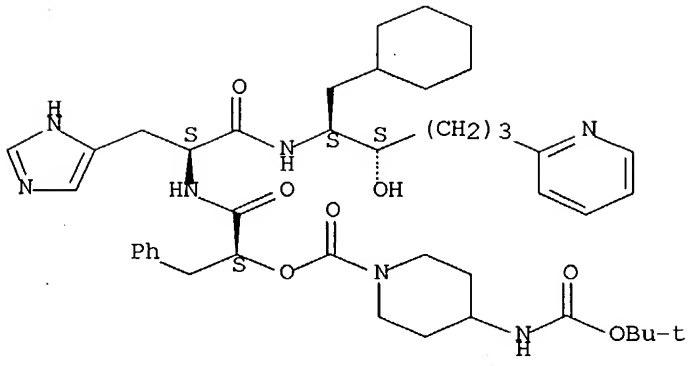
CM 2

CRN 76-05-1
CMF C2 H F3 O2



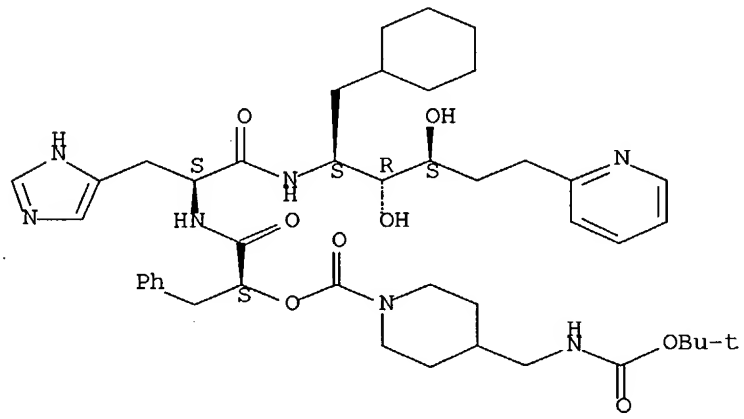
RN 135650-70-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-(2-pyridinyl)pentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 135650-81-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(1,1-dimethylethoxy)carbonyl]amino]methy
l]-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-
1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

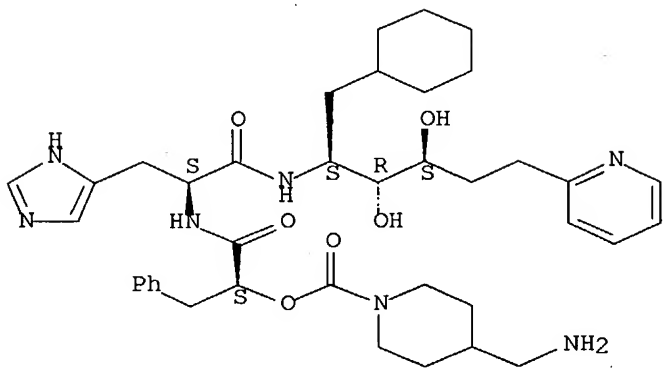


RN 135672-19-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[R*(R*)],2S*,3R*]]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

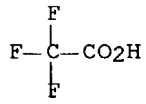
CRN 135672-18-3
CMF C39 H55 N7 O6

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

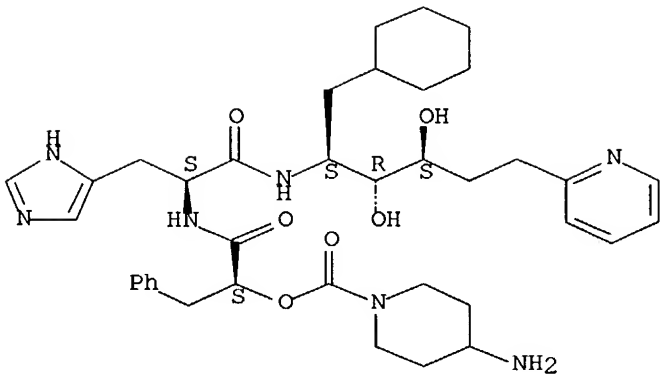


RN 135683-93-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

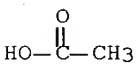
CRN 135631-97-9
CMF C38 H53 N7 O6

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

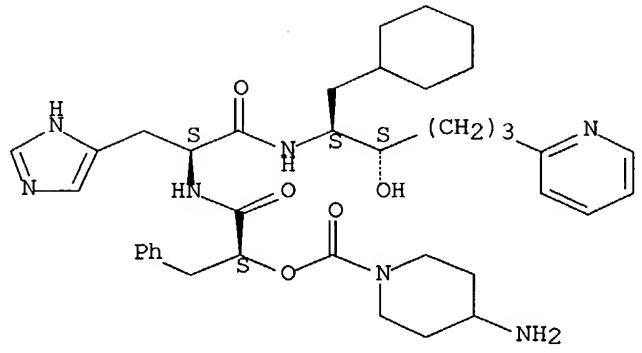


RN 135683-95-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-amino-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-(2-pyridinyl)pentyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

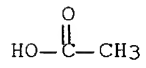
CRN 135632-03-0
CMF C38 H53 N7 O5

Absolute stereochemistry.



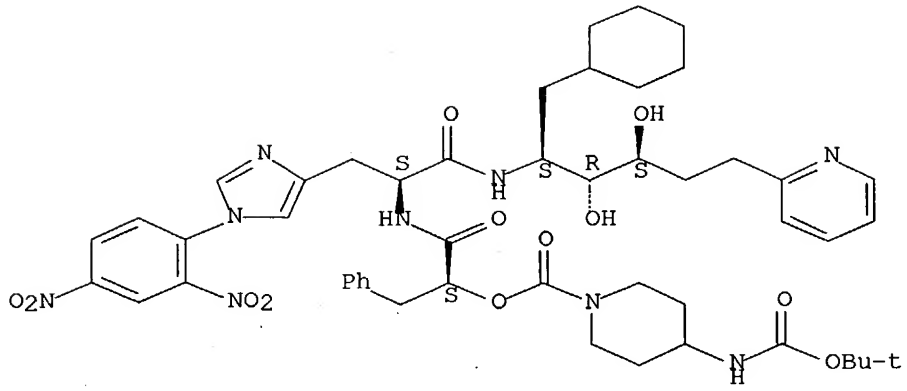
CM 2

CRN 64-19-7
CMF C2 H4 O2



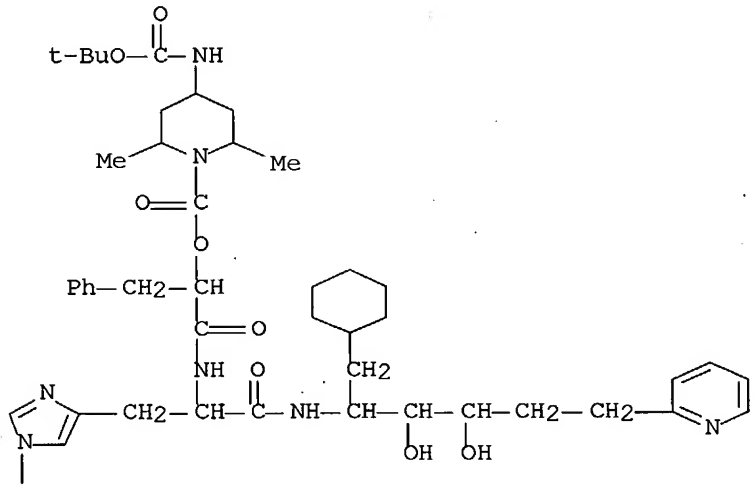
IT **135632-32-5P 135632-66-5P 135650-87-2P**
135672-20-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antihypertensives and antivirals)
RN 135632-32-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]amino]-1-[[1-(2,4-dinitrophenyl)-1H-imidazol-4-yl]methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

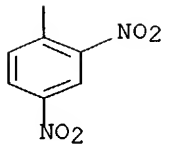
Absolute stereochemistry.



RN 135632-66-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-2,6-
dimethyl-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-
pyridinyl)pentyl]amino]-1-[[1-(2,4-dinitrophenyl)-1H-imidazol-4-yl]methyl]-
2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

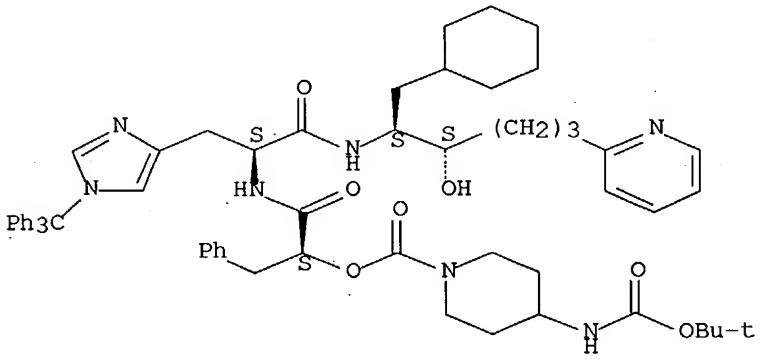
PAGE 1-A





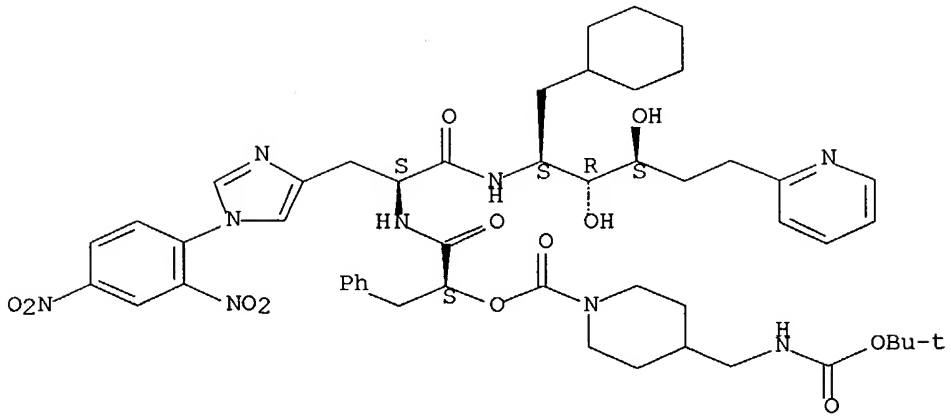
RN 135650-87-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-(2-pyridinyl)pentyl]amino]-2-oxo-1-[[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]ethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 135672-20-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]amino]-1-[[1-(2,4-dinitrophenyl)-1H-imidazol-4-yl]methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

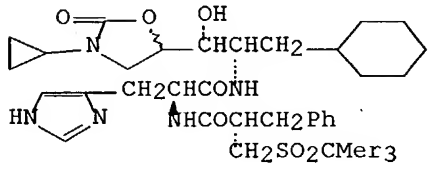
Absolute stereochemistry.


O
OH
N
S
R
S
N
N
N
H
HN
O
OH
O2N
NO2
Ph
S
O
O
N
H
N
OBu-t
O

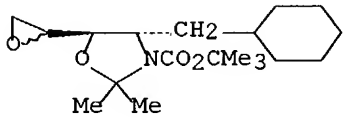
L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:472226 CAPLUS Full-text
DN 115:72226
TI Amino acid derivatives
IN Branca, Quirico; Neidhart, Werner; Ramuz, Henri; Stadler, Heinz; Wostl, Wolfgang
PA Hoffmann-La Roche, F., und Co. A.-G., Switz.
SO Eur. Pat. Appl., 71 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 416373	A2	19910313	EP 1990-116088	19900822
	EP 416373	A3	19920527		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2023099	AA	19910305	CA 1990-2023099	19900810
	AU 9061360	A1	19910307	AU 1990-61360	19900827
	AU 646640	B2	19940303		
	ZA 9006856	A	19910626	ZA 1990-6856	19900828
	HU 58060	A2	19920128	HU 1990-5676	19900829
	JP 03099047	A2	19910424	JP 1990-228473	19900831
	NO 9003832	A	19910305	NO 1990-3832	19900903
	US 5688946	A	19971118	US 1994-277111	19940719
PRAI	CH 1989-3192		19890904		
	CH 1990-2336		19900712		
	US 1990-571689		19900823		

OS MARPAT 115:72226
GI



I



II

AB Amino acid derivs. RCONR1CH(CH2R2)CONHCHR3CHR4CR5R6R7 (R-R7 = substituents) were prepared for use as antihypertensives and renin inhibitors. Thus, amide I was prepared from epoxide II, H-His-OMe.2HCl, and (S)-PhCH2CH(CO2H)CH2SO2CMe3 in 5 steps. I had a renin-inhibiting ED50 of 0.0009 μM/L.

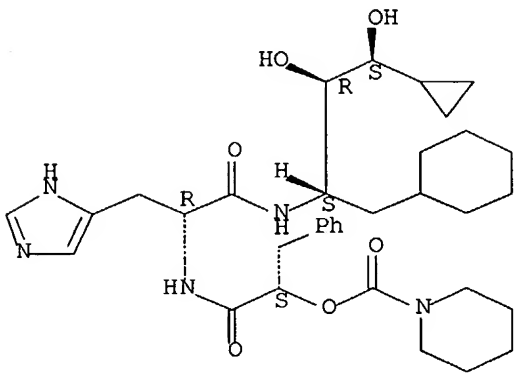
IT **134362-84-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and renin inhibiting activity of)

RN 134362-84-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA

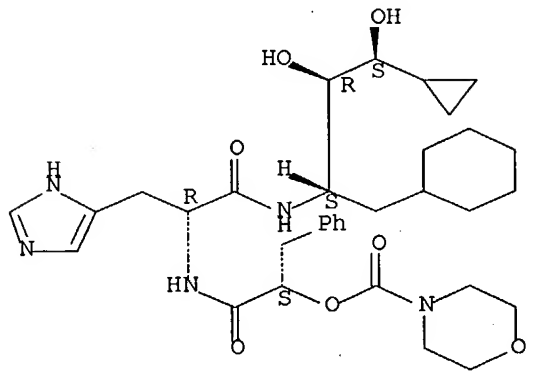
INDEX NAME)

Absolute stereochemistry.



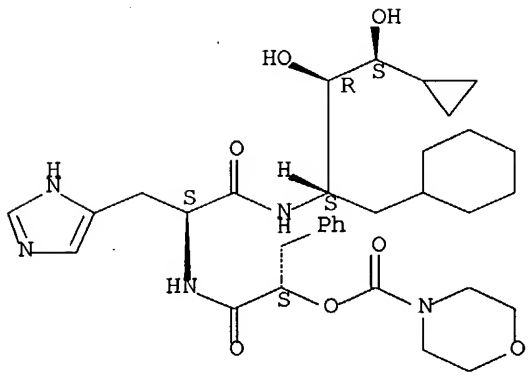
IT **134362-82-6P 134453-80-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 134362-82-6 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 134453-80-8 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

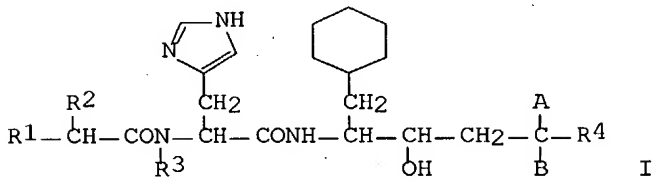
Absolute stereochemistry.



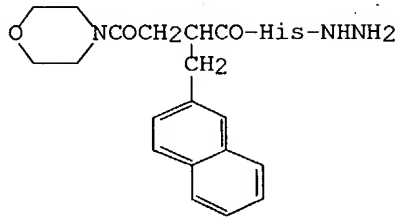
L4 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:247790 CAPLUS Full-text
DN 114:247790
TI Preparation of peptide analogs as renin inhibitors
IN Uchida, Itsuo; Shibata, Saizo; Yamada, Yasuki; Ikemoto, Yukinari; Iwata, Kunio; Ikegami, Kiyoteru; Nakamura, Ikuro
PA Japan Tobacco, Inc., Japan; Yoshitomi Pharmaceutical Industries, Ltd.
SO Eur. Pat. Appl., 92 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 396065	A1	19901107	EP 1990-108163	19900428
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
	CA 2015827	AA	19901102	CA 1990-2015827	19900501
	JP 03204860	A2	19910906	JP 1990-111713	19900501
PRAI	JP 1989-112245		19890502		
	JP 1989-278490		19891027		

OS MARPAT 114:247790
GI



I



II

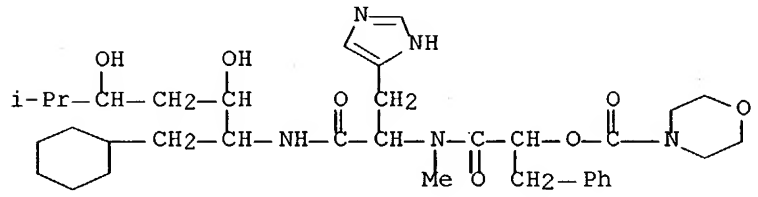
AB The title compds. [I; R1 = NH2, alkoxycarboxamido, morpholinocarbonylmethyl (Q), etc.; R2 = (substituted) aralkyl; R3 = H, alkyl; R4 = alkyl; A = OH and B = H, or AB = CO], were prepared 4 M HCl-dioxane and isopentyl nitrite were added sequentially to a solution of histidine hydrazide derivative II in DMF, the mixture was stirred 30 min at -20°, cooled to -30°, and neutralized with Et3N; 1-cyclohexyl-2-amino-3,5-dihydroxy-6-methylheptane in DMF was added, and the resulting mixture was stirred at 0°for 48 h to give I [A = OH, R1 = Q, R2 = 2-naphthylmethyl, R3 = B = H, R4 = Me2CH]. The latter showed IC50 = 5.3 + 10-10 M against human renin.

IT **134018-11-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 134018-11-4 CAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2,4-dihydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

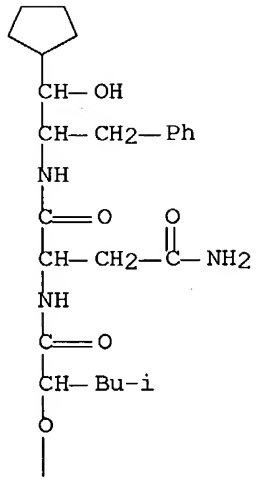


L4 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:247788 CAPLUS Full-text
DN 114:247788
TI Peptide derivatives preparation as retroviral protease inhibitors
IN Kempf, Dale J.; Plattner, Jacob J.; Norbeck, Daniel W.; Boyd, Steven A.; Baker, William R.; Erickson, John W.; Fung, Anthony K. L.; Crowley, Steven R.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

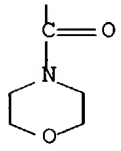
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8910752	A1	19891116	WO 1989-US2055	19890512
	W: AU, DK, JP, KR, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	EP 342541	A2	19891123	EP 1989-108590	19890512
	EP 342541	A3	19911106		
	R: ES, GR				
	AU 8935660	A1	19891129	AU 1989-35660	19890512
	EP 415981	A1	19910313	EP 1989-905856	19890512
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 03504247	T2	19910919	JP 1989-506033	19890512
PRAI	US 1988-194678		19880513		
	WO 1989-US2055		19890512		

OS MARPAT 114:247788
AB Peptide derivs. are prepared as retroviral protease inhibitors. Synthetic processess involved carbodiimide coupling, or coupling in combination with deprotection, and reaction with mixed anhydrides. Thus, N-methyl-1-cyclohexenecarboxamide was treated with BuLi in THF, treated with ClTi(OPr-iso)3, and then Boc-phenylalaninal to give N-methyl-6-[2-(tert-butoxycarbonyl)amino-1-hydroxy-3-phenyl]propyl-1-cyclohexenecarboxamide. This was then deprotected with HCl in dioxane to give N-methyl-6-(2-amino-1-hydroxy-3-phenylpropyl)-1-cyclohexenecarboxamide-HCl (I). I was coupled with Boc-Leu-Asn in the presence of 180-BuO2CCl to give the amide.
IT **129776-69-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 129776-69-8 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[3-amino-1-[[[2-cyclopentyl-2-hydroxy-1-(phenylmethyl)ethyl]amino]carbonyl]-3-oxopropyl]amino]-1-(2-methylpropyl)-
2-oxoethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

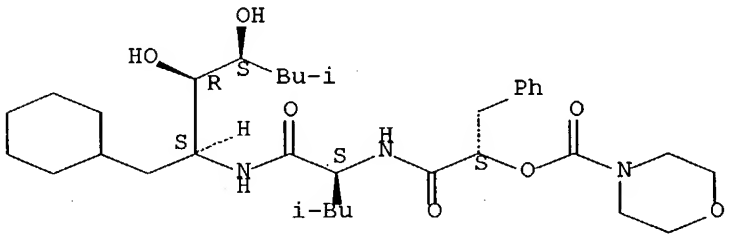


PAGE 2-A



L4 ANSWER 37 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:199052 CAPLUS Full-text
DN 114:199052
TI Orally active renin inhibitors containing a novel aminoglycol dipeptide (Leu-Val) mimetic
AU Hanson, Gunnar J.; Baran, John S.; Clare, Michael; Williams, Kenneth; Babler, Maribeth; Bittner, Stephen E.; Russell, Mark A.; Papaioannou, S. E.; Yang, Po Chang; Walsh, Gerald M.
CS G.D. Searle and Co., Skokie, IL, 60077, USA
SO Pept.: Chem., Struct. Biol., Proc. Am. Pept. Symp., 11th (1990), Meeting
Date 1989, 396-8. Editor(s): Rivier, Jean E.; Marshall, Garland R. Publisher: ESCOM Sci. Pub., Leiden, Neth.
CODEN: 56XTA7
DT Conference
LA English
AB A discussion on structure activity relationship and modeling of SC-46944 complexation to endothiapepsin.
IT **120729-15-9**, SC 46944
RL: BIOL (Biological study)
(endothiapepsin binding of, renin inhibition and structure in relation
to)
RN 120729-15-9 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-[[[(1S,2R,3S)-1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

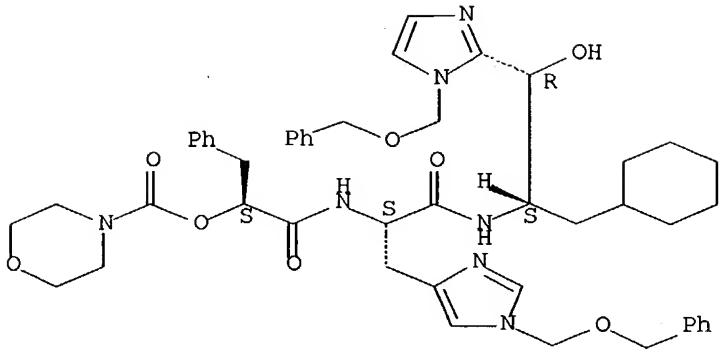


L4 ANSWER 38 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:459840 CAPLUS Full-text
DN 113:59840
TI Preparation of peptides as inhibitors of renin and viral protease
IN Weller, Harold N., III; Ryono, Denis E.
PA E. R. Squibb and Sons, Inc., USA
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 341481	A1	19891115	EP 1989-107378	19890424
	R: DE, FR, GB, IT				
	US 5151513	A	19920929	US 1988-187782	19880429
	JP 02011575	A2	19900116	JP 1989-111916	19890428
PRAI	US 1988-187782		19880429		

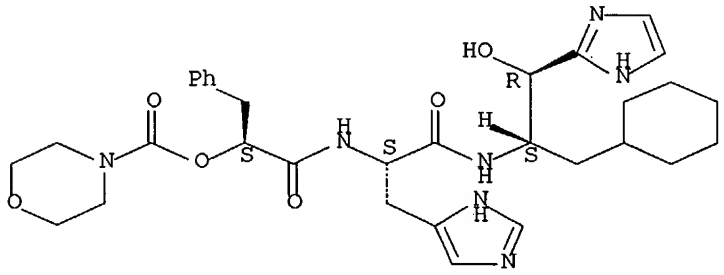
OS MARPAT 113:59840
AB XOCHR5CONHCHR4CONHCHR3CHR1OH [I; X = R6(CH2)mANR10CO, R6(CH2)mACO, etc.; R1 = (benzo-fused) 5- or 6-membered N-heterocyclyl; R3, R4, R5 = H, (halo)alkyl, aryl(alkyl), amino(alkyl), heterocyclyl(alkyl), hydroxy(alkyl), etc.; R6 = H, alkyl, aryl, pyridyl, cycloalkyl; R10 = R6, arylalkyl, pyridylalkyl, cycloalkylalkyl; m = 0-5; A = bond, CH(CH2)mR6], useful as renin inhibitors (no data), were prepared Thus, [S-2-(4-morpholinylcarbonyloxy)-1-oxo-3-phenylpropyl]-N-[1S,2R-1-cyclohexylmethyl-2-hydroxy-2-(1H-imidazol-2-yl)ethyl]-L-histidinamide was prepared in 18 steps starting from L-phenylalanine. I are said to also be inhibitors of viral proteases and may be useful against retroviruses including HTLV-I and HTLV-III.
IT **128188-29-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for renin inhibitor and viral protease
inhibitor)
RN 128188-29-4 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-2-[1-[(phenylmethoxy)methyl]-1H-imidazol-2-yl]ethyl]amino]-2-oxo-1-[[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]methyl]ethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **128188-25-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as renin inhibitor and viral protease inhibitor)
RN 128188-25-0 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-2-(1H-
imidazol-2-yl)ethyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-
oxo-1-(phenylmethyl)ethyl ester, dihydrochloride, [1S-[1R*[R*(R*)],2S*]]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

L4 ANSWER 39 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:669 CAPLUS Full-text
DN 112:669
TI Amino acid derivatives, processes for their preparation, and pharmaceutical compositions comprising them for treatment of hypertension and heart failure
IN Hemmi, Keiji; Neya, Masahiro; Marusawa, Hiroshi; Imai, Keisuke; Kayakiri, Natsuko; Hashimoto, Masashi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 60 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 300189	A2	19890125	EP 1988-109430	19880614
	EP 300189	A3	19900822		
	EP 300189	B1	19941102		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA 8804087	A	19890222	ZA 1988-4087	19880608
	US 4921855	A	19900501	US 1988-204549	19880609
	ES 2067456	T3	19950401	ES 1988-109430	19880614
	FI 8802875	A	19881223	FI 1988-2875	19880616
	FI 96202	B	19960215		
	FI 96202	C	19960527		
	IL 86782	A1	19930404	IL 1988-86782	19880616
	AU 8818190	A1	19881222	AU 1988-18190	19880621
	AU 617674	B2	19911205		
	DK 8803400	A	19881223	DK 1988-3400	19880621
	NO 8802732	A	19881223	NO 1988-2732	19880621
	NO 175371	B	19940627		
	NO 175371	C	19941005		
	CN 1030411	A	19890118	CN 1988-103878	19880621
	CN 1026892	B	19941207		
	JP 01019071	A2	19890123	JP 1988-153041	19880621
	JP 06025147	B4	19940406		
	HU 47917	A2	19890428	HU 1988-3164	19880621
	HU 202212	B	19910228		
	SU 1801107	A3	19930307	SU 1988-4356019	19880621
	US 5142048	A	19920825	US 1990-462117	19900108
	RU 2070195	C1	19961210	RU 1991-5010142	19911122
	US 5223489	A	19930629	US 1992-828193	19920130
PRAI	GB 1987-14597		19870622		
	GB 1987-25511		19871030		
	GB 1988-5389		19880307		
	US 1988-204549		19880609		
	US 1990-462117		19900108		

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

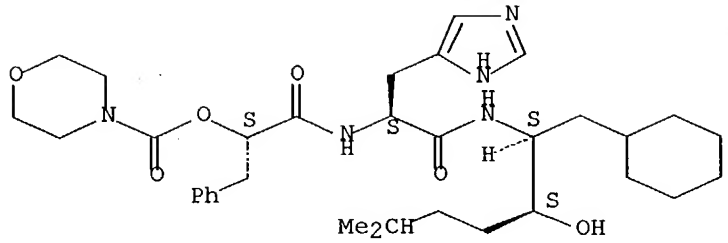
AB A process for preparing I [R1 = lower alkyl optionally substituted with acyl, hydroxy, lower alkoxy, aryl, lower alkylthio, NR5R6; R5 = H, acyl; R6 = H, lower alkyl, aryl, (lower alkyl- or acyl-substituted) amino; R2, R3 = H, lower alkyl; R4 = lower alkyl; R1NR2 = heterocycle optionally substituted with lower alkyl, hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, acyl(lower)alkyl, oxo, acyl] or its pharmaceutically acceptable salt comprises (a) reacting II (R3, R4 as above; R8 = H, N-protective group) or its reactive derivative at the amino group or a salt thereof with III (R1, R2 as above) or its reactive derivative at the COO group or a salt thereof, and, if necessary, eliminating the N-protective group or (b) subjecting IV (R2, R3, R4, R6 as above; R7 = N-protective group; A = lower alkylene) or its salt to elimination reaction of R7 to give V (R2, R3, R4, R6, A as above) or its salt. I are useful as antihypertensives or for the treatment of heart failure. A solution of 2(S)-[N-(2-morpholinocarbonylethyl)-N-methylaminocarbonyloxy]-3-phenylpropionic acid (preparation described) 449 and 2(S)-(Nα-methyl-Nim-tosyl-L-histidyl)amino-1-cyclohexyl-3(S)-hydroxy- 6-methylheptane (preparation described) 300 mg in CH2Cl2 (30 mL) was mixed with N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide-HCl 140 mg at 5° overnight. The residue was dissolved in EtOAC, washed with HCl/NaHCO3, dried, redissolved in DMF, and reacted with pyridine-HCl 650 mg for 2 h at room temperature Workup and purification by TLC yielded 2(S)-[Nα-[2(S)-[N-(2- morpholinocarbonylethyl)-N-methylaminocarbonyloxy]-3-phenylpropionyl]- Nα-methyl-L-histidyl]amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane (VI) 221 mg (m.p. 80-87°) as an amorphous powder. VI, dissolved in HCl and orally administered to Na-depleted male or female cynomolgus monkeys (32 mg/kg), reduced mean arterial blood pressure and plasma renin activity by 18 and 92%, resp.

IT **124072-32-8P 124072-33-9P 124072-38-4P**
124072-39-5P 124072-40-8P 124072-41-9P
124075-51-0P 124075-55-4P 124075-56-5P
124075-65-6P 124075-74-7P 124075-80-5P
124075-93-0P 124075-94-1P 124075-95-2P
124075-96-3P 124075-97-4P 124075-98-5P
124075-99-6P 124076-00-2P 124076-01-3P
124076-02-4P 124076-03-5P 124076-04-6P
124076-05-7P 124076-06-8P 124076-07-9P
124076-08-0P 124076-09-1P 124076-10-4P
124076-11-5P 124076-12-6P 124076-13-7P
124076-14-8P 124076-15-9P 124076-16-0P
124076-17-1P 124076-18-2P 124076-19-3P
124076-20-6P 124076-21-7P 124076-22-8P
124122-54-9P 124151-27-5P 124151-28-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

RN 124072-32-8 CAPLUS

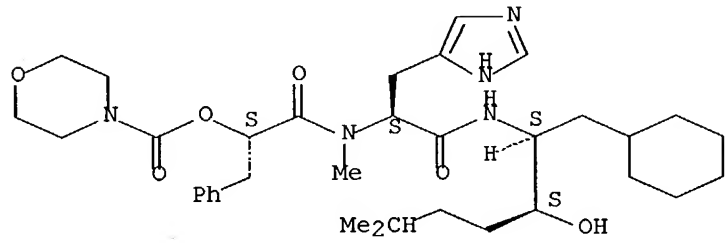
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



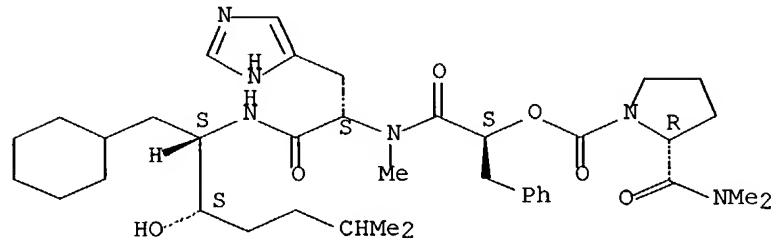
RN 124072-33-9 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



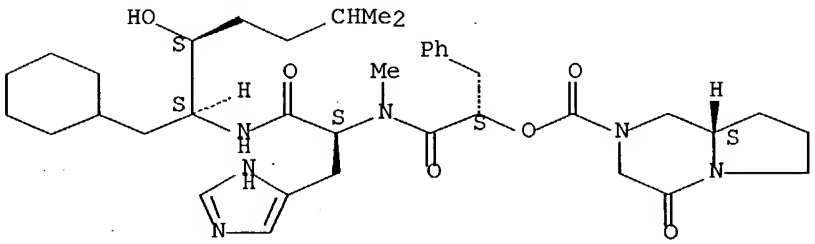
RN 124072-38-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(dimethylamino)carbonyl]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [2R-[1[S*[S*(1S*,2S*)]],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



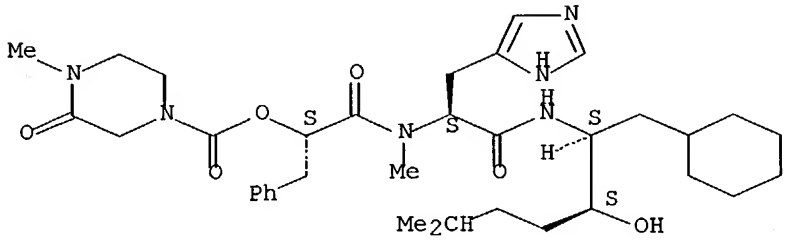
RN 124072-39-5 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-2(1H)-carboxylic acid, hexahydro-4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [8aS-[2[R*[R*(1R*,2R*)]],8aR*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



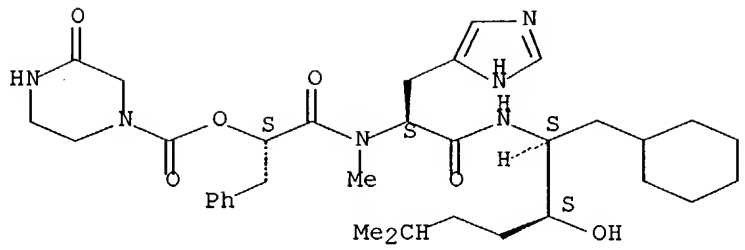
RN 124072-40-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-3-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



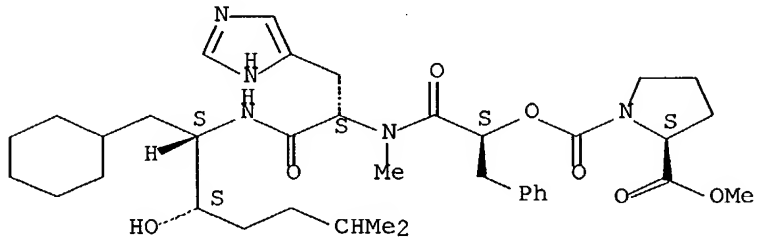
RN 124072-41-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



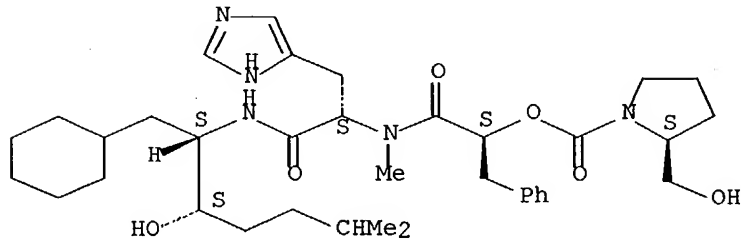
RN 124075-51-0 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 1-[[[2-[[1-(cyclohexylmethyl)-2-hydroxy-
5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-
oxo-1-(phenylmethyl)ethyl] 2-methyl ester, [2S-[1[R*[R*(1R*,2R*)]],2R*]]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



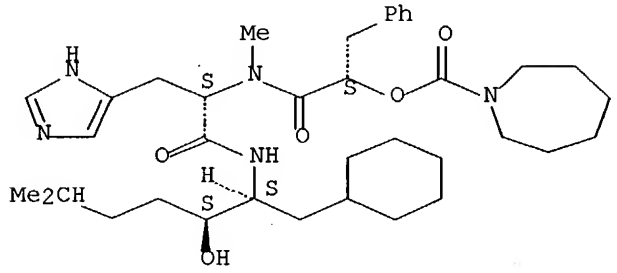
RN 124075-55-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-(hydroxymethyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [2S-[1[R*[R*(1R*,2R*)]],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



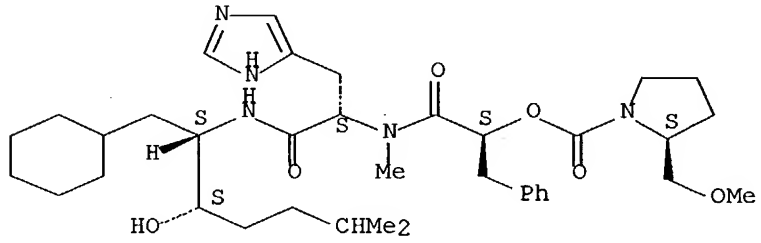
RN 124075-56-5 CAPLUS
CN 1H-Azepine-1-carboxylic acid, hexahydro-, 2-[[2-[[1-(cyclohexylmethyl)-2-
hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



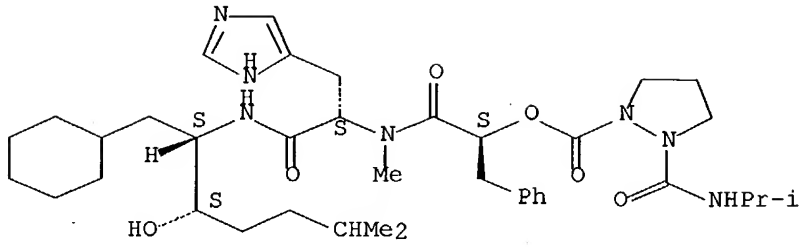
RN 124075-65-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-(methoxymethyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [2S-[1[R*[R*(1R*,2R*)]],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



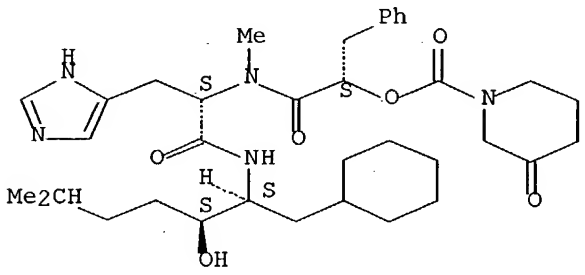
RN 124075-74-7 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-[[(1-methylethyl)amino]carbonyl]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



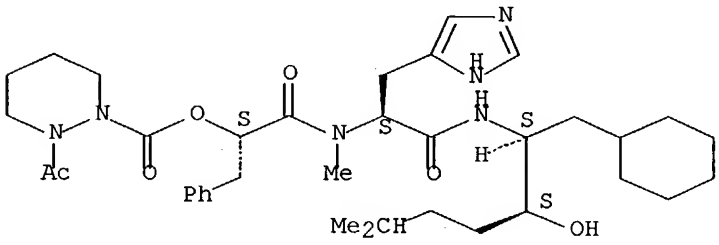
RN 124075-80-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 124075-93-0 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-acetyltetrahydro-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

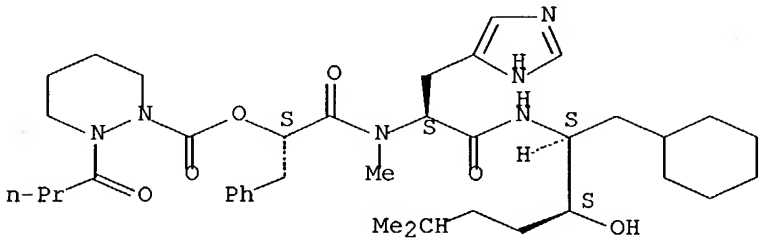
Absolute stereochemistry.



RN 124075-94-1 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, tetrahydro-2-(1-oxobutyl)-,

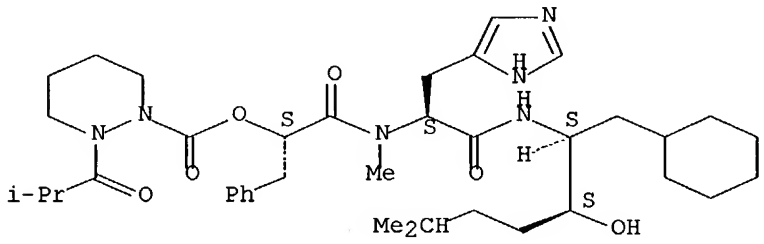
2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-
imidazol-
4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



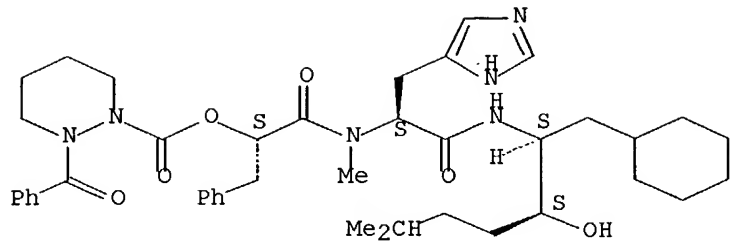
RN 124075-95-2 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, tetrahydro-2-(2-methyl-1-oxopropyl)-,
2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-
imidazol-
4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



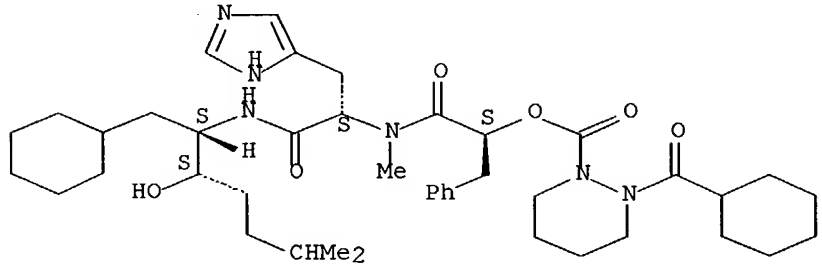
RN 124075-96-3 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-benzoyltetrahydro-,
2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-
imidazol-
4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



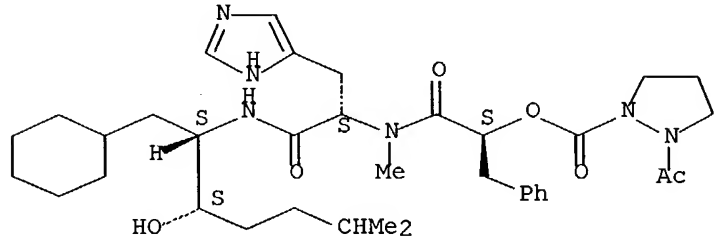
RN 124075-97-4 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(cyclohexylcarbonyl)tetrahydro-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



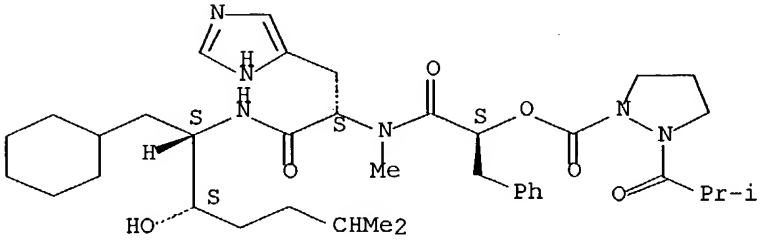
RN 124075-98-5 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-acetyl-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



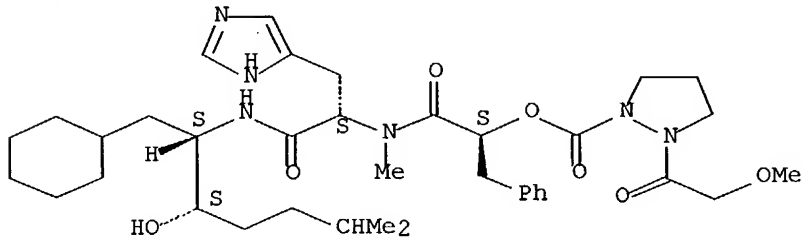
RN 124075-99-6 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(2-methyl-1-oxopropyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



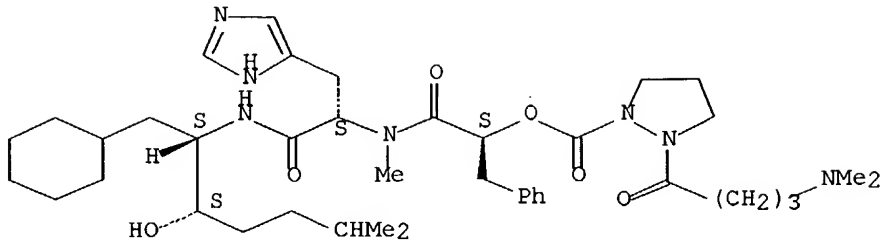
RN 124076-00-2 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(methoxyacetyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



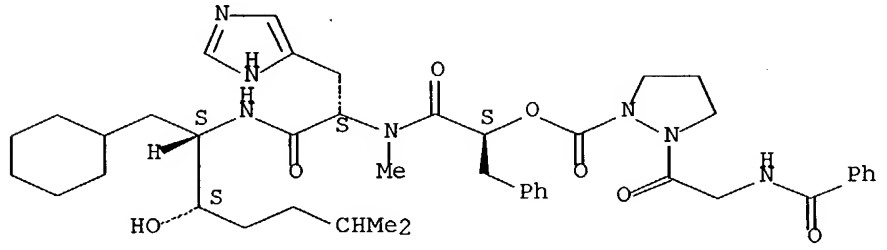
RN 124076-01-3 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-[4-(dimethylamino)-1-oxobutyl]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



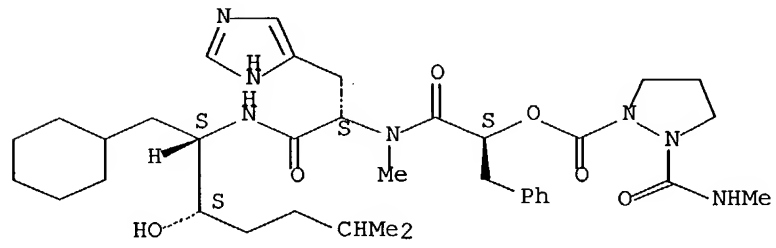
RN 124076-02-4 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-[(benzoylamino)acetyl]-,
2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-
4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 124076-03-5 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-[(methylamino)carbonyl]-,
2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-
4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

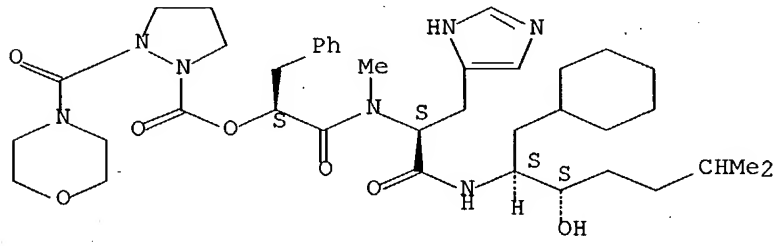
Absolute stereochemistry.



RN 124076-04-6 CAPLUS

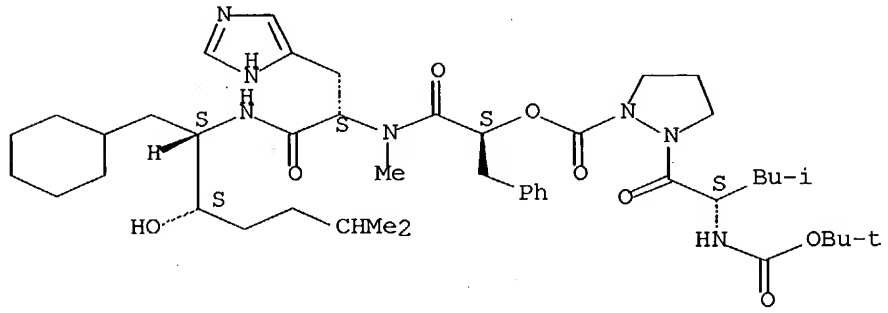
CN 1-Pyrazolidinecarboxylic acid, 2-(4-morpholinylcarbonyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



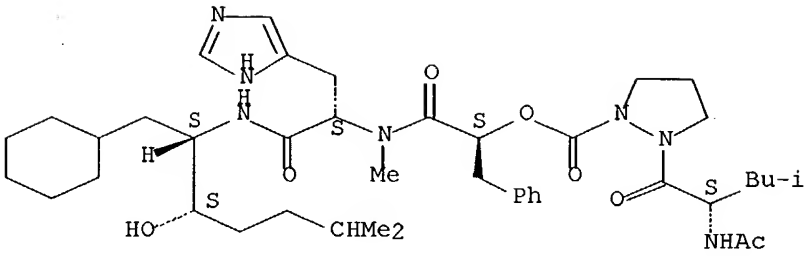
RN 124076-05-7 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-methyl-1-oxopentyl]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



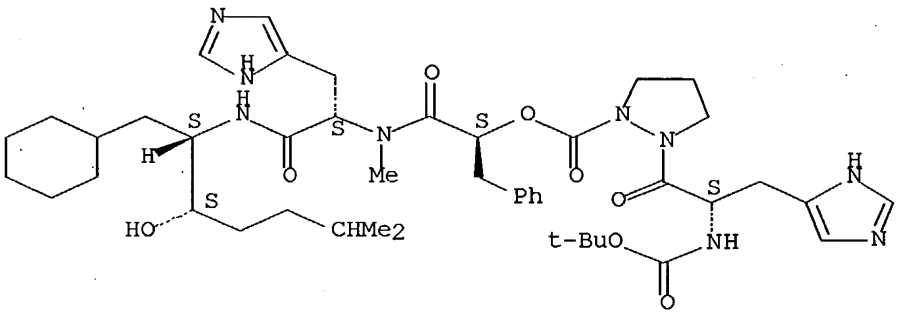
RN 124076-06-8 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-[2-(acetylamino)-4-methyl-1-oxopentyl]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

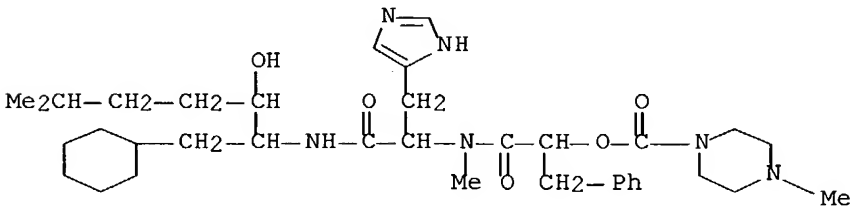


RN 124076-07-9 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)

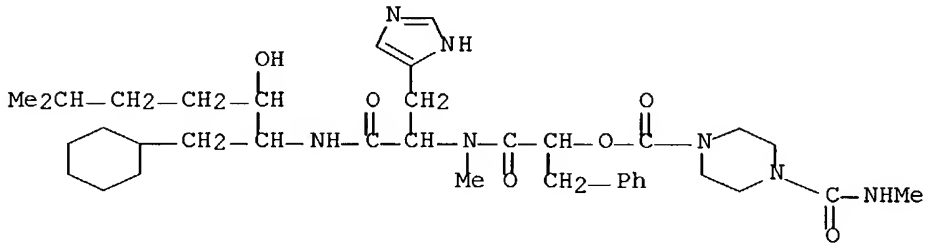
Absolute stereochemistry.



RN 124076-08-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)

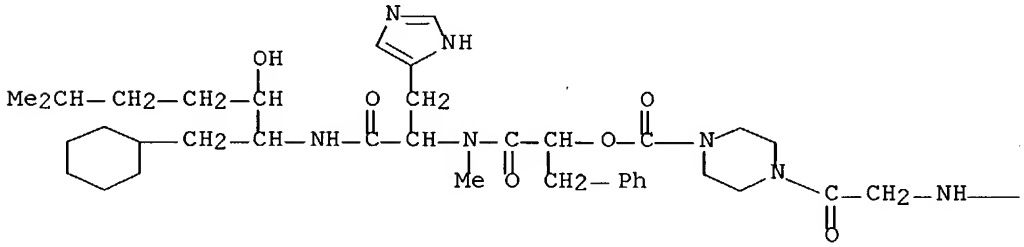


RN 124076-09-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(methylamino)carbonyl]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)

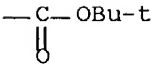


RN 124076-10-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[(1,1-dimethylethoxy)carbonyl]amino]acetyl]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)

PAGE 1-A

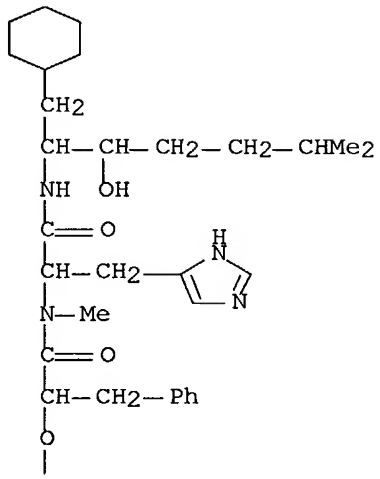


PAGE 1-B

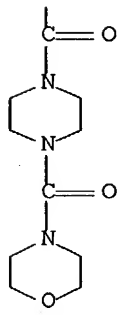


RN 124076-11-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(4-morpholinylcarbonyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)

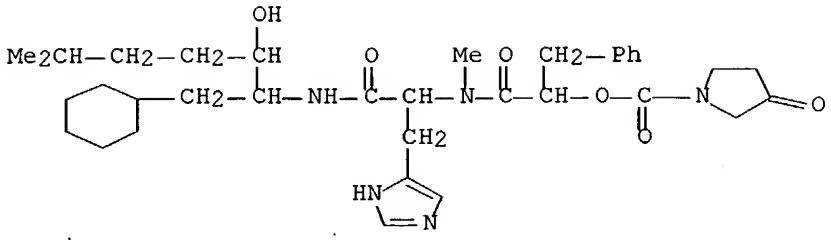
PAGE 1-A



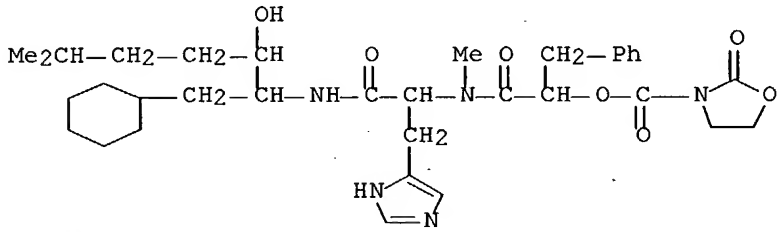
PAGE 2-A



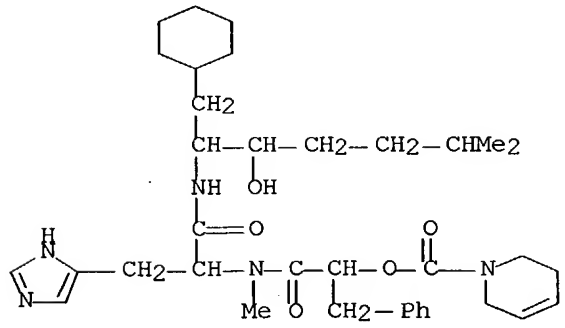
RN 124076-12-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)



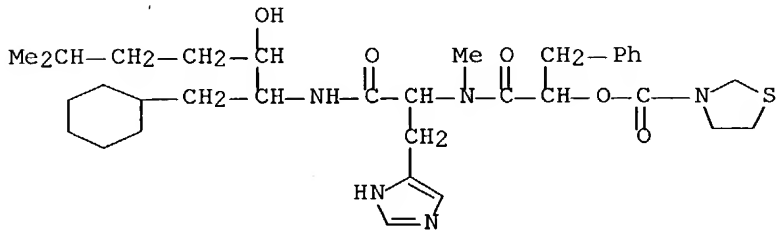
RN 124076-13-7 CAPLUS
CN 3-Oxazolidinecarboxylic acid, 2-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)



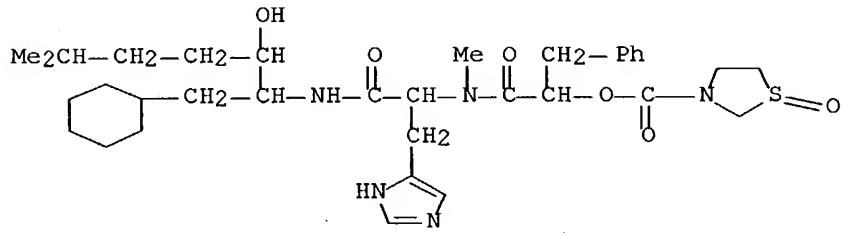
RN 124076-14-8 CAPLUS
CN 1(2H)-Pyridinecarboxylic acid, 3,6-dihydro-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)



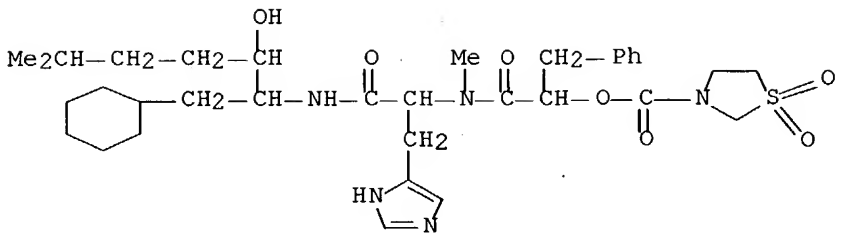
RN 124076-15-9 CAPLUS
CN 3-Thiazolidinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)



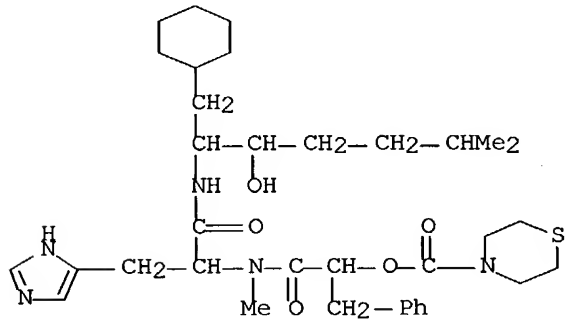
RN 124076-16-0 CAPLUS
CN 3-Thiazolidinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, 1-oxide, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)



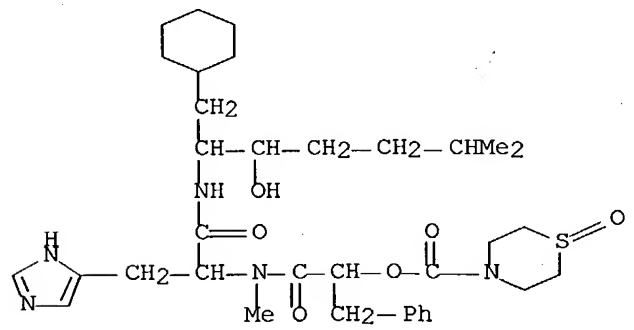
RN 124076-17-1 CAPLUS
CN 3-Thiazolidinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, 1,1-dioxide, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)



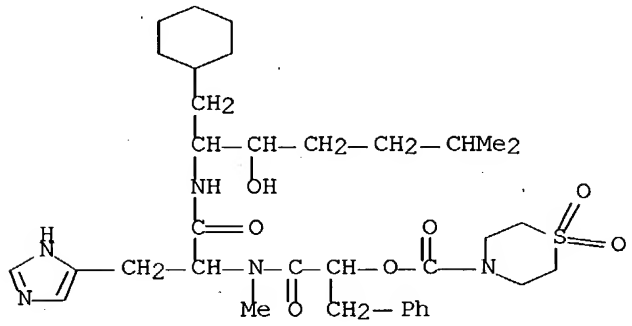
RN 124076-18-2 CAPLUS
CN 4-Thiomorpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*[R*(R*)]],2R*]]- (9CI) (CA INDEX NAME)



RN 124076-19-3 CAPLUS
CN 4-Thiomorpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, 1-oxide, [1S-[R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

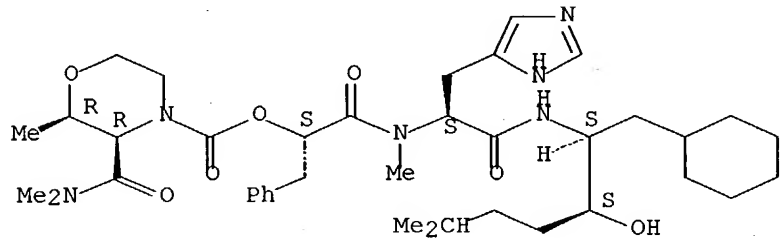


RN 124076-20-6 CAPLUS
CN 4-Thiomorpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-
methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, 1,1-dioxide, [1S-[1R*[R*[R*(R*)]],2R*]]-
(9CI) (CA INDEX NAME)

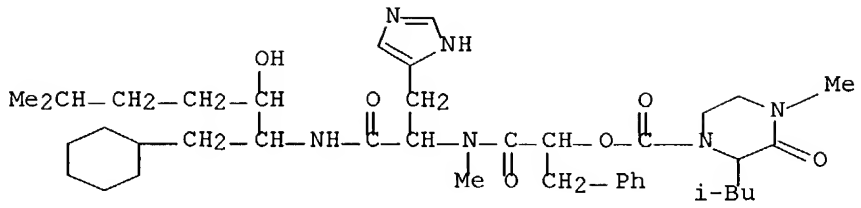


RN 124076-21-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 3-[(dimethylamino)carbonyl]-2-methyl-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-
4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [2R-[2α,3α,4[S*[S*(1S*,2S*)]]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

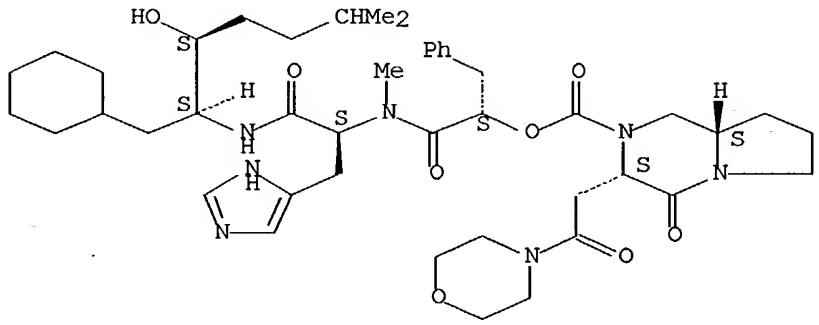


RN 124076-22-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-2-(2-methylpropyl)-3-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-
4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [3S-[3R*,4[R*[R*(1R*,2R*)]]]]- (9CI) (CA INDEX NAME)



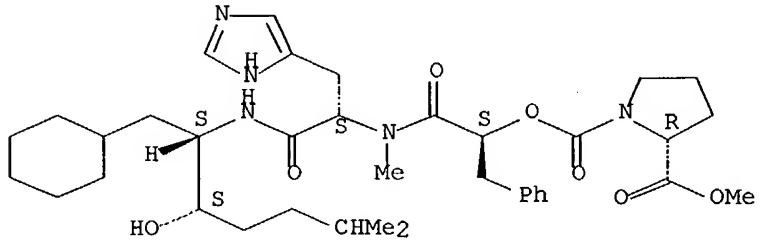
RN 124122-54-9 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-2(1H)-carboxylic acid, hexahydro-3-[2-(4-morpholinyl)-2-oxoethyl]-4-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [3S-[2[R*[R*(1R*,2R*)]],3α,8aβ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



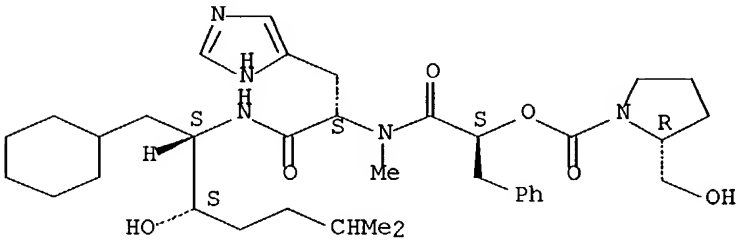
RN 124151-27-5 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 1-[2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl] 2-methyl ester, [2R-[1[S*[S*(1S*,2S*)]],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



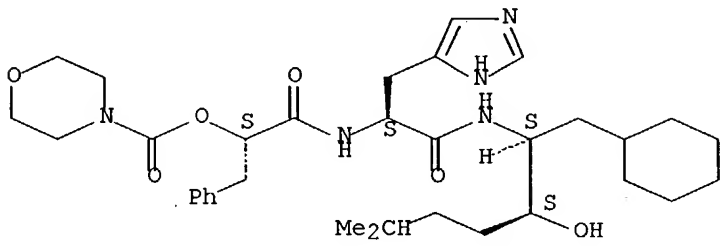
RN 124151-28-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-(hydroxymethyl)-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [2R-[1[S*[S*(1S*,2S*)]],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **124072-32-8P 124072-33-9P 124072-38-4P**
124072-39-5P 124072-40-8P 124072-41-9P
124072-47-5P 124151-27-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive, renin inhibition in relation to)
RN 124072-32-8 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

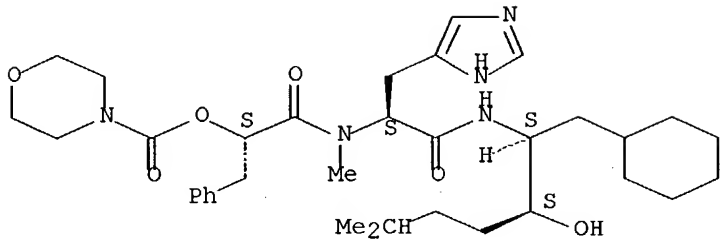
Absolute stereochemistry.



RN 124072-33-9 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA

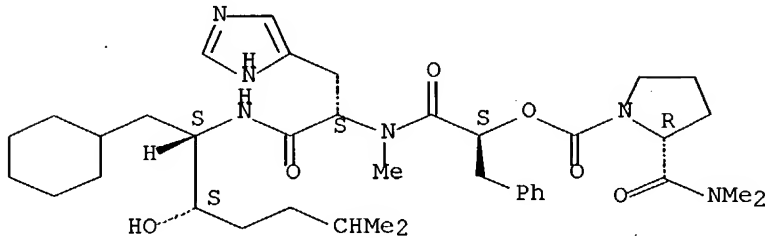
INDEX
NAME)

Absolute stereochemistry.



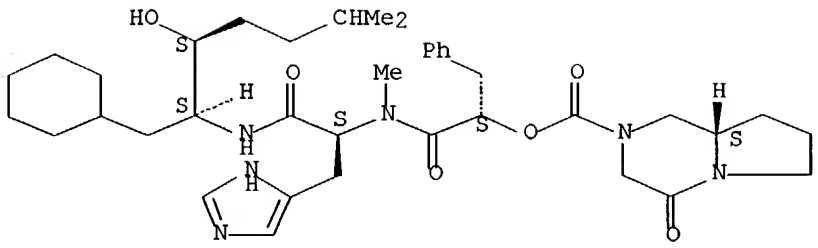
RN 124072-38-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(dimethylamino)carbonyl]-,
2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-
4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester,
[2R-[1[S*[S*(1S*,2S*)]],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



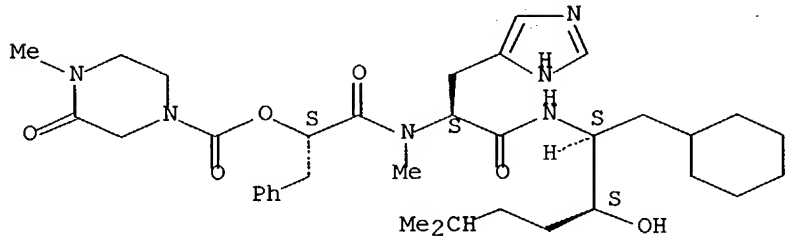
RN 124072-39-5 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-2(1H)-carboxylic acid, hexahydro-4-oxo-,
2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-
4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester,
[8aS-[2[R*[R*(1R*,2R*)]],8aR*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



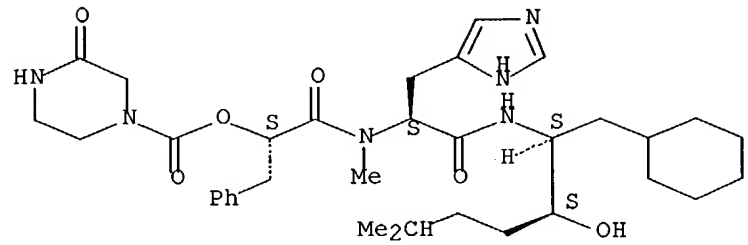
RN 124072-40-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-3-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-
2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-
oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 124072-41-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-oxo-, 2-[[2-[[1-(cyclohexylmethyl)-2-
hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-
oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester,
[1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

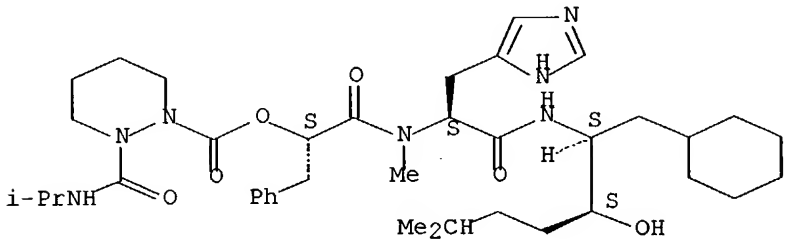
Absolute stereochemistry.



RN 124072-47-5 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, tetrahydro-2-[[(1-

methylethyl)amino]carbonyl]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

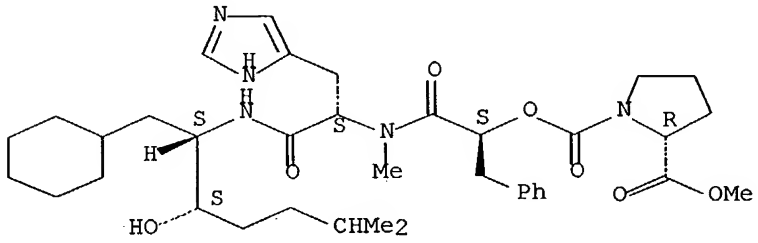
Absolute stereochemistry.



RN 124151-27-5 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 1-[2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl] 2-methyl ester, [2R-[1[S*[S*(1S*,2S*)]],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

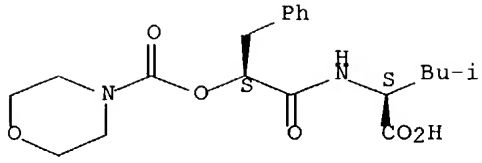


L4 ANSWER 40 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:574667 CAPLUS Full-text
DN 111:174667
TI Preparation of N-dihydroxyalkyl-Nα-[[α-(heterocyclylcarbonyloxy)alkanoyl]-α-amino acid amides as antihypertensive agents
IN Hanson, Gunnar James; Baran, John Stanislaus
PA G.D. Searle and Co., USA
SO Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 310071	A2	19890405	EP 1988-116074	19880929
	EP 310071	A3	19891129		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	US 4977141	A	19901211	US 1987-103625	19871001
	JP 01113357	A2	19890502	JP 1988-247293	19880930
PRAI	US 1987-103625		19871001		

OS CASREACT 111:174667; MARPAT 111:174667
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; A = O, S; R1 = H, alkyl, haloalkyl, alkoxycarbonyl, etc.; R2 = alkyl, PhCH2; R3 = alkyl, acylaminoalkyl, naphthylmethyl, aryl, (substituted) PhCH2; R4, R5 = H, alkyl; R6 = (un)substituted cycloalkyl, Ph, cycloalkylalkyl, phenylalkyl; T = H, alkyl, alkoxy, etc.; X = cyclic imino groups Q1-Q3, NR7R8; Q, Y = CH2, CHOR9, O, S, SO, SO2, NR10; R9 = H, alkyl; R10 = H, Ph, COR11; R11 = H, alkyl; a-d = 0-3; m, n = 1-4; p = 1-3; r, t-v = 0-2] were prepared (2R,3S)-RNHCH(CH2Ph)CH(OAc)CHO (R = BOC = Me3CO2C) (preparation given) was stirred 2 h with Me2CHCH2MgCl in THF and the product, after hydrolysis, was hydrogenated over Rh/C to give (2S,3R,4S)-RNHCH(CH2R6)[CH(OH)]2CH2CHMe2 (II; R = BOC, R6 = cyclohexyl) which was deprotected and condensed with L-Me2CHCH2CH(NHBOC)CO2CO2CH2CHMe2 (prepared from BOC-L-leucine and ClCO2CH2CHMe2) to give,after deprotection, the L-leucinamide of II (R = H, R6 = cyclohexyl). The latter was added to O-(morpholinocarbonyl)-3-L-lactic acid which had been treated with ClCO2CH2CMe2 in CH2Cl2 and the whole maintained 8 h at 0° to give the N'-[O-(morpholinocarbonyl)-3-L-phenyllactyl]-L-leucineamide of II (R = H, R6 = cyclohexyl) which had ED50 of 0.012 mg/kg i.v. for reduction of plasma renin activity in Rhesus monkeys.
IT **122994-25-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(preparation and reaction of, in preparation of antihypertensives)
RN 122994-25-6 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-carboxy-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

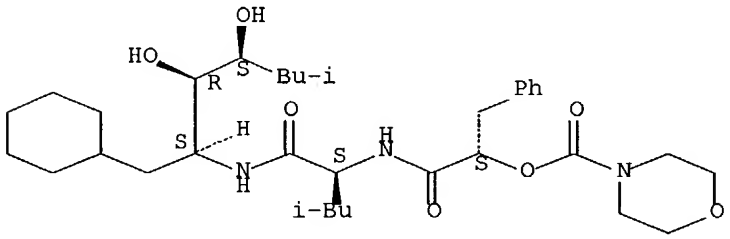


IT **120729-15-9P 122994-22-3P 122994-23-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)(preparation of, as antihypertensive)

RN 120729-15-9 CAPLUS

CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-[[[(1S,2R,3S)-1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

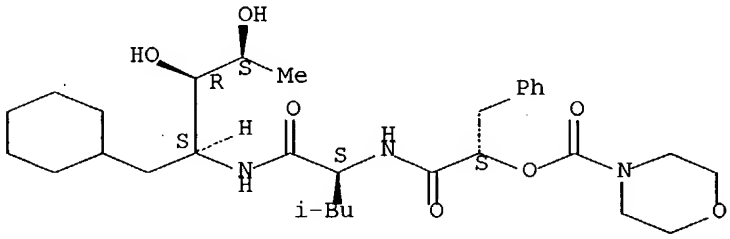
Absolute stereochemistry.



RN 122994-22-3 CAPLUS

CN L-Arabinitol, 1-cyclohexyl-1,2,5-trideoxy-2-[[(2S)-4-methyl-2-[[(2S)-2-[(4-morpholinylcarbonyl)oxy]-1-oxo-3-phenylpropyl]amino]-1-oxopentyl]amino]-(9CI) (CA INDEX NAME)

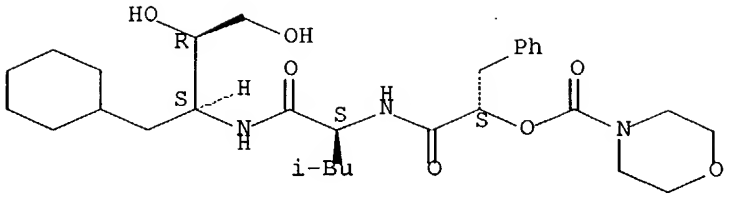
Absolute stereochemistry.



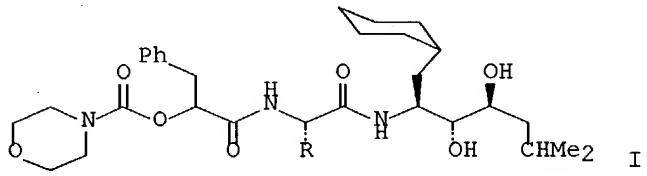
RN 122994-23-4 CAPLUS

CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-[[[(1S,2R)-1-(cyclohexylmethyl)-2,3-dihydroxypropyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.


HO
R
OH
S
H
N
H
O
S
i-Bu
H
N
O
Ph
S
O
O
N
O

L4 ANSWER 41 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:225010 CAPLUS Full-text
DN 110:225010
TI A new class of orally active glycol renin inhibitors containing phenyllactic acid at P3
AU Hanson, Gunnar J.; Baran, John S.; Lowrie, Harman S.; Russell, Mark A.; Sarussi, Steven J.; Williams, Kenneth; Babler, Maribeth; Bittner, Stephen E.; Papaioannou, S. E.; et al.
CS G. D. Searle and Co., Skokie, IL, 60077, USA
SO Biochemical and Biophysical Research Communications (1989), 160(1), 1-5
CODEN: BBRCA9; ISSN: 0006-291X
DT Journal
LA English
GI



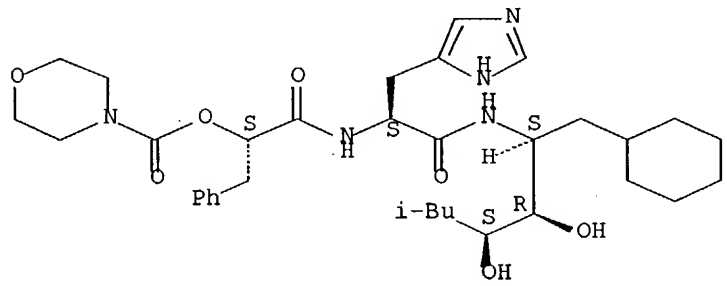
I

AB A new series of renin inhibitors based on dipeptide glycols, replacing the P4-P3 subsites with an O-(N-morpholinocarbonyl)-3-L-phenyllactic acid residue I (R = iso-Bu, CH2-imidazole, etc.) was tested. This modification proved bioisosteric with Boc-L-phenylalanine, giving rise to highly potent human renin inhibitors (1-5 nM), e.g., SC-46944 (IC50 = 5 nM). Moreover, this change produced compds. that are orally efficacious in reducing plasma renin activity in salt-depleted marmosets.

IT **114457-15-7**, SC 47563 **120729-15-9**, SC 46944
120768-80-1, SC 47557 **120850-29-5**, SC 48272
RL: BIOL (Biological study)
(renin inhibition by, structure in relation to, in humans and laboratory animals)

RN 114457-15-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

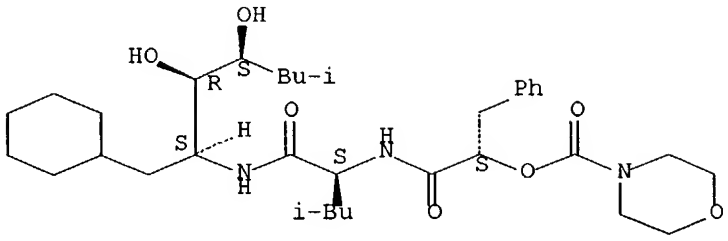
Absolute stereochemistry.



RN 120729-15-9 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[[(1S)-1-[[[(1S,2R,3S)-1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX

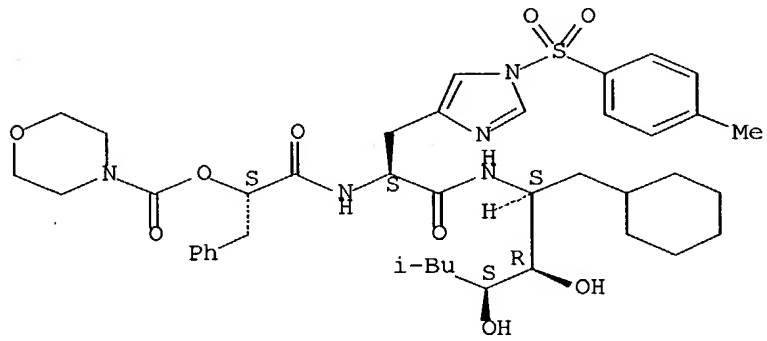
NAME)

Absolute stereochemistry.



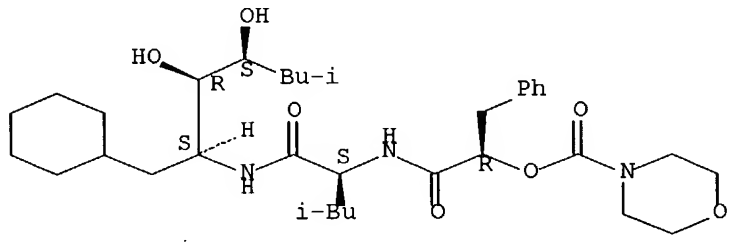
RN 120768-80-1 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-[[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]methyl]-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 120850-29-5 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-[[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]carbonyl]-3-methylbutyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(S*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

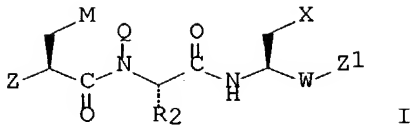
Absolute stereochemistry.



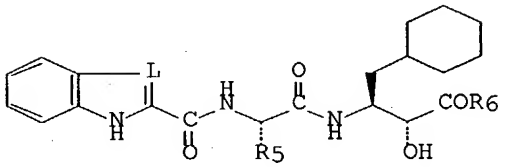
L4 ANSWER 42 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:154889 CAPLUS Full-text
DN 110:154889
TI Preparation of norstatine- and norcyclostatine-containing peptides as renin inhibitors
IN Hoover, Dennis Jay; Wester, Ronald Thure; Rosati, Robert Louis
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 86 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 266950	A2	19880511	EP 1987-309461	19871027
	EP 266950	A3	19900411		
	EP 266950	B1	19931229		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	IN 172976	A	19940115	IN 1987-DE905	19871015
	CN 87101499	A	19880511	CN 1987-101499	19871023
	CN 1027271	B	19950104		
	US 4814342	A	19890321	US 1987-112976	19871023
	AT 99324	E	19940115	AT 1987-309461	19871027
	ES 2061512	T3	19941216	ES 1987-309461	19871027
	CA 1310793	A1	19921124	CA 1987-550413	19871028
	DK 8705684	A	19880501	DK 1987-5684	19871030
	FI 8704787	A	19880501	FI 1987-4787	19871030
	FI 90346	B	19931015		
	FI 90346	C	19940125		
	NO 8704530	A	19880502	NO 1987-4530	19871030
	NO 173017	B	19930705		
	NO 173017	C	19931013		
	AU 8780541	A1	19880505	AU 1987-80541	19871030
	AU 585180	B2	19890608		
	HU 45270	A2	19880628	HU 1987-4901	19871030
	HU 207869	B	19930628		
	JP 63183551	A2	19880728	JP 1987-275583	19871030
	DD 262583	A5	19881207	DD 1987-308473	19871030
	ZA 8708158	A	19890628	ZA 1987-8158	19871030
	SU 1706391	A3	19920115	SU 1987-4203604	19871030
	US 4935405	A	19900619	US 1988-277614	19881129
	US 5034376	A	19910723	US 1990-497041	19900321
	IN 175148	A	19950506	IN 1990-DE781	19900803
	JP 07173134	A2	19950711	JP 1994-221930	19940916
	JP 07108901	B4	19951122		
PRAI	US 1986-925449	A	19861031		
	US 1987-68982	A	19870701		
	IN 1987-DE905	A1	19871015		
	US 1987-112976	A3	19871023		
	EP 1987-309461	A	19871027		
	US 1988-277614	A3	19881129		

OS CASREACT 110:154889; MARPAT 110:154889
GI



I



II

AB The title peptides [I, II; Z = R1-Ym-Ap; R1 = C1-6 alkyl, C1-4 alkoxy, (un) substituted amino, morpholino, piperidyl, piperazino, (substituted)piperidino, thiomorpholino, pyridyl, etc; Y = CO, P(O)OMe, SO2; A = NMe, NH, O; m, p = 0, 1; M = Ph, PhCH2, naphthyl, thienyl, MeOC6H4, ClC6H4, HOC6H4, C6-7 cycloalkyl; X = Me, H; R2 = C1-5 alkyl, substituted C1-2 alkyl, PhCH2, guanidino-C1-3 alkyl, 4-aminobutyl, imidazol-4-ylmethyl, etc.; X = cyclohexyl, Me2CH, Ph; W = CHOH, CO, CHN3, CHNH2, CMeOH, etc.; Z1 = CH2OH, R-X1-T; R = CO; X1 = O, NH, NMe, CH2, bond; T = C1-5 alkyl, C1-4 hydroxyalkyl, C1-4 alkylcarbamoyl, H, trifluoroethyl, Ph, PhCH2, morpholino, etc.; L = CH, N; R5 = imidazol-4-ylmethyl, C2-5 alkyl; R6 = C1-4 alkoxy, C1-4 alkylamino; provided that when m = O, P = O; when A = O, Y = CO; when T = C1-4 alkylcaRbamoyl, X1 = NH, NMe, CH2; when T = C2-5 alkylamino, C1-2 alkoxyamino, morpholino or 4-C1-2 alkylpiperazino, X1 = CH2, bond], useful as antihypertensives (no data), were prepared Treatment of (S)-3-(tert-butoxycarbonylamino)-4-cyclohexyl-(R)-2-hydroxybutyric acid with Me2CHCH2O2CCl in THF containing Et3N and amidation of the resulting mixed anhydride with MeNH2 gave 42% N-methyl-3-(tert-butoxycarbonylamino)-4- cyclohexyl-(R)-2-hydroxybutyramide (BOC-nor-C-Sta-NHMe). Deprotection of the latter with 4N HCl in dioxane, followed by peptide coupling with BOC-Phe-His(imBOC)-OH (BOC = CO2CMe3) in CH2Cl2 in the presence of Et3N, hydroxybenzotriazole, and DCC, gave BOC-Phe-His(imBOC)-nor-C-Sta-NHMe, which was treated with AcOH-H2O(80:20) to give BOC-Phe-His-nor-C-Sta-NHMe.

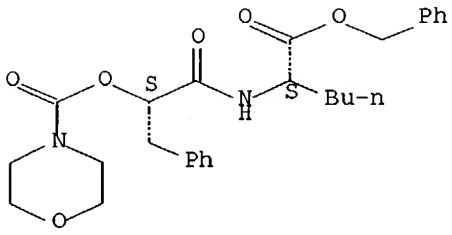
IT **119642-75-0P 119642-76-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for renin-inhibiting antihypertensive)

RN 119642-75-0 CAPLUS

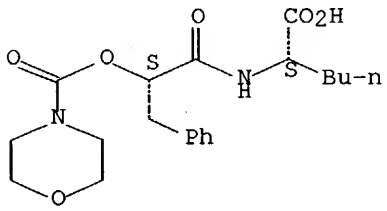
CN 4-Morpholinecarboxylic acid, 2-oxo-2-[[1-[(phenylmethoxy)carbonyl]pentyl]amino]-1-(phenylmethyl)ethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



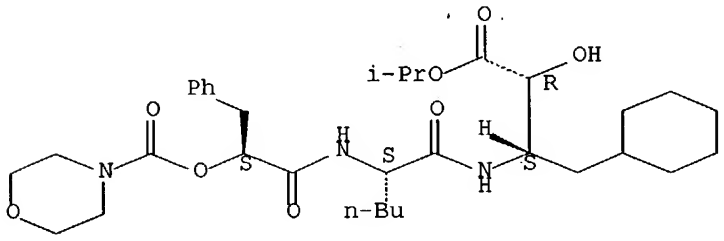
RN 119642-76-1 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[(1-carboxypentyl)amino]-2-oxo-1-(phenylmethyl)ethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **119624-90-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin-inhibiting antihypertensive)
RN 119624-90-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-[[[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]amino]carbonyl]pentyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 43 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:135731 CAPLUS Full-text
DN 110:135731
TI Preparation and testing of peptidylaminodiols as renin inhibitors
IN Fung, Anthony K. L.; Kempf, Dale John; Luly, Jay Richard; Rosenberg, Saul Howard; Plattner, Jacob John
PA Abbott Laboratories, USA
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8805050	A1	19880714	WO 1987-US3376	19871222
	W: AU, DK, JP, KR				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	IL 97441	A1	19920906	IL 1987-97441	19870112
	US 5032577	A	19910716	US 1987-132356	19871218
	AU 8811580	A1	19880727	AU 1988-11580	19871222
	AU 609774	B2	19910509		
	EP 295294	A1	19881221	EP 1988-900918	19871222
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 01502514	T2	19890831	JP 1988-501082	19871222
	IL 84945	A1	19920216	IL 1987-84945	19871225
	US 4845079	A	19890704	US 1988-217106	19880711
	DK 8804834	A	19880830	DK 1988-4834	19880830
	CA 1307289	A2	19920908	CA 1991-615975	19910108
	AU 9170281	A1	19910418	AU 1991-70281	19910205
	AU 638093	B2	19930617		
	US 5091575	A	19920225	US 1991-713644	19910610
	US 5214129	A	19930525	US 1991-793773	19911118
PRAI	US 1986-943567		19861231		
	US 1987-132356		19871218		
	US 1985-693951		19850123		
	US 1986-818714		19860116		
	US 1986-818715		19860116		
	US 1986-818734		19860116		
	US 1986-895009		19860807		
	IL 1987-81234		19870112		
	CA 1987-527514		19870116		
	WO 1987-US3376		19871222		
	US 1988-217106		19880711		
	US 1989-327467		19890322		
	US 1991-713644		19910610		

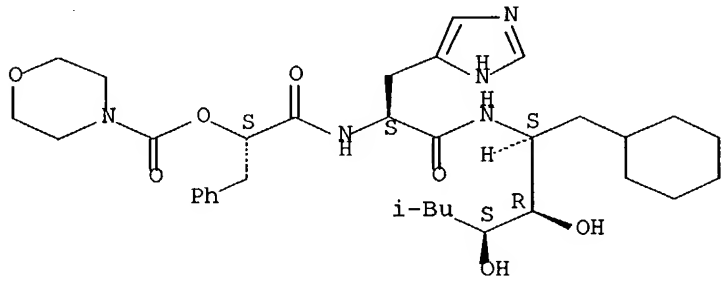
OS MARPAT 110:135731
AB ACHR1-W-U-CHR3CONHCHR4CR5R8CR6R7R9 [I; A = (un)substituted amino, acylamino, etc.; W = CO, CHOH; U = CH2, NR2; R1 = alkyl, cycloalkylmethyl, (substituted) PhCH2, anilino, thiophenoxy, etc.; R2, R7 = H, alkyl; R3 = alkyl, alkenyl, alkoxyalkoxyalkyl, PhCH2, heterocyclylmethyl; R4 = alkyl, cycloalkylmethyl, PhCH2; R5 = H, CH2:CH, HCO, HOCH2; R6 = H, alkyl, CH2:CH, arylalkyl; R8, R9 = OH, NH2], useful as renin inhibitors, were prepared 2S-tert-Butyloxycarbonylamino-1-cyclohexylbut-3-ene (preparation given) was deprotected with HCl/MeOH and coupled with BOC-Phe-Ala-OH (BOC = CO2CMe3), using iso-Bu chloroformate and N-methylmorpholine in THF/DMF at -13°. the product was treated with OsO4/N-methylmorpholine N-oxide in THF to give 3S-N-(tert-

butoxycarbonylphenylalanylalanylamino)-4- cyclohexyl-1,2(R,S)-dihydroxybutane. I inhibited renin with IC50's of 0.3-4000 nM.

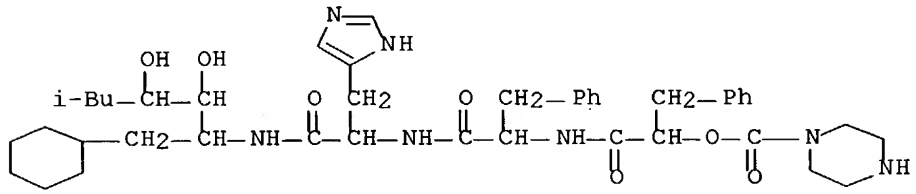
IT **114457-15-7P 114457-16-8P 119618-57-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)(preparation of, as renin inhibitor)

RN 114457-15-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

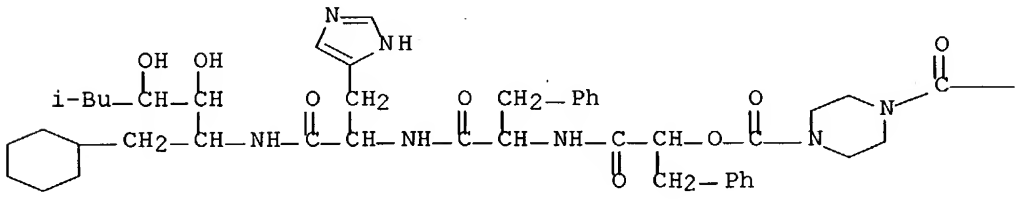


RN 114457-16-8 CAPLUS
CN L-Histidinamide, N-[1-oxo-3-phenyl-2-[(1-piperazinylcarbonyl)oxy]propyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-,[1(S),2[1S-(1R*,2S*,3R*)]]- (9CI) (CA INDEX NAME)

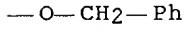


RN 119618-57-4 CAPLUS
CN L-Histidinamide, N-[1-oxo-3-phenyl-2-[[[4-[(phenylmethoxy)carbonyl]-1-piperazinyl]carbonyl]oxy]propyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-, [1(S),2[1S-(1R*,2S*,3R*)]]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L4 ANSWER 44 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:24311 CAPLUS Full-text
DN 110:24311
TI Preparation and testing of peptidylaminodiols as renin inhibitors
IN Luly, Jay Richard; Kempf, Dale John; Plattner, Jacob John
PA Abbott Laboratories, USA
SO Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 229667	A2	19870722	EP 1987-100424	19870115
	EP 229667	A3	19910313		
	EP 229667	B1	19940713		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	IL 81234	A1	19920906	IL 1987-81234	19870112
	IL 97441	A1	19920906	IL 1987-97441	19870112
	DK 8700209	A	19870717	DK 1987-209	19870115
	AU 8767599	A1	19870723	AU 1987-67599	19870115
	AU 603080	B2	19901108		
	ES 2059313	T3	19941116	ES 1987-100424	19870115
	JP 62234052	A2	19871014	JP 1987-6280	19870116
	JP 2525732	B2	19960821		
	US 4845079	A	19890704	US 1988-217106	19880711
	CA 1307289	A2	19920908	CA 1991-615975	19910108
	AU 9170281	A1	19910418	AU 1991-70281	19910205
	AU 638093	B2	19930617		
	US 5091575	A	19920225	US 1991-713644	19910610
	US 5214129	A	19930525	US 1991-793773	19911118
	JP 06239811	A2	19940830	JP 1993-129480	19930531
	JP 08000798	B4	19960110		
PRAI	US 1986-818734		19860116		
	US 1986-895009		19860807		
	US 1986-943567		19861231		
	US 1985-693951		19850123		
	US 1986-818714		19860116		
	US 1986-818715		19860116		
	IL 1987-81234		19870112		
	CA 1987-527514		19870116		
	US 1988-217106		19880711		
	US 1989-327467		19890322		
	US 1991-713644		19910610		

AB AR1CHWUCHR3CONHCHR4CR5R8CR6R7R9 (I; A = H, OH, alkyl, alkoxy, thioalkoxy, amino, acylheterocyclyl, etc.; W = CO, CHOH; U = CH2, NR2; R2 = alkyl, cycloalkylmethyl, PhCH2, PhO, PhS, 2-naphthylmethyl, etc.; R2 = H, alkyl; R3 = alkyl, alkenyl, PhCH2, etc.; R4 = alkyl, cycloalkylmethyl, PhCH2; R5 = CH2:CH, CHO, CH2OH, H; R6 = H, alkyl, CH2:CH, arylalkyl; R7 = H, alkyl; R8, R9 = OH, NH2) were prepared as renin inhibitors useful for treatment of hypertension. BOC-Phe-His-OH was coupled with 2(S)-amino-1-cyclohexyl- 3(R),4(S)-dihydroxy-6-methylheptane using dicyclohexylcarbodiimde/1- hydroxybenzotriazole to give 40-60% of the corresponding amide, which inhibited human renin with an IC50 of 1.5 nM.

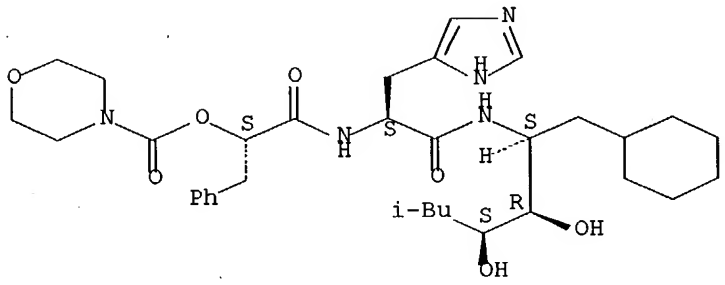
IT **114457-15-7P 114457-16-8P 114457-55-5P**
RL: BAC (Biological activity or effector, except adverse); BSU

(Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 114457-15-7 CAPLUS

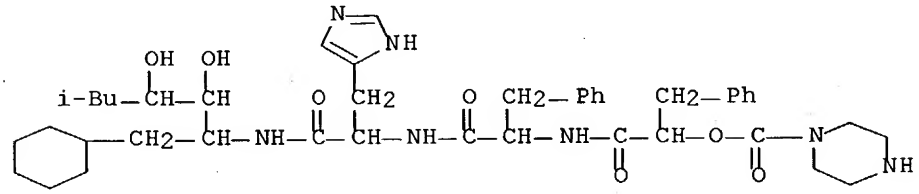
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 114457-16-8 CAPLUS

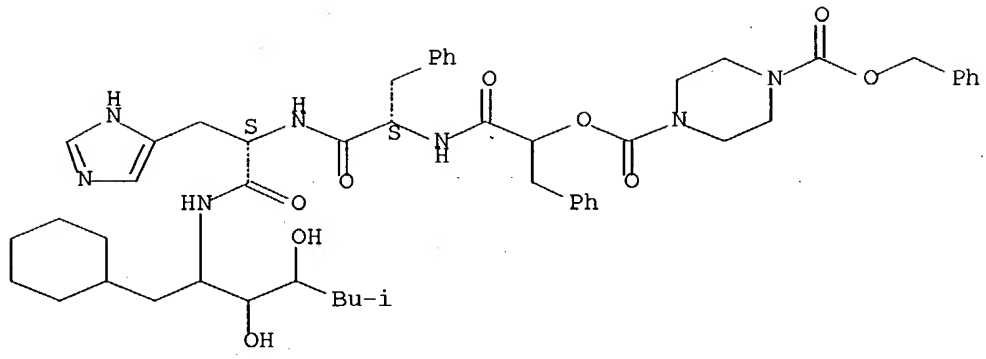
CN L-Histidinamide, N-[1-oxo-3-phenyl-2-[(1-piperazinylcarbonyl)oxy]propyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-, [1(S),2[1S-(1R*,2S*,3R*)]]- (9CI) (CA INDEX NAME)



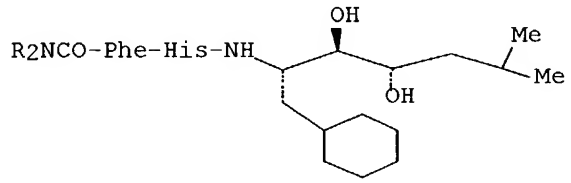
RN 114457-55-5 CAPLUS

CN L-Histidinamide, N-[1-oxo-3-phenyl-2-[[[4-[(phenylmethoxy)carbonyl]-1-piperazinyl]carbonyl]oxy]propyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


Ph
H
N
S
H
N
S
N
H
O
O
O
N
N
O
O
Ph
N
HN
O
Ph
OH
Bu-i
OH

L4 ANSWER 45 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:24298 CAPLUS Full-text
DN 110:24298
TI Renin inhibitors. Dipeptide analogs of angiotensinogen utilizing a structurally modified phenylalanine residue to impart proteolytic stability
AU Plattner, Jacob J.; Marcotte, Patrick A.; Kleinert, Hollis D.; Stein, Herman H.; Greer, Jonathan; Bolis, Giorgio; Fung, Anthony K. L.; Bopp, Barbara A.; Luly, Jay R.; et al.
CS Pharm. Discovery Div., Abbott Lab., Abbott Park, IL, 60064, USA
SO Journal of Medicinal Chemistry (1988), 31(12), 2277-88
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 110:24298
GI



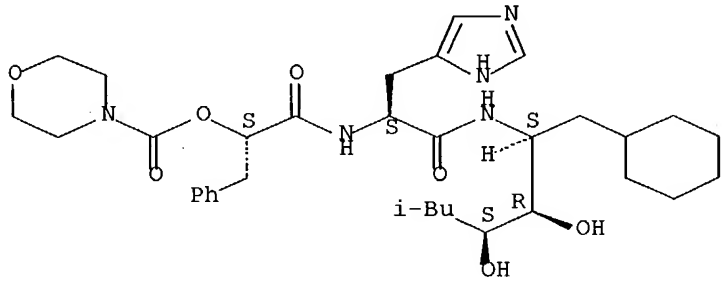
I

AB Title analogs, e.g. I (R = Me; NR2 = 4-hydroxypiperidino, 1-piperazinyl, morpholino), were prepared and evaluated for their susceptibility to cleavage by chymotrypsin. The compds. were designed by consideration of the structural requirements in the active-site region of renin and chymotrypsin. By systematic alteration of the P3 phenylalanine residue, compds. with varying degrees of renin-inhibitory potency and chymotrypsin susceptibility were obtained. Selected analogs from this group were examined in vivo for both their hypotensive effects and metabolic patterns.

IT **114457-15-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, renin-inhibiting activity, and chymotrypsin susceptibility of)

RN 114457-15-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

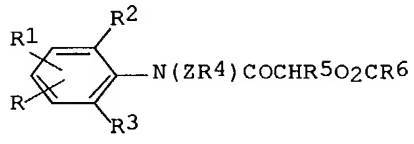
Absolute stereochemistry.



L4 ANSWER 46 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1982:491907 CAPLUS Full-text
DN 97:91907
TI Derivatives of N-(alkoxy-, alkoxycarbonyl- or alkylthiocarbonylalkyl)-N-acyloxyacetyl- or -propionylaniline used as phytofungicides
PA Ciba-Geigy Corp., Switz.
SO Fr. Demande, 41 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2455574	A1	19801128	FR 1979-11126	19790503
FR 2455574	B1	19831021		
PRAI FR 1979-11126		19790503		

OS CASREACT 97:91907
GI



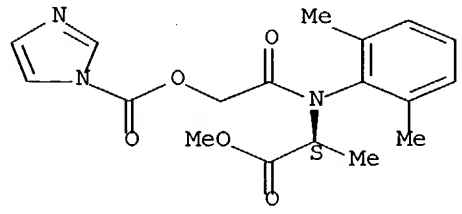
I

AB Anilines I [R = H, alkyl, halo; R1 = H, Me; R2 = alkyl, alkoxy, halo; R3 = H, alkyl, alkoxy halo; Z = CH2, CHMe; R4 = CO2Me C(O)SMe, CO2Et, C(O)SEt, CH2OMe; R5 = H, Me; R6 = (un)substituted alkyl, alkenyl, cycloalkyl, haloalkenyl, halocycloalkyl, Ph, halo-, nitro-, alkyl-, or alkoxyphenyl, (un)substituted benzyl, heteroaryl], useful as fungicides (no data), were prepared by different methods. Thus, 2,6-Me2C6H3N(CHMeCO2Me)COCH2OH was treated with 2-furoyl chloride and pyridine at room temperature to give I (R2 = R3 = Me, Z = CHMe, R4 = CO2Me, R6 = 2-furyl, R = R1 = R5 = H).

IT **77279-91-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 77279-91-5 CAPLUS
CN L-Alanine, N-(2,6-dimethylphenyl)-N-[[(1H-imidazol-1-ylcarbonyl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

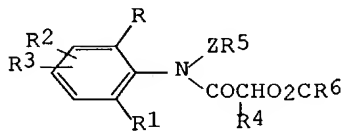
Absolute stereochemistry.



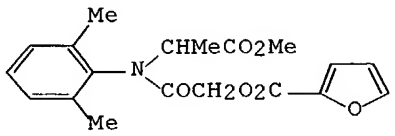
L4 ANSWER 47 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:174698 CAPLUS Full-text
DN 94:174698
TI Fungicidal N-(alkoxy-, alkoxycarbonyl- or alkylthiocarbonylalkyl)-N-carbonyloxyacetyl- or propionyl-aniline derivatives
IN Hubele, Adolf; Eckhardt, Wolfgang; Kunz, Walter
PA Ciba-Geigy A.-G., Switz.
SO Ger. Offen., 43 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 2917923	A1	19801113	DE 1979-2917923	19790503
PRAI DE 1979-2917923		19790503		

GI



I



II

AB I [R = C1-3 alkyl, C1-4 alkoxy, or halogen; R1 = H, C1-3-alkyl, C1-4 alkoxy, or halogen; R2 = H, C1-3 alkyl, halogen; R3 and R4 = H or Me; Z = CH2 or MeCH; R5 = CO2Me, COSMe, CO2Et, COSEt, or CH2OMe; R6 = (e.g.) alkyl or cycloalkyl] were prepared as plant fungicides. Thus, 7.2 g 2-furancarbonyl chloride and 4.3 g pyridine were added dropwise simultaneously at ≤20° to 13.5 g 2,6- Me2C6H3N(CHMeCO2Me)COCH2OH in 100 mL MeCN, and the mixture was stirred 12 h to give II.

IT **77279-91-5P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as plant fungicide)

RN 77279-91-5 CAPLUS
CN L-Alanine, N-(2,6-dimethylphenyl)-N-[[(1H-imidazol-1-ylcarbonyl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.